EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
S1	0	546/194.ccls	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/06 10:11
S2	1981	546/194.ccls.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/06 09:53
S3	17	S2 and 5HT	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/06 09:55
S4	315	vacher.in.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/06 09:56
S5	10	castres.in.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/06 09:57
S6	171	colpaert.in.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/06 09:57
S7	18	S6 and S4	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/06 10:05

EAST Search History

S8	17	"807102"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/06 10:06
S9	31	"301877"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/06 10:07
S10	9	"6096768"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/06 10:08
S11	19	"098178"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/06 10:09
S12	28	"239075"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/06 10:10
S13	29	"308613"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/06 10:10
S14	14	"549076"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/06 10:12

Print selected from 10518394.trn

=> s l3
L4 37 L3

=> d cbib abs hitstr 1-37

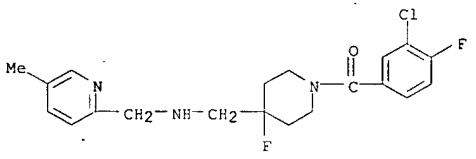
L4 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2007:716353 Document No. 147:158110 Curative-like analgesia in a neuropathic pain model: Parametric analysis of the dose and the duration of treatment with a high-efficacy 5-HT1A receptor agonist. Deseure, Kristof; Breand, Sophie; Colpaert, Francis C. (Laboratory of Anesthesiology, University of Antwerp, Antwerp, 2610, Belg.). European Journal of Pharmacology, 568(1-3), 134-141 (English) 2007. CODEN: EJPHAZ. ISSN: 0014-2999. Publisher: Elsevier B.V..

AB High-efficacy activation of central 5-HT1A receptors by means of the recently discovered, selective 5-HT1A receptor ligand, F 13640 [(3-chloro-4-fluoro-phenyl)-[4-fluoro-4-[[(5-methyl-pyridin-2-ylmethyl)-amino]methyl]piperidin-1-yl]methanone, fumaric acid salt] causes an unprecedented, broad-spectrum analgesia in rat models of acute and chronic pain of nociceptive and neuropathic origin; it also is effective in conditions where opioids either are ineffective, induce analgesic tolerance, or elicit persistent hyperalgesia/allodynia. Inversely mirroring morphine's actions, F 13640 ("curative-like") analgesic effects persist after the discontinuation of treatment. Here, we examined the relationships, if any, between the dose and the duration of F 13640 treatment on the one hand, and the duration of persistent analgesia on the other. Rats received unilateral infraorbital nerve injury and developed allodynia - as assessed by an increased response to von Frey filament stimulation - within 24 days; thereafter, using osmotic pumps, rats were s.c. infused with F 13640 in two expts. In one, a one-week infusion was instituted at 0.04-10-mg/day doses; in a second experiment, a 0.63-mg/day dose was implemented for a duration ranging from 1 to 56 days. These 250- and 56-fold variations of the dose and duration of treatment caused post-treatment, persistent analgesia for about 10 and 40 days, resp. At least as much as dose, the duration of F 13640 treatment dets. F 13640-induced persistent analgesia. Neuroadaptive modulations at pre- and postsynaptic, brain and spinal cord 5-HT1A receptors may be involved in the dynamical, dose- and time-dependent, pre-treatment rise and post-treatment decay of the analgesia induced by high-efficacy 5-HT1A receptor activation.

IT 208110-64-9, F 13640
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-HT1A receptor agonist-induced analgesia in neuropathic pain)

RN 208110-64-9 CAPLUS

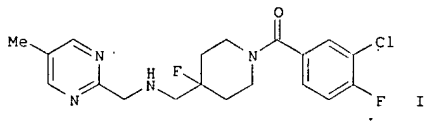
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



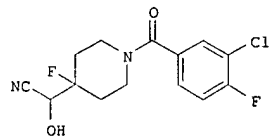
Print selected from 10518394.trn

L4 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2007:356768 Document No. 146:358876 Process for preparation of (3-chloro-4-fluorophenyl)[4-fluoro-4-[[[(5-methylpyrimidin-2-yl)methyl]amino]methyl]piperidin-1-yl]methanone using molecular sieves in the reaction of cyanohydrine and (5-methylpyrimidin-2-yl)methylamine, and new pyrimidine-based intermediates. Vacher, Bernard; Maurel, Jean Louis; Brunel, Serge (Pierre Fabre Medicament, Fr.). Fr. Demande FR 2891274 A1 20070330, 20pp. (French). CODEN: FRXXBL. APPLICATION: FR 2005-9652 20050927.

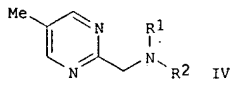
GI



I



III



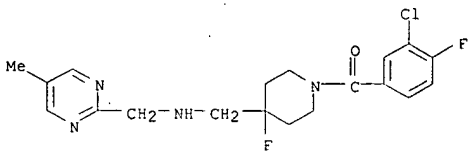
IV

AB The invention is related to an improved method for the preparation of (3-chloro-4-fluorophenyl)[4-fluoro-4-[[(5-methylpyrimidin-2-ylmethyl)amino]methyl]piperidin-1-yl]methanone (I) using mol. sieves in the reaction of (5-methylpyrimidin-2-yl)methylamine (II) and cyanohydrin III. The invention is also related to the preparation of pyrimidine-based intermediates IV [one of R1 and R2 = H, the other of R1 and R2 = Boc (Boc = tert-butyloxycarbonyl), benzyloxycarbonyl; or R1NR2 = phthalimido] by condensation of a glycinamidine of formula H2NC(:NH)CH2NR1R2 (V) with a 1,3-dipolarophile RCHC(Me)CHO [R = ethoxy, amino, dimethylamino]. The advantages include high reaction yield in the preparation of I and II, and simple purification of I. Thus, reacting amine II•2HCl with cyanohydrin III in MeOH in the presence of sodium cyanoborohydride, 1,4-diazabicyclo[2.2.2]octane and 4Å mol. sieves at 50° for 6 h gave I in 71% yield. Amine II•2HCl was prepared by condensation of 3-ethoxymethacrolein with amidine V (R1 = H, R2 = Boc) and Boc-deprotection with a solution of HCl in i-PrOH.

IT 635323-95-4P, (3-Chloro-4-fluorophenyl)[4-fluoro-4-[[[(5-methylpyrimidin-2-yl)methyl]amino]methyl]piperidin-1-yl]methanone 635323-96-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

(Preparation)
(product; preparation of phenyl[4-[[[(pyrimidin-2-yl)methyl]amino]methyl]piperidin-1-yl]methanone using mol. sieves in the reaction of cyanohydrine and (5-methylpyrimidin-2-yl)methylamine, and new pyrimidine-based intermediates)

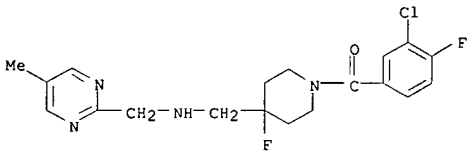
RN 635323-95-4 CAPLUS
CN Methanone, (3-chloro-4-fluorophenyl)[4-fluoro-4-[[[(5-methyl-2-pyrimidinyl)methyl]amino]methyl]-1-piperidinyl]- (CA INDEX NAME)



RN 635323-96-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyrimidinyl)methyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

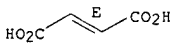
CRN 635323-95-4
CMF C19 H21 Cl F2 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2006:930641 Document No. 145:348433 Rapid desensitization of somatodendritic 5-HT1A receptors by chronic administration of the high-efficacy 5-HT1A

agonist, F13714: a microdialysis study in the rat. Assie, M.-B.; Lomenech, H.; Ravailhe, V.; Faucillon, V.; Newman-Tancredi, A. (Centre de Recherche Pierre Fabre, Castres, 81106, Fr.). British Journal of Pharmacology, 149(2), 170-178 (English) 2006. CODEN: BJPCBM. ISSN: 0007-1188. Publisher: Nature Publishing Group.

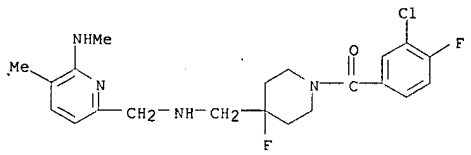
AB Desensitization of somatodendritic 5-HT1A receptors is involved in the mechanism of action of several antidepressants, but the rapidity of this effect and the amount of agonist stimulation needed are unclear. We evaluated the capacity of the high-efficacy 5-HT1A agonist, F13714 (3-chloro-4-fluorophenyl-4-fluoro-4-[(5-methyl-6-methylamino-pyridin-2-ylmethyl)-amino-methyl]-piperidin-1-yl-methanone) and of the partial agonist, flesinoxan, to desensitize somatodendritic 5-HT1A receptors involved in the control of 5-HT release. Intracerebral microdialysis in the hippocampus of freely moving rats was used to examine the acute and chronic effects of the two compds. (administered by osmotic pumps for 3, 7 or 14 days) on extracellular 5-HT levels, measured by HPLC with electrochem. detection. When given acutely, F13714, flesinoxan and the low-efficacy 5-HT1A agonist, buspirone, dose-dependently decreased extracellular 5-HT concns. (ED50 values: 0.04, 0.77 and 5.6 mg kg-1, resp.). The selective 5-HT1A antagonist WAY100635 inhibited the effects of the three compds. F13714 (2.5 mg kg-1 per day for 3, 7 or 14 days and 0.63 mg kg-1 for 7 days) significantly attenuated the inhibition of 5-HT release induced by buspirone (10 mg kg-1). In contrast, flesinoxan (10 mg kg-1 per day) failed to alter the response to buspirone at any of the treatment durations. Rat somatodendritic 5-HT1A receptors controlling hippocampal 5-HT release were rapidly desensitized by chronic activation with a high-efficacy 5-HT1A agonist, but not by chronic activation with a partial agonist. Thus, rapid 5-HT1A autoreceptor desensitization by high-efficacy agonists may accelerate the onset of the therapeutic effects of antidepressants.

IT 208109-39-1, F13714
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(rapid desensitization of somatodendritic 5-HT1A receptors by chronic administration of high-efficacy 5-HT1A agonist, F13714 and a microdialysis study in rat)

RN 208109-39-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(methylamino)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

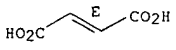
CRN 208109-38-0
CMF C21 H25 Cl F2 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

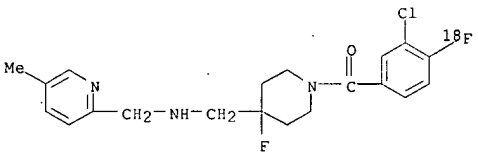


L4 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2006:795910 Document No. 145:195605 Radiolabeled compounds and uses thereof. Mann, Joseph John; Kumar, J. S. Dileep (The Trustees of Columbia University In the City of New York, USA). PCT Int. Appl. WO 2006083424 A2 20060810, 55pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2005-US46565 20051222. PRIORITY: US 2004-639457P 20041228.

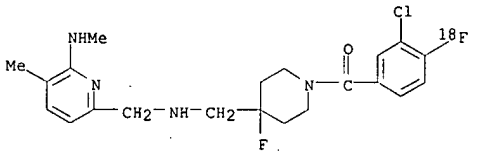
AB The present invention relates to Radiolabeled Compds. and methods of use thereof for treating or preventing a psychiatric disorder in a subject, for stabilizing the mood of a subject having a mood disorder, or as PET imaging agents for a serotonin receptor. Compns. comprising an imaging-effective amount of a Radiolabeled Compound are also disclosed.

IT 903528-75-6P 903528-76-7P
RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(radiolabeled compds. for imaging 5-HT receptors and treating psychiatric disorders)

RN 903528-75-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[3-chloro-4-(fluoro-18F)benzoyl]-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

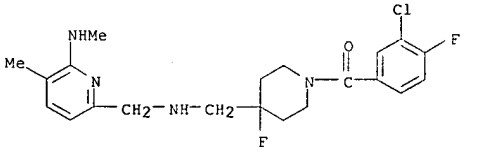


RN 903528-76-7 CAPLUS
CN 4-Piperidinemethanamine, 1-[3-chloro-4-(fluoro-18F)benzoyl]-4-fluoro-N-[(5-methyl-6-(methylamino)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

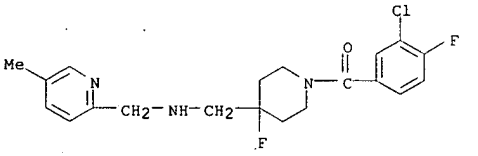


IT 208109-38-0P 208110-64-9P 903528-72-3P
903528-80-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(radiolabeled compds. for imaging 5-HT receptors and treating psychiatric disorders)

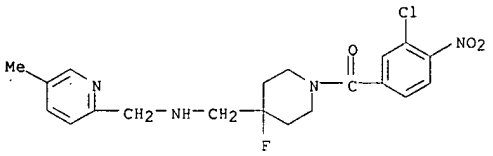
RN 208109-38-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-6-(methylamino)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



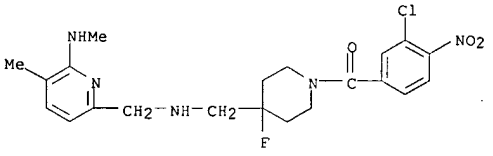
RN 208110-64-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



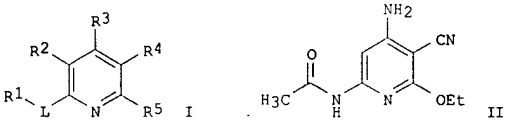
RN 903528-72-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-nitrobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



RN 903528-80-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-nitrobenzoyl)-4-fluoro-N-[[5-methyl-6-(methylamino)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



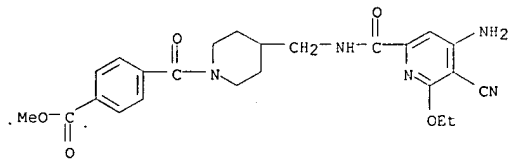
L4 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2006:769187 Document No. 145:210893 Preparation of pyridine derivatives as inhibitors of c-jun n-terminal kinases for the treatment of diabetes and other diseases. Liu, Gang; Sham, Hing L.; Szczepankiewicz, Bruce G.; Xin, Zhili; Zhao, Hongyu; Serby, Michael D.; Liu, Bo; Liu, Mei (USA). U.S. Pat. Appl. Publ. US 2006173050 A1 20060803, 99pp. (English). CODEN: USXXCO. APPLICATION: US 2006-337862 20060123. PRIORITY: US 2005-648298P 20050128.
GI



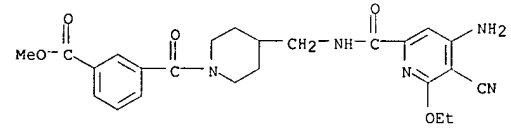
AB Title compds. I [wherein L = -C(O)-, -NH-, -C(NH)-NH-, etc.; R1 = alkenyl, alkenyloxyalkyl, alkoxyalkoxyalkyl, etc.; R2, R4 = H, alkyl, alkylcarbonyl, etc.; R3 = H, alkyl, azido, halo, etc.; R5 = alkenyl, alkoxy, alkyl, etc.] and pharmaceutically acceptable prodrugs and salts thereof were prepared as inhibitors of c-jun n-terminal kinases (JNK). For instance, substitution of 2-bromo-4,6-diaminonicotinonitrile with EtONa under microwave heating (65% yield) followed by N-acylation with acetyl

chloride (50% yield) gave N-pyridinylacetamide II. I were found to inhibit the activities of JNK1 and JNK2 with IC50 in a range of about 0.001 μM to about 10 μM. Therefore, I and their pharmaceutical compns. are useful for the prevention or treatment of disorders regulated by the activation of JNK1, JNK2 and JNK3, such as diabetes.

IT 904311-57-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of pyridine derivs. as inhibitors of c-jun n-terminal kinases for the treatment of diabetes and other diseases)
RN 904311-57-5 CAPLUS
CN Benzoic acid, 4-[[4-[[[(4-amino-5-cyano-6-ethoxy-2-pyridinyl)carbonyl]amino]methyl]-1-piperidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 904312-09-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyridine derivs. as inhibitors of c-jun n-terminal kinases for the treatment of diabetes and other diseases)
RN 904312-09-0 CAPLUS
CN Benzoic acid, 3-[[4-[[[(4-amino-5-cyano-6-ethoxy-2-pyridinyl)carbonyl]amino]methyl]-1-piperidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2006:131962 Document No. 144:184540 High-efficacy 5-hydroxytryptamine 1A receptor activation counteracts opioid hyperallodynia and affective conditioning. Colpaert, Francis C.; Deseure, Kristof; Stinus, Luis; Adriaensen, Hugo (Centre de Recherche Pierre Fabre, Castres, Fr.). Journal of Pharmacology and Experimental Therapeutics, 316(2), 892-899 (English) 2006. CODEN: JPETAB. ISSN: 0022-3565. Publisher: American

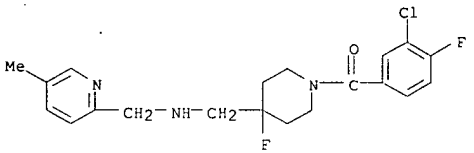
Society for Pharmacology and Experimental Therapeutics.

AB Pain may become intractable as tolerance develops to opioids and the opioids, paradoxically, induce pain. We examined the hypothesis that the analgesia produced by the novel analgesic and high-efficacy 5-hydroxytryptamine (5-HT)1A receptor agonist (3-chloro-4-fluoro-phenyl)-[4-fluoro-4-[[(5-methyl-pyridin-2-ylmethyl)-amino]methyl]piperidin-1-yl]methanone, fumaric acid salt (F 13640) may counteract opioid-induced pain. In studies of the somatosensory quality of pain in infraorbital nerve-injured rats, morphine infusion (5 mg/day) by means of osmotic pumps initially caused analgesia (i.e., decreased the behavioral response to von Frey filament stimulation), followed by hyperallodynia and analgesic tolerance. Infusion of F 13640 (0.63 mg/day) prevented the development of opioid hyperallodynia and reversed opioid hyperallodynia once established. In studies of the affective/motivational quality of pain, F 13640 both prevented and reversed the conditioned place aversion induced by naloxone (0.04 mg/kg i.p.) in morphine-infused rats; F 13640 also prevented and reversed the conditioned place preference induced by morphine injections (7.5 mg/kg i.p.). The data confirm that opioids produce bidirectional hypo- and proalgesic actions, and offer initial evidence that high-efficacy 5-HT1A receptor activation counteracts both the sensory and the affective/motivational qualities of opioid-induced pain. The data also indicate that F 13640 may be effective with opioid-resistant pain. It further is suggested that opioid addiction may represent self-therapy of opioid-induced pathol. pain.

IT 208110-64-9, F 13640
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(high-efficacy 5-hydroxytryptamine 1A receptor activation counteracts opioid hyperallodynia and affective conditioning)

RN 208110-64-9 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

2006:107040 Document No. 145:20222 5-HT1A receptor activation: new molecular and neuroadaptive mechanisms of pain relief. Colpaert, Francis C. (Institut de Recherche Pierre Fabre, Toulouse, 31432/4, Fr.). Current Opinion in Investigational Drugs (Thomson Scientific), Volume Date 2006, 7(1), 40-47 (English) 2005. CODEN: COIDAZ. ISSN: 1472-4472. Publisher: Thomson Scientific.

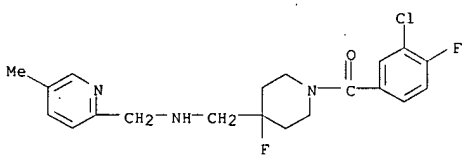
AB A review. Guided by an understanding of signal transduction in pain-processing systems, high-efficacy 5-hydroxytryptamine (5-HT)1A receptor activation, by means of F-13640, has been discovered as a new mol. mechanism of pain relief in laboratory animals, inducing two neuroadaptive phenomena. Firstly, this activation cooperates with nociceptive stimulation, paradoxically causing analgesia, and secondly, inverse

tolerance develops so that the resulting analgesia grows rather than decays. As an apparent result of these novel neuroadaptive mechanisms, F-13640 exerts an analgesic action in rat models of acute, tonic and chronic nociceptive pain that is rivaled only by large doses of high-efficacy μ-opioid receptor agonists. In models of neuropathic allodynia of peripheral or central origin, chronic F-13640 administration causes an analgesia that surpasses that observed with morphine or other agents exemplifying other central nervous system drug mechanisms of pain relief (eg, ketamine, imipramine and gabapentin). Indeed, F-13640 produces long-lasting, preemptive and, most remarkably, curative-like actions in neuropathic allodynia. Although awaiting proof-of-concept evidence in humans, high-efficacy 5-HT1A receptor activation may uniquely challenge the opioids for pain therapy.

IT 208110-64-9, F-13640
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(F-13640 was effective in 5-HT1T receptor activation as new mol. mechanism of pain relief in laboratory animals)

RN 208110-64-9 CAPLUS

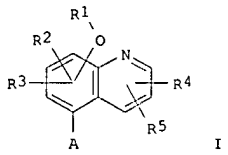
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



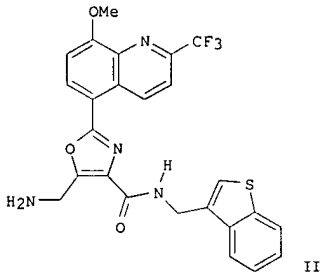
L4 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

2005:1289687 Document No. 144:51568 Preparation of substituted 2-quinolyl-oxazoles and their heterocyclic analogs useful as pde4 inhibitors. Kuang, Rongze; Blythin, David; Shih, Neng-Yang; Shue, Ho-Jane; Chen, Xiao; Cao, Jianhua; Gu, Danlin; Huang, Ying; Schwerdt, John H.; Ting, Pauline C.; Wong, Shing-Chun; Xiao, Li (Schering Corporation, USA). PCT Int. Appl. WO 2005116009 A1 20051208, 233 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2005-US17134 20050516. PRIORITY: US 2004-572266P 20040518.

GI



I



II

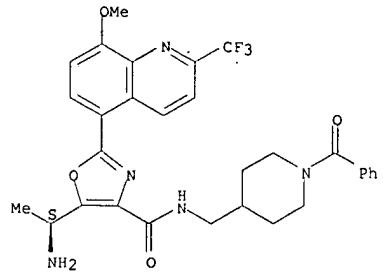
AB Title compds. I [R1 = H, alkyl, cycloalkyl; R2, R3 and R5 independently = H or halo; R4 = H, halo, alkyl, etc.; A = substituted oxazolyl, imidazole, thiazole or pyrrole], and their pharmaceutically acceptable salts, are prepared and disclosed as pde4 inhibitors. Thus, e.g., II was prepared in a multistep synthesis from 2-trifluoromethyl-8-methoxyquinolin-5-yl carboxylic acid. In PDE4 assays, selected compds. possessed IC50 values ranging from 0.01-1.8 nM. Also claimed are pharmaceutical compns., the use of the compds. as PLE4 inhibitors, and combinations with other actives.

IT 871004-91-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted quinolyloxazoles and their heterocyclic analogs useful as PDE4 inhibitors)

RN 871004-91-0 CAPLUS

CN 4-Oxazolecarboxamide, 5-[(1S)-1-aminoethyl]-N-[(1-benzoyl-4-piperidinyl)methyl]-2-[8-methoxy-2-(trifluoromethyl)-5-quinolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L4 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

2005:1185552 Document No. 144:51078 Conformational analysis and crystal structure of {[1-(3-chloro-4-fluorobenzoyl)-4-fluoropiperidin-4yl]methyl}[(5-methylpyridin-2-yl)methyl]amine, fumaric acid salt. Ribet, J. P.; Pena, R.; Maurel, J. L.; Belin, C.; Tillard, M.; Vacher, B.; Bonnaud, B.; Colpaert, F. (Institut de Recherche Pierre Fabre, Castres, 81106, Fr.). Spectrochimica Acta, Part A: Molecular and Biomolecular Spectroscopy, 62A(1-3), 353-363 (English) 2005. CODEN: SAMCAS. ISSN: 1386-1425. Publisher: Elsevier B.V..

AB {[1-(3-Chloro-4-fluorobenzoyl)-4-fluoropiperidin-4yl]methyl}[(5-methylpyridin-2-yl)methyl]amine, fumaric acid salt (C20H22ClF2N3O, C4H4O4) (1) was synthesized and characterized by the complete 1H, 13C and 19F NMR analyses. The conformation of the piperidin ring, in the solution state, was particularly studied from the coupling consts. determined by recording a double-quantum filtered COSY experiment in phase-sensitive mode. 1H NMR line-shape anal. was used, at temps. varying between -5 and +60 °C, to determine the enthalpy of activation of the rotational barrier around the C-N bond. Compound 1 crystallizes in the triclinic space group P1 with a = 8.517(3) Å, b = 12.384(2) Å, c = 12.472(3) Å, α = 70.88(2)°, β = 82.04(2)°, γ = 83.58(2)°. The solid and solution conformations are similar. Thermal stability and phases transitions were studied by TGA and DSC. Also polymorphism screening was studied from recrystn. of 1 performed in seven solvents and by slurry conversion in water. The x-ray powder diffraction (XRPD) and DSC results suggested that 1 crystallizes into one crystalline form which melts at 157 °C (ΔH = 132 J g-1).

IT 208110-65-0
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); PROC (Process)
(crystallog.; conformational anal. and crystallog. of {[1-(3-chloro-4-fluorobenzoyl)-4-fluoropiperidin-4-yl]methyl}[(5-methylpyridin-2-yl)methyl]amine, fumaric acid salt)

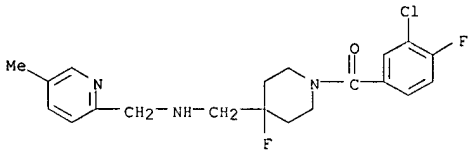
RN 208110-65-0 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX

NAME)

CM 1

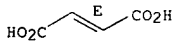
CRN 208110-64-9
CMF C20 H22 Cl F2 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

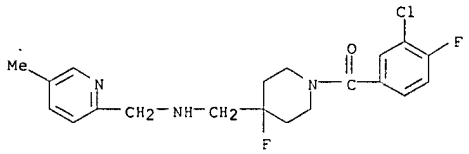


L4 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2005:1171443 Document No. 143:432676 New pharmaceutical compositions for the treatment of sexual disorders. Mendla, Klaus; Pyke, Robert; Eisenreich, Wolfram; Friedl, Thomas (Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharmaceuticals, Inc.; Boehringer Ingelheim Pharma GmbHH & Co. KG). PCT Int. Appl. WO 2005102342 A1 20051103, 71 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2005-EP4081 20050418. PRIORITY: US 2004-564662P 20040422; US 2004-631800P 20041130.

AB The invention relates to new pharmaceutical compns. for the treatment of sexual disorders and methods for the preparation thereof. In a preferred embodiment, the instant invention is directed to pharmaceutical combinations comprising flibanserin as one active ingredient in combination with at least one addnl. active ingredient for the treatment of sexual disorders and methods for the preparation thereof.

IT 208110-64-9, F-13640
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(new pharmaceutical compns. for treatment of sexual disorders)

RN 208110-64-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

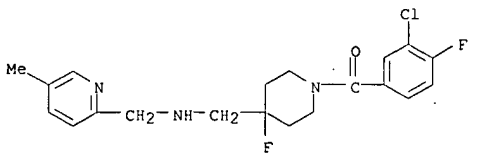


L4 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2005:1164779 Document No. 143:432499 The novel analgesic, F 13640, produces intra- and postoperative analgesia in a rat model of surgical pain. Kiss, Ivan; Degryse, Anne-Dominique; Bardin, Laurent; Gomez de Segura, Ignacio Alvarez; Colpaert, Francis C. (Klinik fuer Anaesthesie, Intensivmedizin und Schmerztherapie, Alfried Krupp Krankenhaus, Essen, 45117, Germany). European Journal of Pharmacology, 523(1-3), 29-39 (English) 2005. CODEN: EJPHAZ. ISSN: 0014-2999. Publisher: Elsevier B.V..

AB F 13640 is a newly discovered high-efficacy 5-HT1A receptor agonist that produces exceptional analgesia in animal models of tonic and chronic, nociceptive and neuropathic pains by novel mol. and neuroadaptive mechanisms. Here the authors examined the effects of F 13640 and remifentanil (0.63 mg/kg with either compound) when injected i.p. either before or 15 min after rats underwent orthopedic surgery. Surgery consisted of the drilling of a hole in the calcaneus bone and of an incision of the skin, fascia and plantar muscle of one foot. During surgery, the concentration of volatile isoflurane was progressively incremented depending on the animal's response to surgical maneuvers. Other expts. examined the dose-dependent effects of F 13640 (0.04 to 0.63 mg/kg) on surgical pain as well as on the Min. Alveolar Concentration of isoflurane. Both F 13640 and remifentanil markedly reduced the intraoperative isoflurane requirement. F 13640 also reduced measures of postoperative pain (i.e., paw elevation and flexion). With these postoperative measures, remifentanil produced short-lived analgesia followed by hyperalgesia. F 13640 significantly reduced both surgical pain and the isoflurane Min. Alveolar Concentration from 0.16 mg/kg onward. F 13640 produced powerful intra- and postoperative analgesia in rats undergoing orthopedic surgery. Unlike the opioid, remifentanil, F 13640 caused no hyperalgesia with ongoing postoperative pain, and should remain effective with protracted postoperative use.

IT 208110-64-9, F 13640
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel analgesic, F 13640, produces intra- and postoperative analgesia in a rat model of surgical pain)

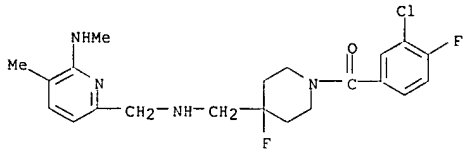
RN 208110-64-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2005:1132224 Document No. 143:456466 Differential ion current activation by human 5-HT1A receptors in Xenopus oocytes: Evidence for agonist-directed trafficking of receptor signalling. Heusler, Peter; Pauwels, Petrus J.; Wurch, Thierry; Newman-Tancredi, Adrian; Tytgat, Jan; Colpaert, Francis C.; Cussac, Didier (Centre de Recherche Pierre Fabre, Castres, F-81106, Fr.). Neuropharmacology, 49(7), 963-976 (English) 2005.. CODEN: NEPHEW. ISSN: 0028-3908. Publisher: Elsevier B.V..
AB The subject of the present study was the functional and pharmacol. characterization of human 5-HT1A receptor regulation of ion channels in Xenopus oocytes. Activation of the heterologously expressed human 5-HT1A receptor induced two distinct currents in Xenopus oocytes, consisting of a smooth inward current (Ismooth) and an oscillatory calcium-activated chloride current, ICl(Ca). 5-HT1A receptor coupling to both ionic responses as well as to co-expressed inward rectifier potassium (GIRK) channels was pharmacol. characterized using 5-HT1A receptor agonists. The relative order of efficacy for activation of GIRK current was 5-HT ≈ F13714 ≈ L694,247 ≈ LY228,729 > flesinoxan ≈ (±)8-OH-DPAT. In contrast, flesinoxan and (±)8-OH-DPAT typically failed to activate ICl(Ca). The other ligands behaved as full or partial agonists, exhibiting an efficacy rank order of 5-HT ≈ L694,247 > F13714 ≈ LY228,729. The pharmacol. profile of Ismooth activation was completely distinct: flesinoxan and F13714 were inactive and rather exhibited an inhibition of this current. Ismooth was activated by the other agonists with an efficacy order of L694,247 > 5-HT ≈ LY228,729 > (±)8-OH-DPAT. Moreover, activation of Ismooth was not affected by application of pertussis toxin or the non-hydrolyzable GDP-analog, guanosine-5'-O-(2-thio)-diphosphate (GDPβS), suggesting a GTP binding protein-independent pathway. Together, these results suggest the existence of distinct and agonist-specific signaling states of this receptor.
IT 208109-39-1, F13714
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(differential ion current activation by human 5-HT1A receptors in Xenopus oocytes and evidence for agonist-directed trafficking of receptor signaling)
RN 208109-39-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(methylamino)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

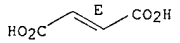
CRN 208109-38-0
CMF C21 H25 Cl F2 N4 O



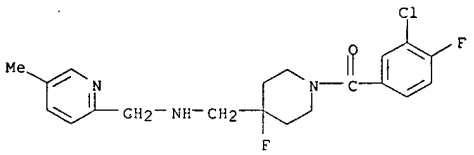
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2005:462544 Document No. 143:71613 Effects of the high-efficacy 5-HT1A receptor agonist, F 13640 in the formalin pain model: A c-Fos study. Buritova, Jaroslava; Larrue, Sonia; Aliaga, Monique; Besson, Jean-Marie; Colpaert, Francis (Centre de Recherche Pierre Fabre, Castres, 81106, Fr.). European Journal of Pharmacology, 514(2-3), 121-130 (English) 2005. CODEN: EJPHAZ. ISSN: 0014-2999. Publisher: Elsevier B.V..
AB We studied the effects of the high-efficacy 5-hydroxytryptamine1A (5-HT1A) receptor agonist, F 13640 on both formalin-induced spinal cord c-Fos protein expression and pain behaviors in the rat. Replicating earlier data, F 13640 (0.63 mg/kg, i.p.; t -15 min) completely inhibited the elevation and licking of the formalin-injected paw. In the same animals, and in spite of the agent as in earlier data increasing the number of c-Fos labeled nuclei when it was administered alone, F 13640 markedly reduced the number of formalin-induced c-Fos labeled nuclei. This was found in both the superficial (I-II) and deep (V-VI) dorsal horn laminae (2 h post-injection: 72±2% and 92±1% of reduction, resp.; $P < 0.001$ in either case), spinal areas that contain neurons responsive to nociceptive stimulation. Co-operation occurred so that after the co-administration of F 13640 and formalin, c-Fos expression was inferior to that induced when either stimulation was administered alone. The data provide initial evidence for the agent's inhibitory effects on noxiously evoked c-Fos expression. The results indicate that co-operation between 5-HT1A receptor activation and nociceptive stimulation powerfully inhibits responses to severe, tonic nociception.
IT 208110-64-9, F 13640
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of 5-hydroxytryptamine1A (5-HT1A) receptor agonist, F-13640 on both formalin-induced spinal cord c-Fos protein expression and pain behaviors in the rat)
RN 208110-64-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

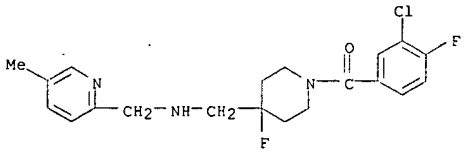


L4 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2005:207292 Document No. 142:309744 Dual, hyperalgesic, and analgesic effects of the high-efficacy 5-hydroxytryptamine 1A (5-HT1A) agonist F 13640 [(3-chloro-4-fluoro-phenyl)-[4-fluoro-4-[[(5-methyl-pyridin-2-ylmethyl)-amino]-methyl]piperidin-1-yl]methanone, fumaric acid salt]: relationship with 5-HT1A receptor occupancy and kinetic parameters. Bardin, Laurent; Assie, Marie-Bernadette; Pelissou, Martine; Royer-Urios, Isabelle; Newman-Tancredi, Adrian; Ribet, Jean-Paul; Sautel, Francois; Koek, Wouter; Colpaert, Francis C. (Centre de Recherche Pierre Fabre, Castres, Fr.). Journal of Pharmacology and Experimental Therapeutics, 312(3), 1034-1042 (English) 2005. CODEN: JPETAB. ISSN: 0022-3565. Publisher: American Society for Pharmacology and Experimental Therapeutics.

AB The aim of the present study was to establish the relationship between the plasma and brain concentration-time profiles of F 13640 [(3-chloro-4-fluoro-phenyl)-[4-fluoro-4-[[(5-methyl-pyridin-2-ylmethyl)-amino]-methyl]piperidin-1-yl]methanone, fumaric acid salt] after acute administration and both its hyper- and hypoanalgesic effects in rats. The maximal plasma concentration (Cmax) of F 13640 after i.p. administration of 0.63 mg/kg was obtained at 15 min and decreased to half its maximal value after about 1 h. The amount of F 13640 collected by means of in vivo microdialysis in hippocampal dialyzates could be measured reliably after 0.63 and 2.5 mg/kg, reached its maximum at about 1 h, and fell to half of its maximal value at about 3 h. 5-Hydroxytryptamine 1A (5-HT1A) receptor occupancy was estimated by ex vivo binding in rat brain sections. F 13640 inhibited [3H]8-hydroxy-2-[di-n-propylamino] tetralin binding ex vivo in rat hippocampus, entorhinal cortex, and frontal cortex (ED50, 0.34 mg/kg i.p.). Maximal inhibition was reached at approx. 30 min after 0.63 mg/kg F 13640 and fell to half of its value after about 4 to 8 h. After injection (15 min) in the paw pressure test, F 13640 (0.63 mg/kg i.p.) induced an initial hyperalgesia that was followed 4 h later by a paradoxical analgesia that lasted until 8 h. In contrast, in the formalin test, F 13640 inhibited pain behaviors until 4 h after drug administration. F 13640 also produced elements of the 5-HT syndrome that lasted up to 4 h after administration. These results demonstrate that F 13640 induces hyperalgesia and/or analgesia with a time course that parallels the occupancy of 5-HT1A receptors and the presence of the compound in blood and brain.

IT 208110-64-9, F 13640
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); BIOL (Biological study)
(dual, hyperalgesic, and analgesic effects of high-efficacy 5-hydroxytryptamine 1A agonist F 13640 and relation to 5-HT1A receptor occupancy)

RN 208110-64-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

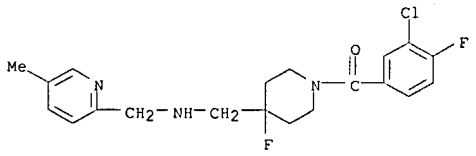


L4 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2004:1066608 Document No. 142:273834 The novel analgesic and high-efficacy 5-HT1A receptor agonist F 13640 inhibits nociceptive responses, wind-up, and after-discharges in spinal neurons and withdrawal reflexes. You, Hao-Jun; Colpaert, Francis C.; Arendt-Nielsen, Lars (Center for Sensory-Motor Interaction, Laboratory for Experimental Pain Research, Aalborg University, Aalborg, 9220, Den.). Experimental Neurology, 191(1), 174-183 (English) 2005. CODEN: EXNEAC. ISSN: 0014-4886. Publisher: Elsevier.

AB Evidence shows that serotonin (5-HT) is involved in the transmission of nociception in the central nervous system. Using a new electrophysiol. method of simultaneous recordings in rats we examined the actions of the novel analgesic and high-efficacy 5-HT1A receptor agonist F 13640 as well as those of the opioid receptor agonist fentanyl on simultaneously evoked responses of spinal dorsal horn (DH) wide-dynamic range (WDR) neurons and spinal withdrawal reflexes. Spinal withdrawal reflexes were studied by assessing the activity of single motor units (SMUs) electromyog. (EMG). Like that of 0.02 mg/kg fentanyl, i.p. injection of 0.31 mg/kg of F 13640 markedly inhibited nociceptive pinch-evoked responses as well as C-fiber-mediated late responses including wind-up of both DH WDR neurons and SMUs to suprathreshold (1.5 × T) repeated (3 Hz) elec. stimulation. Specifically, in contrast to no significant depressive effects by fentanyl on 20 Hz elec. evoked after-discharge of DH WDR neurons, the after-discharges of DH WDR neurons and SMUs were significantly inhibited by F 13640 ($P < 0.05$ and $P < 0.001$, resp.). The inhibitory effects of F 13640 and fentanyl on responses of DH WDR neurons and SMUs were reversed by the specific antagonists WAY 100635 and naloxone, resp., further indicating that this 5-HT1A receptor-modulated anti-nociception is μ-opioid receptor independent. For the first time, 5-HT1A receptors are clearly proved to be involved in the progressive wind-up to 3-Hz frequency of elec. stimulation as well as after-discharges of sensory input of DH WDR neurons, and simultaneously recorded motor output of spinal reflexes to 20-Hz frequency of elec. stimulation; this suggests that serotonin, through 5-HT1A receptors, exerts an inhibitory role in the control of obstinate pathol. pain.

IT 208110-64-9, F 13640
RL: PAC (Pharmacological activity); BIOL (Biological study)
(F 13640 inhibited nociceptive responses, wind up, and after-discharges of DH spinal neuron and withdrawal reflexes and suggested serotonin through 5-HT1A receptor and not μ-opioid receptor inhibited obstinate pathol. pain in rat)
RN 208110-64-9 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

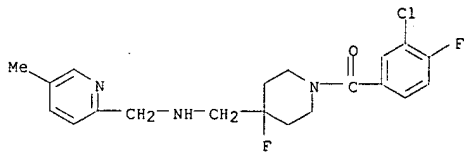


L4 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2004:947719 Document No. 142:212146 Effects of the combined continuous administration of morphine and the high-efficacy 5-HT1A agonist, F 13640 in a rat model of trigeminal neuropathic pain. Deseure, Kristof R.; Adriaensen, Hugo F.; Colpaert, Francis C. (Laboratory of Anesthesiology, University of Antwerp, Antwerp, B-2610, Belg.). European Journal of Pain (Amsterdam, Netherlands), 8(6), 547-554 (English) 2004. CODEN: EJPAFJ. ISSN: 1090-3801. Publisher: Elsevier B.V..

AB F 13640 is a recently discovered high-efficacy 5-HT1A receptor agonist that has demonstrated robust anti-allodynic efficacy in a rat model of trigeminal neuropathic pain upon acute and continuous administration. In this model, continuous morphine infusion (5 mg/day) was shown to be effective during the first week of its administration but became almost completely ineffective by the end of the second week; F 13640's effectiveness (0.63 mg/day) remained unchanged during two weeks. Here, we examined the effects of combining F 13640 infusion with that of morphine. During the first week, the combination of the two agents produced a magnitude of effect that was similar to that of morphine when given alone and larger than that of F 13640 alone. During the second week, the combination produced an effect that was similar to that of F 13640 alone, and more effective than that of morphine alone. The latter data suggest that the 5-HT1A agonist, F 13640, inhibits the development of tolerance to morphine in this model. However, it is also possible that little, if any, interaction occurred between the different mechanisms initiated by opioid and 5-HT1A receptor activation, and that the anti-allodynic effect that remained by the end of the two-week treatment period is due solely to 5-HT1A receptor activation. The stable effects of F 13640 during the second week of treatment surpassed those of morphine and were not improved by the addition of morphine to F 13640.

IT 208110-64-9, F-13640
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(morphine and F-13640 combination had efficacy similar to F-13640 alone and different from morphine alone in 2 wk in rat trigeminal neuropathic pain model indicating 5-HT1A agonist inhibited development of tolerance to morphine)

RN 208110-64-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

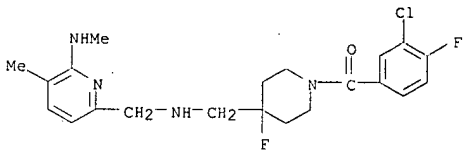


IT 208109-39-1, F-13714
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(morphine and F-13714 combination had efficacy similar to F-13714 alone and different from morphine alone in 2 wk in rat trigeminal neuropathic pain model indicating 5-HT1A agonist inhibited development of tolerance to morphine)

RN 208109-39-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(methylamino)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

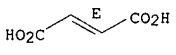
CRN 208109-38-0
CMF C21 H25 Cl F2 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2004:645390 Document No. 141:200043 High-efficacy 5-HT1A receptor activation causes a curative-like action on allodynia in rats with spinal cord injury. Colpaert, Francis C.; Wu, Wei-Ping; Hao, Jing-Xia; Royer, Isabelle; Sautel, Francois; Wiesenfeld-Hallin, Zsuzsanna; Xu, Xiao-Jun

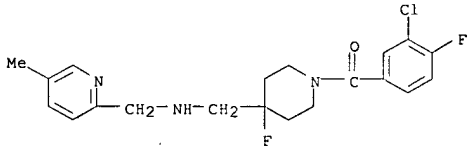
(Centre de Recherche Pierre Fabre, Castres, 81100, Fr.). European Journal of Pharmacology, 497(1), 29-33 (English) 2004. CODEN: EJPHAZ. ISSN: 0014-2999. Publisher: Elsevier.

AB The selective, high-efficacy 5-HT1A receptor agonist, (3-chloro-4-fluoro-phenyl)-[4-fluoro-4-{[(5-methyl-pyridin-2-ylmethyl)-amino]-methyl}piperidin-1-yl]-methanone (F 13640) has been reported to produce long-term analgesia in rodent models of chronic nociceptive and neuropathic pain; it also preempts allodynia following spinal cord injury. Here, rats underwent spinal cord injury, fully developed allodynia, and were infused with saline or 0.63 mg/day of F 13640 for 56 days. Infusion was then discontinued, and further assessments of allodynia (vocalization threshold to von Frey filament stimulation, responses to brush and cold) were conducted for another 70 days. F 13640-induced analgesia persisted during this post-treatment period. The data offer initial evidence that high-efficacy 5-HT1A receptor activation produces an unprecedented curative-like action on pathol. pain.

IT 208110-64-9, F 13640
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-HT1A receptor activation causes curative-like action on allodynia in rats with spinal cord injury)

RN 208110-64-9 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

2004:452952 Document No. 141:1296 Method of using a cyclooxygenase 2 (COX-2) inhibitor and a 5-HT1A receptor modulator as a combination therapy for pain, inflammation, and other conditions. Stephenson, Diane T.; Taylor, Duncan P. (Pharmacia Corporation, USA). PCT Int. Appl. WO 2004045509 A2 20040603, 195 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2003-US35739 20031111. PRIORITY: US 2002-427198P 20021118.

AB Compns. and methods to treat or prevent pain, inflammation, or inflammation-related disorder, as well as a neurol. disorder involving neurodegeneration involve a combination of a COX-2 inhibitor and a 5-HT1A receptor modulator.

IT 208109-39-1, F 13714 208110-64-9, F 13640
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

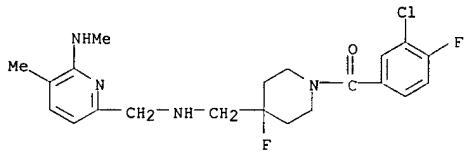
(Biological study); USES (Uses)
(COX2 inhibitor-5-HT1A modulator combination for treatment of pain, inflammation, and other conditions)

RN 208109-39-1 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-6-(methylamino)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

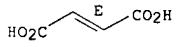
CRN 208109-38-0
CMF C21 H25 Cl F2 N4 O



CM 2

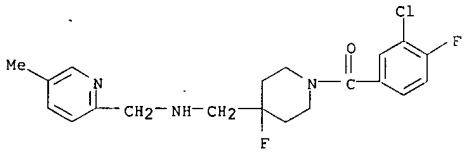
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 208110-64-9 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

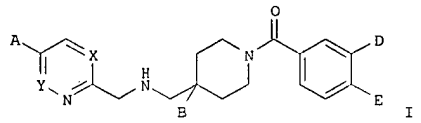


L4 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

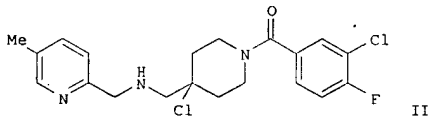
2003:990978 Document No. 140:42033 Preparation of aryl[4-halo-4-[(heteroaryl-methylamino)methyl]piperidin-1-yl]methanones as selective 5-HT1A receptor agonists for treatment of depression, pain, and drug dependence. Vacher,

Bernard; Bonnaud, Bernard; Maurel, Jean Louis; Colpaert, Francis (Pierre Fabre Medicament, Fr.). Fr. Demande FR 2840900 A1 20031219, 27 pp. (French). CODEN: FRXXBL. APPLICATION: FR 2002-7470 20020618.

GI



I



II

AB Title compds. I [wherein X = CH, N; Y = CH, N; A = Me, CH2F, CN, OH, OMe, Cl, F; when A = Me, and X = Y = CH, then B = Cl; B = Cl, F; D = H, Cl, F, CN, CF3; E = H, F, Cl; their addition salts with acids, hydrates, pharmaceutical acceptable salts, and tautomers] were prepared as selective 5-HT1A receptor agonists. For example, II was prepared by reductive amination of 5-methyl-pyridine-2-carboxaldehyde with (4-aminomethyl-4-chloropiperidin-1-yl)-(3-chloro-4-fluorophenyl)methanone in the presence of NaBH(OAC)3/mol. sieves/CH2Cl2 for 2 h at room temperature II were inhibitors of 5-HT1A receptor (pK = 9.1) as well as of dopamine receptor D2 (pKi < 5) in vitro. II selectively inhibited 5-HT1A receptor over D2 receptor by a factor > 1,000. Thus, I and their pharmaceutical compns. are useful for treating depression, pain, and drug dependence.

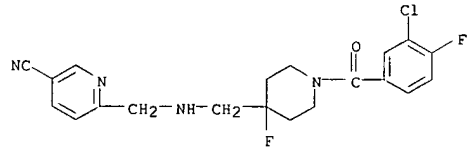
IT 635323-80-7P, (3-Chloro-4-fluorophenyl)-[4-fluoro-4-[[(5-cyanopyridin-2-ylmethyl)amino]methyl]piperidin-1-yl]methanone 635323-85-2P, (3-Chloro-4-fluorophenyl)-[4-fluoro-4-[[(5-chloropyridin-2-ylmethyl)amino]methyl]piperidin-1-yl]methanone 635323-90-9P, (3-Chloro-4-fluorophenyl)-[4-fluoro-4-[[(5-fluoromethylpyridin-2-ylmethyl)amino]methyl]piperidin-1-yl]methanone 635323-95-4P, (3-Chloro-4-fluorophenyl)-[4-fluoro-4-[[(5-methylpyrimidin-2-ylmethyl)amino]methyl]piperidin-1-yl]methanone 635324-00-4P, (3,4-Dichlorophenyl)-[4-fluoro-4-[[(5-methylpyrimidin-2-ylmethyl)amino]methyl]piperidin-1-yl]methanone 635324-04-8P, (3,4-Dichlorophenyl)-[4-fluoro-4-[[(6-methylpyridazin-3-ylmethyl)amino]methyl]piperidin-1-yl]methanone 635324-10-6P, (4-Fluorophenyl)-[4-fluoro-4-[[(5-methylpyridin-2-ylmethyl)amino]methyl]piperidin-1-yl]methanone 635324-14-0P,

(3,4-Difluorophenyl)-[4-fluoro-4-[[(5-methylpyridin-2-ylmethyl)amino]methyl]piperidin-1-yl]methanone 635324-19-5P, (3-Fluoro-4-chlorophenyl)-[4-fluoro-4-[[(5-methylpyridin-2-ylmethyl)amino]methyl]piperidin-1-yl]methanone 635324-23-1P, (3-Cyano-4-fluorophenyl)-[4-fluoro-4-[[(5-methylpyridin-2-ylmethyl)amino]methyl]piperidin-1-yl]methanone 635324-33-3P, (3-Chloro-4-fluorophenyl)-[4-fluoro-4-[[(6-methylpyridazin-3-ylmethyl)amino]methyl]piperidin-1-yl]methanone 635324-36-6P, (3-Chloro-4-fluorophenyl)-[4-chloro-4-[[(5-methylpyridin-2-ylmethyl)amino]methyl]piperidin-1-yl]methanone 635324-40-2P, (3-Trifluoromethylphenyl)-[4-fluoro-4-[[(5-methylpyridin-2-ylmethyl)amino]methyl]piperidin-1-yl]methanone

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(5-HT1A receptor agonist; preparation of piperidinylmethanones as selective 5-HT1A receptor agonists)

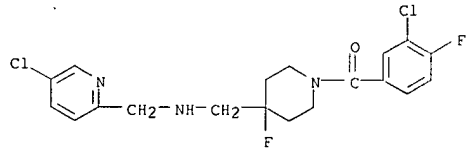
RN 635323-80-7 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[(5-cyano-2-pyridinyl)methyl]-4-fluoro- (9CI) (CA INDEX NAME)



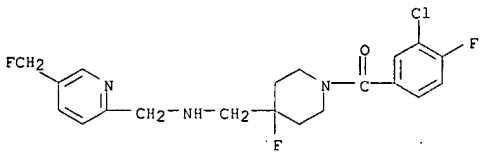
RN 635323-85-2 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[(5-chloro-2-pyridinyl)methyl]-4-fluoro- (9CI) (CA INDEX NAME)

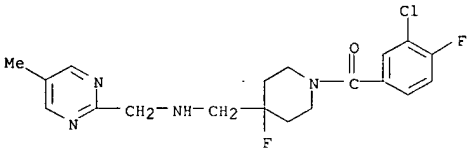


RN 635323-90-9 CAPLUS

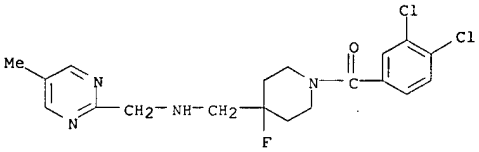
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-(fluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



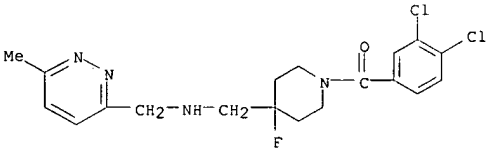
RN 635323-95-4 CAPLUS
CN Methanone, (3-chloro-4-fluorophenyl)[4-fluoro-4-[[[(5-methyl-2-pyrimidinyl)methyl]amino]methyl]-1-piperidinyl]- (CA INDEX NAME)



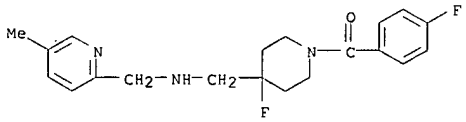
RN 635324-00-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



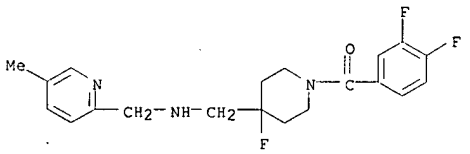
RN 635324-04-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[(6-methyl-3-pyridazinyl)methyl]- (9CI) (CA INDEX NAME)



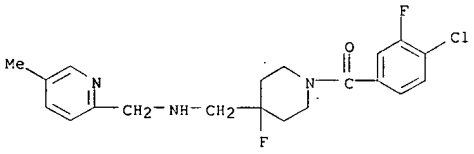
RN 635324-10-6 CAPLUS
CN 4-Piperidinemethanamine, 4-fluoro-1-(4-fluorobenzoyl)-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



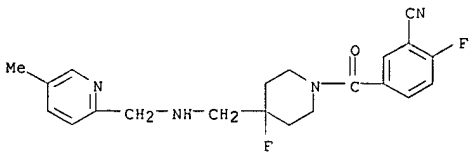
RN 635324-14-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-difluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



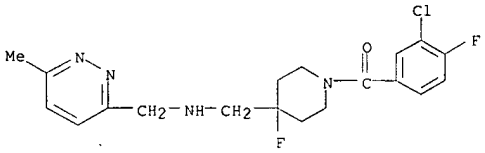
RN 635324-19-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(4-chloro-3-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



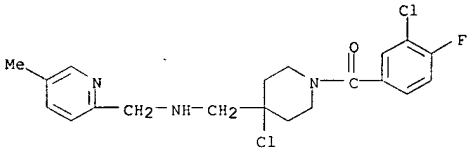
RN 635324-23-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-cyano-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



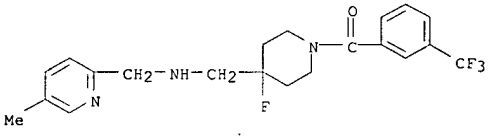
RN 635324-33-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(6-methyl-3-pyridazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 635324-36-6 CAPLUS
CN 4-Piperidinemethanamine, 4-chloro-1-(3-chloro-4-fluorobenzoyl)-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



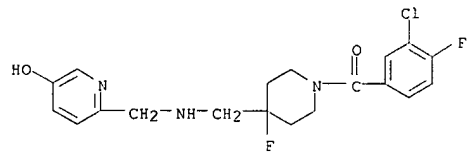
RN 635324-40-2 CAPLUS
CN 4-Piperidinemethanamine, 4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]-1-[3-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



IT 635323-77-2P, (3-Chloro-4-fluorophenyl)-[4-fluoro-4-[[(5-

hydroxypyridin-2-ylmethyl)amino]methyl]piperidin-1-yl]methanone 635323-81-8P 635323-86-3P 635323-91-0P 635323-96-5P 635324-01-5P 635324-03-7P, (3-Chloro-4-fluorophenyl)-[4-fluoro-4-[[(6-methylpyridazin-3-ylmethyl)amino]methyl]piperidin-1-yl]methanone dihydrochloride 635324-05-9P, (3,4-Dichlorophenyl)-[4-fluoro-4-[[(6-methylpyridazin-3-ylmethyl)amino]methyl]piperidin-1-yl]methanone oxalate 635324-07-1P, (3-Chloro-4-fluorophenyl)-[4-chloro-4-[[(5-methylpyridin-2-ylmethyl)amino]methyl]piperidin-1-yl]methanone dihydrochloride 635324-11-7P 635324-15-1P 635324-20-8P 635324-24-2P 635324-27-5P, (3-Trifluoromethylphenyl)-[4-fluoro-4-[[(5-methylpyridin-2-ylmethyl)amino]methyl]piperidin-1-yl]methanone dihydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(5-HT1A receptor agonist; preparation of piperidinylmethanones as selective 5-HT1A receptor agonists)

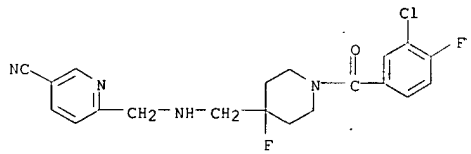
RN 635323-77-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-hydroxy-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



RN 635323-81-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[(5-cyano-2-pyridinyl)methyl]-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

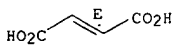
CRN 635323-80-7
CMF C20 H19 Cl F2 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

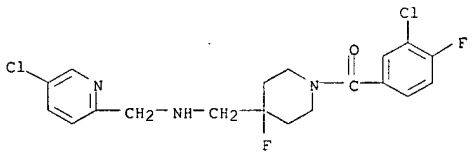
Double bond geometry as shown.



RN 635323-86-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[(5-chloro-2-pyridinyl)methyl]-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

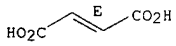
CRN 635323-85-2
CMF C19 H19 Cl2 F2 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

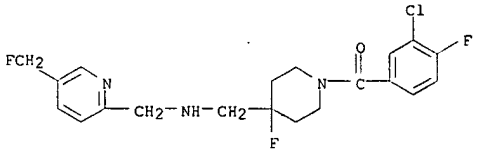
Double bond geometry as shown.



RN 635323-91-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-(fluoromethyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioat (1:1) (9CI) (CA INDEX NAME)

CM 1

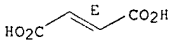
CRN 635323-90-9
CMF C20 H21 Cl F3 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

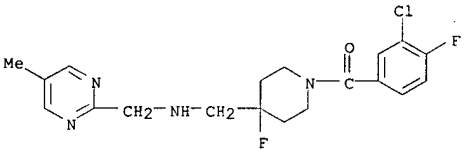
Double bond geometry as shown.



RN 635323-96-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyrimidinyl)methyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

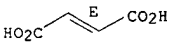
CRN 635323-95-4
CMF C19 H21 Cl F2 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

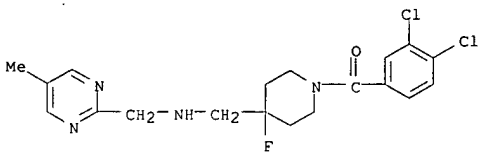


RN 635324-01-5 CAPLUS

CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyrimidinyl)methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

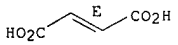
CRN 635324-00-4
CMF C19 H21 Cl2 F N4 O



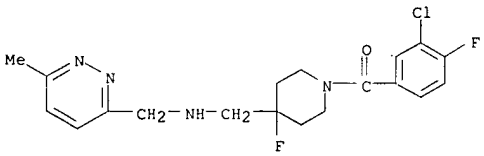
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 635324-03-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(6-methyl-3-pyridazinyl)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

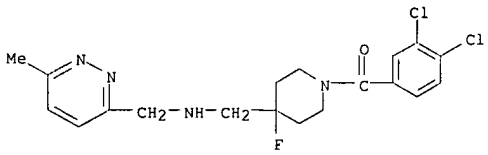


●2 HCl

RN 635324-05-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[(6-methyl-3-pyridazinyl)methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

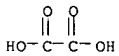
CM 1

CRN 635324-04-8
CMF C19 H21 Cl2 F N4 O

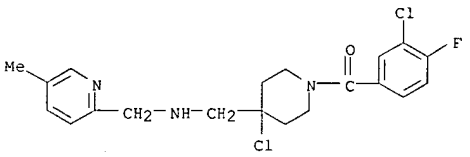


CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 635324-07-1 CAPLUS
CN 4-Piperidinemethanamine, 4-chloro-1-(3-chloro-4-fluorobenzoyl)-N-[(5-methyl-2-pyridinyl)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

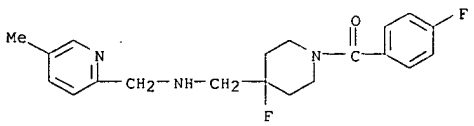


●2 HCl

RN 635324-11-7 CAPLUS
CN 4-Piperidinemethanamine, 4-fluoro-1-(4-fluorobenzoyl)-N-[(5-methyl-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

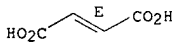
CRN 635324-10-6
CMF C20 H23 F2 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

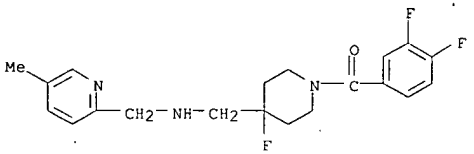
Double bond geometry as shown.



RN 635324-15-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-difluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

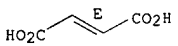
CRN 635324-14-0
CMF C20 H22 F3 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

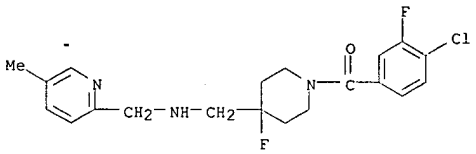


RN 635324-20-8 CAPLUS

CN 4-Piperidinemethanamine, 1-(4-chloro-3-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

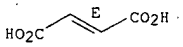
CRN 635324-19-5
CMF C20 H22 Cl F2 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

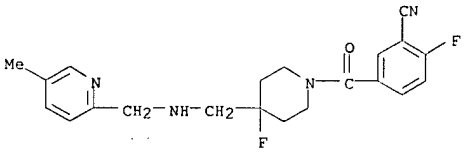
Double bond geometry as shown.



RN 635324-24-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-cyano-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 635324-23-1
CMF C21 H22 F2 N4 O

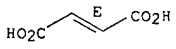


CM 2

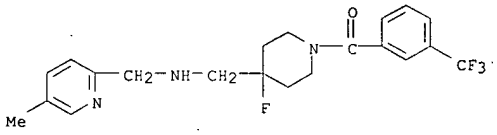
CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

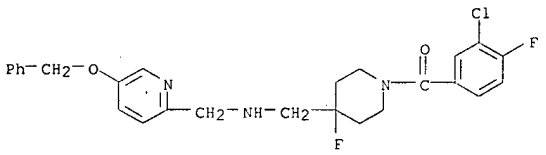


RN 635324-27-5 CAPLUS
CN 4-Piperidinemethanamine, 4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]-1-[3-(trifluoromethyl)benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



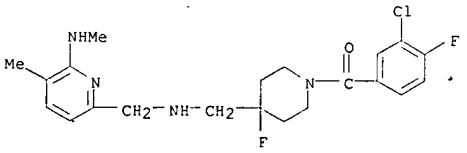
●2 HCl

IT 635324-47-9P, [4-[[(5-Benzyloxypyridin-2-ylmethyl)amino]methyl]-4-fluoro-piperidin-1-yl](3-Chloro-4-fluorophenyl)methanone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperidinylmethanones as selective 5-HT1A receptor agonists)
RN 635324-47-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-(phenylmethoxy)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

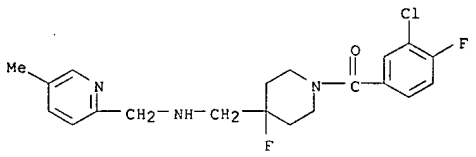


L4 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2003:860188 Document No. 140:23550 Ca2+ responses in Chinese hamster ovary-K1 cells demonstrate an atypical pattern of ligand-induced 5-HT1A receptor activation. Pauwels, Petrus J.; Colpaert, Francis C. (Department of Cellular and Molecular Biology, Centre de Recherche Pierre Fabre, Castres, Fr.). Journal of Pharmacology and Experimental Therapeutics, 307(2), 608-614 (English) 2003. CODEN: JPETAB. ISSN: 0022-3565. Publisher: American Society for Pharmacology and Experimental

Therapeutics.
AB Little exptl. evidence has been reported for diverse signaling via 5-hydroxytryptamine (5-HT)1A receptors despite the fact that agonists seem to be more efficacious at dorsal raphe somatodendritic 5-HT1A autoreceptors than at postsynaptic 5-HT1A receptors. The present study investigated Ca2+ responses in Chinese hamster ovary (CHO)-K1 cells expressing a human 5-HT1A receptor by 5-HT, prototypical 5-HT1A agonists, N-(3-chloro-4-fluorobenzoyl)-4-fluoro-4-[(5-methyl-6-; methylaminopyridin-2-yl)-methylaminomethyl]-piperidine (F 14679), and especially
N-(3-chloro-4-fluorobenzoyl)-4-fluoro-4-[(5-methylpyridin-2-yl)-; methylaminomethyl]piperidine (F 13640) as representative ligands of a new chemical class (methylamino-pyridine) that combines both high efficacy and selectivity for 5-HT1A receptors. 5-HT (pEC50 = 6.70) induced a pertussis toxin-sensitive, transient high-magnitude Ca2+ response. High-magnitude Ca2+ responses (Emax, percentage vs. 5-HT) were also found with F 13640 (107), 5-carboxamidotryptamine (100), and F 14679 (87). In contrast, the prototypical 5-HT1A receptor agonists buspirone, ipsapirone, and 8-hydroxy-2-(di-n-propylamino)tetralin, and also flesinoxan and eptapirone, were virtually inactive (≤5). This atypical pattern of 5-HT1A receptor activation contrasts with the broad spectrum of the ligands' partial agonist properties as observed by measuring guanosine 5'-O-(3-[35 S]thio)triphosphate ([35S]GTPγS) binding responses with membranes of either CHO-K1 or C6-glial cells stably expressing a human 5-HT1A receptor. Remarkably, differences between ligands that seem small in the [35S]GTPγS binding assay translate into huge differences in the magnitude of Ca2+ responses. Therefore, some of these 5-HT1A ligands (i.e., F 13640) may in a selective way induce responses that may be not at all be achieved with other ligands (i.e., buspirone). In conclusion, the pharmacol. of 5-HT1A receptor ligands seems to be codetd. by the effector pathway.
IT 208109-38-0, F 14679 208110-64-9, F 13640
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(calcium responses demonstrate atypical pattern of ligand-induced serotonin 5-HT1A receptor activation in CHO-K1 cells)
RN 208109-38-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(methylamino)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

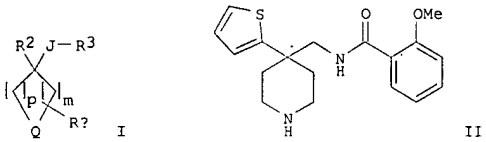


RN 208110-64-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2003:855758 Document No. 139:364829 Preparation of heterocyclo inhibitors of potassium channel function. Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin; Beaudoin, Serge; Gross, Michael F. (Bristol-Myers Squibb Company, USA; Icagen, Inc.). PCT Int. Appl. WO 2003088908 A2 20031030, 330 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2003-US11807 20030416. PRIORITY: US 2002-374279P 20020419.

GI



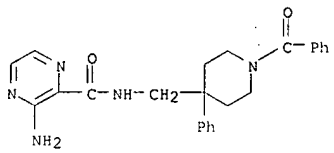
I

II

AB The title compds. [I; m, p = 0-3 (provided that the sum of m and p is at least 2); Q = NR1, O, S, SO, SO2; R1 = H, C(:W)NR6R7, SO2NR6R7, OCONR6R7, etc.; R2 = heteroaryl, heteroarylalkyl, aryl, etc.; J = a bond, alkylene; R3 = R5, OR5, SO2R5, etc.; R5 = CN, heteroaryl, aryl, etc.; R6, R7 = H, alkyl, OH, etc.; W = (un)substituted NH, N(CO2H), N(CN), N(SO2H), CH(NO2); Rx = H, alkyl, hydroxyalkyl, aryl, etc.], useful as inhibitors of potassium channel function (especially inhibitors of the Kv1 subfamily of voltage gated K+ channels, especially inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K+ current IKur) in the prevention and treatment of arrhythmia and IKur-associated conditions, were prepared E.g., a multi-step synthesis of II [starting from bis(2-chloroethyl)amine], was given. Pharmaceutical composition comprising the compound I is claimed.

IT 619295-03-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted piperidines as inhibitors of potassium channel

function)
RN 619295-03-3 CAPLUS
CN Pyrazinecarboxamide, 3-amino-N-[(1-benzoyl-4-phenyl-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

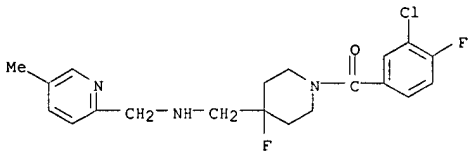


L4 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2003:829114 Document No. 140:139322 The very-high-efficacy 5-HT1A receptor agonist, F 13640, preempts the development of allodynia-like behaviors in rats with spinal cord injury. Wu, Wei-Ping; Hao, Jing-Xia; Xu, Xiao-Jun; Wiesenfeld-Hallin, Zsuzsanna; Koek, Wouter; Colpaert, Francis C. (Department of Medical Laboratory Sciences and Technology, Division of Clinical Neurophysiology, Huddinge University Hospital, Huddinge, Swed.). European Journal of Pharmacology, 478(2-3), 131-137 (English) 2003. CODEN: EJPHAZ. ISSN: 0014-2999. Publisher: Elsevier Science B.V..

AB Central neuropathic pain after spinal cord injury (SCI) presents a challenging clin. problem with limited treatment options. F 13640 (1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]-4-piperidinemethanamine) is a recently discovered very-high-efficacy, selective 5-HT1A receptor agonist that produces a remarkably powerful, central analgesia through unprecedented neuroadaptive mechanisms. In a rat model of spinal cord injury pain, we previously found that chronic infusion of F 13640 alleviated pain-like behaviors. Here, we report that infusion of 0.63 mg/day of F 13640 for 8 wk starting 24 h before the induction of injury significantly attenuates the development of chronic allodynia-like behavior in rats sustaining a photochem.-induced, ischemic injury of the dorsal laminae of the L3-L5 segments of the spinal cord. Importantly, the preemptive effect of F 13640 persisted for 2 mo after treatment was discontinued. The data warrant the study of the possible effects of the early administration of F 13640 in patients sustaining spinal cord injury.

IT 208110-64-9, F 13640
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-HT1A receptor agonist, F 13640, preempts the development of allodynia-like behaviors in rats with spinal cord injury)

RN 208110-64-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

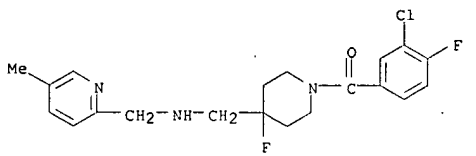
2003:575668 Document No. 139:358619 Continuous administration of the 5-hydroxytryptaminelA agonist (3-Chloro-4-fluoro-phenyl)-{4-fluoro-4-[[(5-methyl-pyridin-2-ylmethyl)-amino]-methyl]piperidin-1-yl}-methadone (F 13640) attenuates allodynia-like behavior in a rat model of trigeminal neuropathic pain. Deseure, Kristof; Koek, Wouter; Adriaensen, Hugo; Colpaert, Francis C. (Laboratory of Anesthesiology, University of Antwerp, Antwerp, Belg.). Journal of Pharmacology and Experimental Therapeutics, 306(2), 505-514 (English) 2003. CODEN: JPETAB. ISSN: 0022-3565. Publisher: American Society for Pharmacology and Experimental Therapeutics.

AB F 13640 is a recently discovered high-efficacy 5-hydroxytryptamine (HT)1A receptor agonist that produces central analgesia through the neuroadaptive mechanisms of inverse tolerance and cooperation. In a rat model of trigeminal neuropathic pain, the chronic constriction injury of the infraorbital nerve causes allodynia-like behavior that develops within 2 wk and remains stable thereafter. We report that early after surgery, during which time allodynia develops, the continuous 2-wk infusion of 0.63 mg/day F 13640 inhibited the allodynia-like behavior, whereas 5 mg/day morphine showed no significant effect. When F 13640 infusion was initiated late after surgery, when allodynia was well established, it produced an antiallodynic effect that was apparent during the entire infusion period. In contrast, morphine infusion caused an initially marked antiallodynic effect to which tolerance developed within the 2-wk infusion period. The GABA-B receptor agonist baclofen (1.06 mg/day) that has a recognized usefulness in the treatment of trigeminal neuralgia, demonstrated effectiveness in both conditions. The data are consistent with a theory of nociceptive signal transduction, as well as with previous data, in demonstrating the neuroadaptive mechanisms of inverse tolerance and cooperation. That is, in contrast with morphine, the antiallodynic effect induced by 5-HT1A receptor activation does not decay, but, if anything, grows with chronicity. Also, 5-HT1A receptor activation seemed to cooperate with nociceptive stimulation in, paradoxically, inducing an antiallodynic effect. The data presented here suggest that F 13640 may perhaps offer a lasting treatment of trigeminal neuralgia.

IT 208110-64-9, F 13640
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); BIOL (Biological study)
(F 13640 continuous administration attenuation of allodynia-like behavior in rat model of trigeminal neuropathic pain and 5-HT1A receptor activation therein)

RN 208110-64-9 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

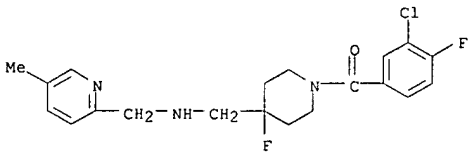
2003:364763 Document No. 139:240188 The novel analgesic and high-efficacy 5-HT1A receptor agonist F 13640 induces c-Fos protein expression in spinal cord dorsal horn neurons. Buritova, Jaroslava; Tarayre, Jean-Pierre; Besson, Jean-Marie; Colpaert, Francis (Centre de Recherche Pierre Fabre, Castres, 81106, Fr.). Brain Research, 974(1,2), 212-221 (English) 2003. CODEN: BRREAP. ISSN: 0006-8993. Publisher: Elsevier Science B.V..

AB The very-high-efficacy, selective 5-HT1A receptor agonist F 13640 produces uniquely powerful analgesia in rat models of chronic pain by novel neuroadaptive mechanisms (inverse tolerance and co-operation with nociception). A signal transduction theory and evidence suggest that F 13640 initiates these mechanisms, paradoxically, by mimicking the central effects of nociceptive stimulation. It is reported here that the i.p. injection of F 13640 into rats induced c-Fos protein expression in the L3-L5 segments of the spinal cord. Some 65% of the c-Fos protein-immunoreactive (c-Fos-IR) nuclei occurred bilaterally in the dorsal horn laminae I-II and V-VI, spinal areas that contain neurons responsive to nociceptive stimulation. This pattern is not unlike that found earlier in arthritic rats, a model of somatotopically widespread nociception. Dose-response studies indicated that c-Fos protein expression was induced at doses (0.63 and 2.5 mg/kg, i.p.) at which previous studies had found F 13640 to produce hyperalgesia. Time-response studies found that c-Fos-IR nuclei appeared within 1-4 h after injection of 0.63 mg F 13640/kg, with a maximum at 2 h. This parallels literature evidence that c-Fos expression reaches a peak late after, and outlasts, nociceptive stimulation. Like other opioids counteracting noxiously induced c-Fos expression, 10 mg (s.c.) morphine/kg reduced the number of c-Fos-IR nuclei induced by 0.63 mg F 13640/kg (by 45%). The induction by F 13640 of c-Fos protein expression may be related to the initial hyperalgesia which earlier data indicate the agent to produce early after its administration.

IT 208110-64-9, F 13640
RL: PAC (Pharmacological activity); BIOL (Biological study)
(F 13640 induction of c-Fos protein expression in spinal cord dorsal horn neurons)

RN 208110-64-9 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

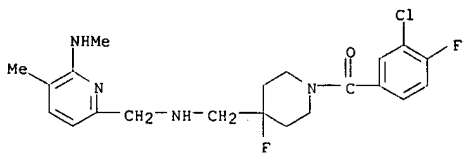


L4 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2003:325908 Document No. 139:173928 Mutation in a protein kinase C phosphorylation site of the 5-HT1A receptor preferentially attenuates Ca2+ responses to partial as opposed to higher-efficacy 5-HT1A agonists. Wurch, T.; Colpaert, F. C.; Pauwels, P. J. (Department of Cellular and Molecular Biology, Centre de Recherche Pierre Fabre, Castres, 81106, Fr.). Neuropharmacology, 44(7), 873-881 (English) 2003. CODEN: NEPHBW. ISSN: 0028-3908. Publisher: Elsevier Science Ltd..

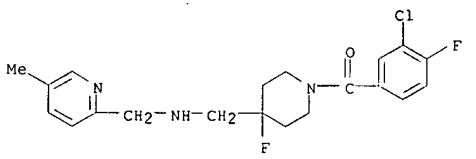
AB The Thr149Ala mutation in a putative protein kinase C phosphorylation site of the 5-HT1A receptor's second intracellular loop has been shown to affect the closing of Ca2+ channels and Ca2+ mobilization without interfering with the inhibitory cAMP pathway. Here, the Ca2+ responses for a series of 5-HT1A agonists were compared between the wild-type (wt) and mutant Thr149Ala 5-HT1A receptor as part of a fusion protein containing a Gα15 protein. Neither the mutation nor the fusion process modified the [3H]WAY 100635-based ligand binding profile of the fusion proteins as compared to the wt 5-HT1A receptor protein. Whereas at the wt 5-HT1A receptor, 5-HT induced a Ca2+ response in CHO-K1 cells via endogenous Gi/o proteins, the Ca2+ response to 5-HT at the mutant Thr149Ala 5-HT1A receptor was fully dependent on either the co-expression or the fusion to a recombinant Gα15 protein. Buspirone, flesinoxan and 8-OH-DPAT produced a graded partial response (26 to 62%) at the wt 5-HT1A:Gα15 fusion protein; F 13640, 5-CT and F 14679 behaved as higher-efficacy agonists with maximal Ca2+ responses similar to 5-HT. The maximal Ca2+ responses at the mutant Thr149Ala 5-HT1A:Gα15 fusion protein were significantly attenuated for flesinoxan and 8-OH-DPAT (-45 and -36%, resp.); the response to the other 5-HT agonists was not significantly affected. A similar effect was observed upon treatment with phorbol 12-myristate 13-acetate at the Thr149Ala 5-HT1A:Gα15 fusion protein. In conclusion, the amplitude of the Ca2+ responses induced by partial, but not that to fuller 5-HT1A receptor agonists, is affected by the Thr149Ala mutation of the 5-HT1A:Gα15 fusion protein.

IT 208109-38-0, F 14679 208110-64-9, F 13640
RL: BSU (Biological study, unclassified); BIOL (Biological study) (mutation in protein kinase C phosphorylation site of 5-HT1A receptor preferential attenuation of calcium responses to partial as opposed to higher-efficacy 5-HT1A agonists)

RN 208109-38-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-6-(methylamino)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208110-64-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2003:273643 Document No. 139:191221 Tolerance and inverse tolerance to the hyperalgesic and analgesic actions, respectively, of the novel analgesic, F 13640. Bruins Slot, Liesbeth A.; Koek, Wouter; Tarayre, Jean-Pierre; Colpaert, Francis C. (Centre de Recherche Pierre Fabre-17, Castres, 81106, Fr.). European Journal of Pharmacology, 466(3), 271-279 (English) 2003. CODEN: EJPHAZ. ISSN: 0014-2999. Publisher: Elsevier Science B.V..

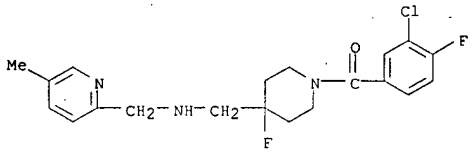
AB 5-HT1A receptor activation by the very-high-efficacy, selective 5-HT1A receptor agonist F 13640 [(3-Chloro-4-fluoro-phenyl)-{4-fluoro-4-[(5-methyl-pyridin-2-ylmethyl)-amino]-methyl}piperidin-1-yl]-methanone] was recently discovered to constitute a novel central mechanism of broad-spectrum analgesia that, remarkably, grows rather than decays with chronicity. However, in rodents not exposed to nociception, F 13640 induces its analgesic effect only after having initially induced hyperalgesia. Numerical simulations implementing a signal transduction theory here show that the progressive increase in the intensity of nociceptive stimulation which F 13640 presumably mimics should eventually produce a large analgesic effect without initially causing marked pain. In vivo studies examined the effects of progressively increasing doses of F 13640 on the threshold of mech. induced vocalization and, also, on the 5-HT syndrome in rats. The infusion of increasing (0.04-0.63 mg/rat/day) doses of F 13640 over a 5-wk period induced a large analgesia preceded by a hyperalgesic effect that was small and comparable to that induced by initial exposure to a low, 0.04 mg/rat/day dose. Furthermore, increasing the dose of F 13640 induced tachyphylaxis to the 5-HT syndrome. Producing the mirror opposite of morphine's neuroadaptive actions, F 13640 causes an analgesia that becomes more powerful with chronic administration, and this at the expense of the initial hyperalgesia which it may also produce.

IT 208110-64-9, F13640
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(tolerance and inverse tolerance to hyperalgesic and analgesic actions of F13640)

RN 208110-64-9 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

2003:198121 Document No. 139:224258 Profound, Non-Opioid Analgesia Produced by the High-Efficacy 5-HT1A Agonist F 13640 in the Formalin Model of Tonic Nociceptive Pain. Bardin, L.; Tarayre, J. P.; Malfetes, N.; Koek, W.; Colpaert, F. C. (Centre de Recherche Pierre-Fabre, Castres, Fr.). Pharmacology, 67(4), 182-194 (English) 2003. CODEN: PHMGBN. ISSN: 0031-7012. Publisher: S. Karger AG.

AB Previously, we have reported that in rat models of chronic pain, in particular, the very-high-efficacy 5-HT1A agonist F 13640 induces unprecedented pain relief by novel neuroadaptative mechanisms that involve inverse tolerance and cooperation with nociceptive stimulation in producing analgesia. The present studies detailed the actions of F 13640 and other compds. in the formalin model of tonic nociceptive pain. I.p. injection of F 13640 (0.01-2.5 mg/kg; t -15 min) caused a dose-dependent and complete inhibition of the paw elevation and paw licking that occurred both early (0-5 min) and late (22.5-27.5 min) after the intraplantar injection of diluted formaldehyde (2.5%) in the rat. The extent to which F 13640 and other 5-HT1A receptor ligands inhibited these pain behaviors correlated ($p < 0.05$) with the extent to which they activated 5-HT1A receptors. Under similar conditions, some inhibitory effects were also observed with various agents that are known to produce analgesia by different peripheral and/or central mechanisms (e.g., opioids, NA/5-HT reuptake inhibitors, COX-2 inhibitors and other nonsteroidal anti-inflammatory drugs, gabapentin, and ABT-594). However, with the possible exception of morphine, the effects of all of these agents at nontoxic doses were lower than those of F 13640, in particular in inhibition of early paw elevation. The 5-HT1A antagonist WAY 100635, but not naloxone, antagonized the actions of F 13640. These results help to establish large-magnitude 5-HT1A receptor activation as a new mol. mechanism of profound, central analgesia and suggest that F 13640 may be particularly effective against pain arising from severe tonic nociceptive stimulation.

IT 208109-39-1, F13714 208110-64-9, F 13640
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(profound, non-opioid analgesia produced by the high-efficacy 5-HT1A agonist F 13640 in formalin model of tonic nociceptive pain in comparison with other agonists and analgesics)

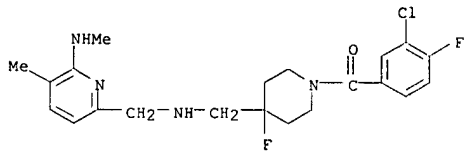
RN 208109-39-1 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(methylamino)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1)

(9CI) (CA INDEX NAME)

CM 1

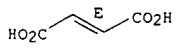
CRN 208109-38-0
CMF C21 H25 Cl F2 N4 O



CM 2

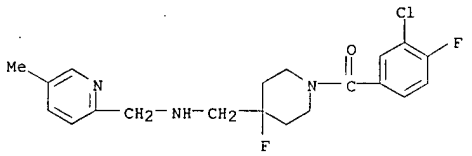
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 208110-64-9 CAPLUS

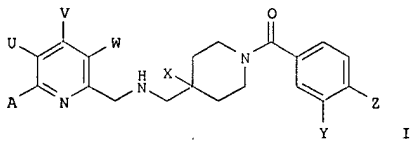
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

2003:57906 Document No. 138:100947 Pyridin-2-ylmethylamine derivatives for treating opioid dependence. Colpaert, Francis; Bruins Slot, Liesbeth; Koek, Wouter; Tarayre, Jean-Pierre; Vacher, Bernard (Pierre Fabre Medicament, Fr.). PCT Int. Appl. WO 2003006020 A1 20030123, 26 pp. DESIGNATED STATES: W: AU, BR, CA, CN, JP, MX, US, ZA; RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR. (French). CODEN: PIXXD2. APPLICATION: WO 2002-FR2449 20020711. PRIORITY: FR 2001-9350 20010713.

GI

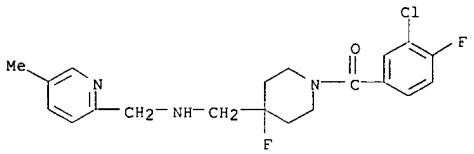


AB The invention discloses compds. I [u = H, Me (when u= Me, v, w = H); v = H, Cl, Me (when v = Me, u, w = H); w = H, F, Me (when w = Me, u, v = H); x = H, F; y = Cl, Me; z = H, Cl, F, Me; A = H, F, Cl, C1-5 alkyl, etc.] for treating opioid drug dependence.

IT 208110-64-9 208110-65-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyridinylmethylamine derivs. for treating opioid dependence)

RN 208110-64-9 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

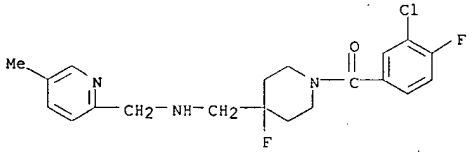


RN 208110-65-0 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

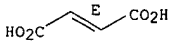
CRN 208110-64-9
CMF C20 H22 Cl F2 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

2002:894060 Document No. 138:379076 The 5-HT1A receptor agonist F 13640 attenuates mechanical allodynia in a rat model of trigeminal neuropathic pain. Deseure, Kristof; Koek, Wouter; Colpaert, Francis C.; Adriaensen, Hugo (Laboratory of Anesthesiology S4, University of Antwerp, Antwerp, B-2610, Belg.). European Journal of Pharmacology, 456(1-3), 51-57 (English) 2002. CODEN: EJPHAZ. ISSN: 0014-2999. Publisher: Elsevier Science B.V..

AB The effects of acute i.p. injections of the 5-HT1A receptor agonists F 13640 [(3-chloro-4-fluorophenyl)-{4-fluoro-4-[[(5-methylpyridin-2-ylmethyl)amino]methyl}piperidin-1-yl]methadone] and F 13714 were studied in comparison with those of baclofen and morphine on responsiveness to von Frey hair stimulation after chronic constriction injury to the rat's infraorbital nerve (IoN-CCI). Following IoN-CCI, an ipsilateral hyperresponsiveness developed that remained stable in control rats throughout the period of drug testing. F 13640, F 13714, baclofen and morphine dose-dependently decreased the hyperresponsiveness; normalization of the response occurred at doses 0.63, 0.04, 5 and 10 mg/kg, resp. Confirming earlier data, baclofen's effects further validate IoN-CCI as a model of trigeminal neuralgia. The effects of F 13640 and F 13714 are initial evidence that 5-HT1A receptor agonists produce profound analgesia in the IoN-CCI model. The present data extend recent evidence that high-efficacy 5-HT1A receptor activation constitutes a new mechanism of central analgesia the spectrum of which may also encompass trigeminal neuropathic pain.

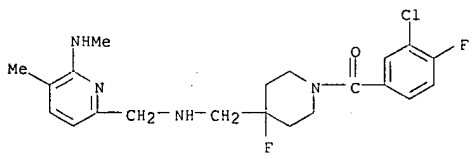
IT 208109-39-1, F 13714 208110-64-9, F 13640
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-HT1A receptor agonist F 13640 attenuates mech. allodynia in a rat model of trigeminal neuropathic pain)

RN 208109-39-1 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(methylamino)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

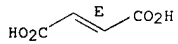
CRN 208109-38-0
CMF C21 H25 Cl F2 N4 O



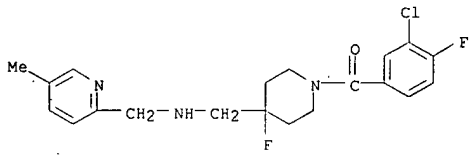
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

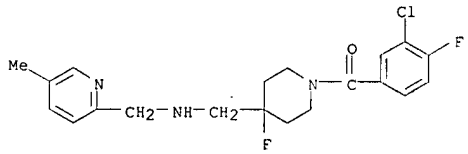


RN 208110-64-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2002:838466 Document No. 138:180568 Large-amplitude 5-HT1A receptor activation: a new mechanism of profound, central analgesia. Colpaert, F. C.; Tarayre, J. P.; Koek, W.; Pauwels, P. J.; Bardin, L.; Xu, X.-J.; Wiesenfeld-Hallin, Z.; Cosi, C.; Carilla-Durand, E.; Assie, M. B.; Vacher, B. (Centre de Recherche Pierre Fabre, Castres, 81106, Fr.). Neuropharmacology, 43(6), 945-958 (English) 2002. CODEN: NEPHBW. ISSN: 0028-3908. Publisher: Elsevier Science Ltd..
AB We report the discovery of F 13640 and evidence suggesting this agent to produce powerful, broad-spectrum analgesia by novel mol. and neuroadaptative mechanisms. F 13640 stimulates G$_{i/o}$ protein coupling to 5-HT1A receptors to an extent unprecedented by selective, non-native 5-HT1A ligands. Fifteen minutes after its injection in normal rats, F 13640 (0.01-2.5 mg/kg) decreases the vocalization threshold to paw pressure; 15 min upon injection in rats that are exposed to formalin-induced tonic nociception, F 13640 inhibits pain behavior. The initial hyperalgesia induced by 0.63 mg/kg F 13640 was followed, 8 h later, by paradoxical hypoalgesia; 5 mg/kg of morphine produces the

opposite effects (i.e., hypo-algesia followed by hyper-algesia). Repeated F 13640 injections cause an increase in the basal vocalization threshold and a reduction of F 13640-produced hyperalgesia; in these conditions, morphine causes basal hyperalgesia and antinociceptive tolerance. Continuous two-week infusion of F 13640 (0.63 mg/day) exerts little effect on the threshold in normal rats, but markedly reduces analgesic self-administration in arthritic rats. F 13640 infusion also decreases allodynic responses to tactile and thermal stimulations in rats sustaining spinal cord or sciatic nerve injury. In these models of chronic nociceptive and neuropathic pain, the analgesia afforded by F 13640 consistently surpasses that of morphine (5 mg/day), imipramine (2.5 mg/day), ketamine (20 mg/day) and gabapentin (10 mg/day). Very-high-efficacy 5-HT1A receptor activation constitutes a novel mechanism of central analgesia that grows rather than decays with chronicity, that is amplified by nociceptive stimulation, and that may uniquely relieve persistent nociceptive and neuropathic pains.
IT 208110-64-9, F 13640
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(large-amplitude 5-HT1A receptor activation, a new mechanism of profound, central analgesia by F 13640)
RN 208110-64-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2002:804314 Document No. 138:348595 5-HT1A receptor activation and anti-cataleptic effects: high-efficacy agonists maximally inhibit haloperidol-induced catalepsy. Prinssen, Eric P. M.; Colpaert, Francis C.; Koek, Wouter (Centre de Recherche Pierre Fabre, Castres, F-81106, Fr.). European Journal of Pharmacology, 453(2,3), 217-221 (English) 2002. CODEN: EJPHA2. ISSN: 0014-2999. Publisher: Elsevier Science B.V..
AB Studies have shown that 5-HT1A receptor ligands modulate antipsychotic-induced catalepsy. Here, we further examined the role of intrinsic activity at 5-HT1A receptors in these effects. The anti-cataleptic effects of 5-HT1A receptor ligands with pos. intrinsic activity [from high to low: 3-chloro-4-fluorophenyl-(4-fluoro-4-{[(5-methyl-6-methylamino-pyridin-2-ylmethyl)-amino]-methyl})-piperidin-1-yl-methanone fumaric acid salt (F 13714), eptapirone, 8-hydroxy-2-(di-n-propylamino)tetralin (8-OH-DPAT), 2-[4-[4-(7-methoxy-1-naphthyl) piperazino]butyl]-4-methyl-2H,4H-1,2,4-triazin-3,5-dione maleic acid salt (F 11461), buspirone, 2-[4-[4-(7-benzofuranyl)piperazino]butyl]-4-methyl-2H,4H-1,2,4-triazin-3,5-dione (F 12826), ipsapirone, and (s)-N-tert-butyl-3-(4-(2-methoxyphenyl)piperazine-1-yl)-2-phenylpropanamide hydrochloride (WAY 100135)] and neg. intrinsic activity [N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-N-(2-

pyridinyl)cyclohexanecarboxamide dihydrochloride (WAY 100635)] were examined Catalepsy was induced by the classical antipsychotic haloperidol (0.63 mg/kg) and measured in the cross-legged position test and in the bar test. All 5-HT1A receptor agonists, except WAY 100135, significantly attenuated the effects of haloperidol in the cross-legged position test. All agonists had similar effects in the bar test, except ipsapirone, which failed to attenuate haloperidol-induced catalepsy. In contrast to the effects observed with the agonists, the inverse agonist WAY 100635 appeared to enhance haloperidol-induced catalepsy in both tests, in agreement with earlier findings. The maximal effects of the 5-HT1A receptor ligands to attenuate catalepsy correlated pos. with the rank order of their intrinsic activity at 5-HT1A receptors (either catalepsy test: rS=0.92, P<0.001). F 13714, which had the highest intrinsic activity, maximally inhibited haloperidol-induced catalepsy in the cross-legged position and bar tests (100% and 99% inhibition, resp.). Because the magnitude of the anti-cataleptic effects of 5-HT1A receptor ligands correlates pos. with their intrinsic activity, it is likely that F 13714 has marked anti-cataleptic effects because of its high intrinsic activity at 5-HT1A receptors.

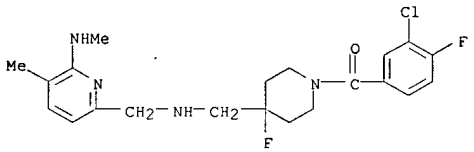
IT 208109-39-1, F 13714
RL: PAC (Pharmacological activity); BIOL (Biological study)
(5-HT1A receptor activation and anti-cataleptic effects against haloperidol-induced catalepsy)

RN 208109-39-1 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(methylamino)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

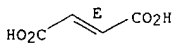
CRN 208109-38-0
CMF C21 H25 Cl F2 N4 O



CM 2

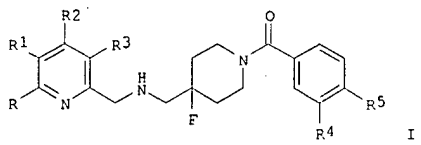
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

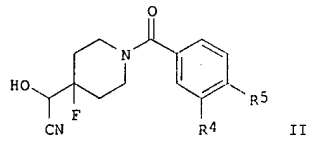


L4 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2002:637672 Document No. 137:169430 Preparation of pyridin-2-ylmethylamine derivatives via reduction of cyanohydrins. Maurel, Jean-louis; Bonnaud, Bernard; Ribet, Jean-paul; Vacher, Bernard (Pierre Fabre Medicament, Fr.). PCT Int. Appl. WO 2002064585 A1 20020822, 24 pp. DESIGNATED STATES: W: AU, BR, CA, CN, JP, MX, US, ZA; RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR. (French). CODEN: PIXXD2. APPLICATION: WO 2002-FR508 20020211. PRIORITY: FR 2001-1784 20010209.

GI



I



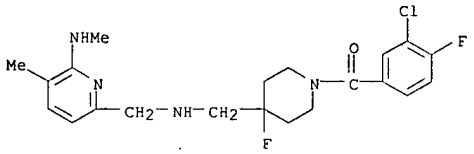
II

AB Title compds. I [R = H, F, Cl, alkyl, fluoroalkyl, cyclopropyl, 5-membered heteroarom., alkoxy,alkylthio, alkoxycarbonyl, amino; R1 = H, Me; R2 = H, Cl, Me; R3 = H, F, Me; R4 = Cl, Me; R5 = H, F, Cl, Me] were prepared via reaction of a cyanohydrin II with a 2-methylaminopyridine under reductive conditions in presence of NaBH3CN. Thus, 6-methylamino-5-methyl-2-pyridinylmethylamine (III) was prepared from Et 6-chloro-5-methyl-2-pyridinecarboxylate in 5 steps. II [R4 = Cl, R5 = F] was obtained from 1-(3-chloro-4-fluorobenzoyl)-4-piperidinone and ClCH2CN and was treated with III in presence of NaBH3CN to give I [R = MeNH, R1 = Me, R2, R3 = H, R4 = Cl, R5 = F].

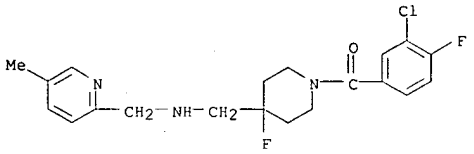
IT 208109-38-0P 208110-64-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyridin-2-ylmethylamine derivs. via reduction of cyanohydrins)

RN 208109-38-0 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(methylamino)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



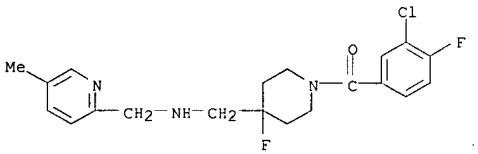
RN 208110-64-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



IT 208110-65-0P 455323-89-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyridin-2-ylmethylamine derivs. via reduction of cyanohydrins)
RN 208110-65-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

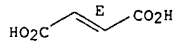
CRN 208110-64-9
CMF C20 H22 Cl F2 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

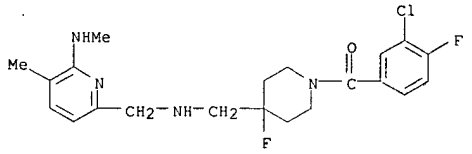
Double bond geometry as shown.



RN 455323-89-4 CAPLUS
CN Acetic acid, hydroxy-, compd. with 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(methylamino)-2-pyridinyl]methyl]-4-piperidinemethanamine (1:1) (9CI) (CA INDEX NAME)

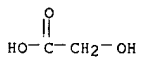
CM 1

CRN 208109-38-0
CMF C21 H25 Cl F2 N4 O



CM 2

CRN 79-14-1
CMF C2 H4 O3



L4 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2001:428170 Document No. 135:251851 5-HT1A receptor activation and antidepressant-like effects: F 13714 has high efficacy and marked antidepressant potential. Koek, W.; Vacher, B.; Cosi, C.; Assie, M.-B.; Patoiseau, J.-F.; Pauwels, P. J.; Colpaert, F. C. (Centre de Recherche Pierre Fabre, Castres, 81106, Fr.). European Journal of Pharmacology, 420(2/3), 103-112 (English) 2001. CODEN: EJPHAZ. ISSN: 0014-2999. Publisher: Elsevier Science B.V..
AB To examine further the hypothesis that the magnitude of the intrinsic activity of agonists at 5-HT1A receptors dets. the magnitude of their psychotropic activity, we studied the relation between the maximal receptor activation produced by various 5-HT1A receptor ligands and their antidepressant-like effects (i.e., decreased immobility in the forced swimming test in rats). Using three different in vitro assays suitable to measure differences among high, intermediate, and low efficacy 5-HT1A receptor agonists, ligands were identified with intrinsic activities

ranging from low-neg. (i.e., the inverse agonist N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-N-(2-pyridinyl)cyclohexane-carboxamide (WAY 100635)) to high-pos. i.e., 3-chloro-4-fluorophenyl-4-fluoro-4-[[(5-methyl-6-methylamino-pyridin-2-ylmethyl)amino]-methyl]piperidin-1-yl-methanone (F 13714). In addition, novel compds. with intermediate intrinsic activity, like buspirone, but with high selectivity for 5-HT1A receptors, unlike buspirone, were identified. The maximal effects of the 5-HT1A receptor ligands in the forced swimming test correlated pos. (rS=0.91, P<0.005) with the rank order of their intrinsic activity at 5-HT1A receptors. This relation constitutes evidence that the magnitude of the psychotropic activity of 5-HT1A receptor ligands is a pos. function of their intrinsic activity at the receptor, and suggests that F 13714, which had maximal effects in the forced swimming test significantly larger than any of the other compds. examined here, did so because of its higher intrinsic activity at 5-HT1A receptors.

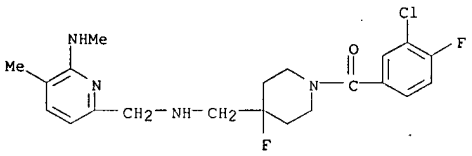
IT 208109-39-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(correlation between 5-HT1A receptor activation and antidepressant-like effects with 5-HT1A receptor agonists)

RN 208109-39-1 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(methylamino)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

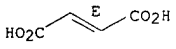
CRN 208109-38-0
CMF C21 H25 Cl F2 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

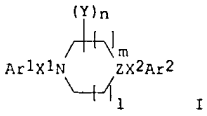
Double bond geometry as shown.



L4 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
2000:161119 Document No. 132:203174 Inhibitors of p38-α kinase, preparation thereof, and therapeutic use. Goehring, R. Richard; Luedtke,

Gregory R.; Mavunkel, Babu J.; Chakravarty, Sarvajit; Dugar, Sundeep; Schreiner, George F.; Liu, David Y.; Lewicki, John A. (Scios Inc., USA). PCT Int. Appl. WO 2000012074 A2 20000309, 75 pp. DESIGNATED STATES: W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1999-US19845 19990827. PRIORITY: US 1998-98219 19980828; US 1999-125343 19990319.

GI



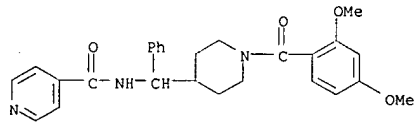
I

AB Methods are provided for treating conditions mediated by p38-α kinase using compds. I (Z = N, CR1; R1 = noninterfering substituent; X1, X2 = linker; Ar1, Ar2 = (un)substituted C1-20 hydrocarbyl (at least one of Ar1 and Ar2 = (un)substituted aryl), with proviso that when X2 = CH2 or an isostere thereof, X1 = CO or an isostere thereof, and Ar2 = (un)substituted Ph, Ar1 is other than (un)substituted indolyl, benzimidazolyl or benzotriazolyl, and wherein (un)substituted Ph is not (un)substituted indolyl, benzimidazolyl, or benzotriazolyl; Y = noninterfering substituent; n, m = 0-4; l = 0-3) or a pharmaceutically acceptable salt or pharmaceutical composition thereof. Preparation of compds. is described. Compds. of the invention may be used to treat p38-α kinase-mediated conditions.

IT 260427-83-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(p38-α kinase inhibitors, preparation, and therapeutic use)

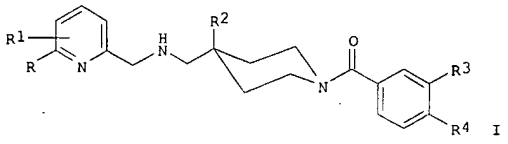
RN 260427-83-6 CAPLUS

CN 4-Pyridinecarboxamide, N-[[1-(2,4-dimethoxybenzoyl)-4-piperidinyl]phenylmethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
1999:234623 Document No. 130:311685 Novel derivatives of 2-pyridinemethylamine as selective, potent, and orally active agonists at 5-HT1A receptors. Vacher, Bernard; Bonnaud, Bernard; Funes, Philippe; Jubault, Nathalie; Koek, Wouter; Assie, Marie-Bernadette; Cosi, Cristina; Kleven, Mark (Pierre Fabre Research Center, Castres, 81106, Fr.). Journal of Medicinal Chemistry, 42(9), 1648-1660 (English) 1999. CODEN: JMCMAR. ISSN: 0022-2623. Publisher: American Chemical Society.

GI



I

AB The aim of this work was to improve the oral bioavailability of a recently discovered, novel structural class of 5-HT1A receptor agonists: aryl-[[4-(6-R-pyridin-2-ylmethyl)-amino]-methyl}-piperidin-1-yl-methanone. Incorporation of a fluorine atom in the β-position to the amino function in the side chain led to analogs that exhibited, in general, enhanced and long-lasting 5-HT1A agonist activity in rats after oral administration. Location of the fluorine atom at the C-4 position of the piperidine ring was the most favorable, and among the various substituents tested, the ability of the fluorine was unique in improving the oral activity of this family of ligands. Thus, the derivs. I (R = MeNH, R1 = H, R2 = R4 = F, R3 = Cl; R = Me2N, R1 = H, R2 = F, R3 = R4 = Cl; R = 6-pyrazolyl, R1 = H, R2 = F, R3 = R4 = Cl) bound with higher affinity and selectivity to 5-HT1A receptors (vs. dopaminergic D2 and adrenergic α1 receptors) and displayed more potent 5-HT1A agonist activity in vitro and in vivo than their C-4 desfluoro analogs. To examine the relationship between the conformation of the pharmacophore and the level of agonistic activity of this type of ligand, the authors synthesized a series of 3-chloro-4-fluorophenyl-(4-fluoro-4[[(5-(H or CH3)-6-R-pyridin-2-ylmethyl)-amino]-methyl}-piperidin-1-yl)-methanone derivs. and found that the combination of a 5-Me and a 6-methylamino substituent on the pyridine ring synergistically affected their 5-HT1A agonist properties. Thus, the 3-chloro-4-fluorophenyl-(4-fluoro-4[[(5-methyl-6-methylamino-pyridin-2-ylmethyl)-amino]-methyl}-piperidin-1-yl)-methanone (II) behaved as a more potent 5-HT1A receptor agonist in vitro and in vivo than its 5-unsubstituted analog. The antidepressant potential of the lead compds. II and I (R = Me, R1 = H, R2 = R4 = F, R3 = Cl; R = furan-2-yl, R1 = H, R2 = R4 = F, R3 = Cl) (III) was examined by means of the forced swimming test (FST) in rats. The results indicated that, after a single oral administration, these compds. inhibited immobility in the FST more potently and more extensively than the clin. used antidepressant imipramine. Thus, I and III are potent, orally active 5-HT1A receptor agonists with marked antidepressant potential.

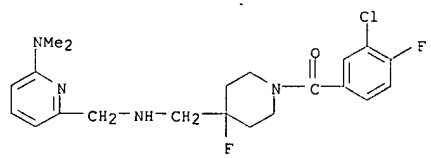
IT 208109-35-7P 208109-37-9P 208109-39-1P
208109-41-5P 208109-53-9P 208109-63-1P

208109-71-1P 208109-79-9P 208109-93-7P
208110-01-4P 208110-39-8P 208110-51-4P
208110-53-6P 208110-55-8P 208110-57-0P
208110-59-2P 208110-61-6P 208110-63-8P
208110-65-0P 208110-67-2P 208110-69-4P
208110-73-0P 223631-95-6P 223632-01-7P
223632-04-0P 223632-12-0P 223632-14-2P
223632-24-4P 223632-27-7P 223632-29-9P
223632-33-5P 223632-40-4P 223632-43-7P
223632-46-0P 223632-49-3P 223632-52-8P
223632-56-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and 5-HT1A receptor agonist activity of [(pyridinylmethyl)amino]methylpiperidinylmethanone derivs.)

RN 208109-35-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(dimethylamino)-2-pyridinyl]methyl]-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

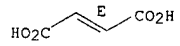
CRN 208109-34-6
CMF C21 H25 Cl F2 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

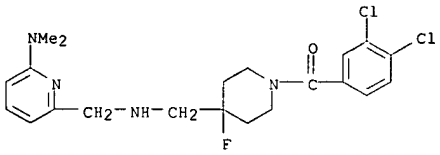


RN 208109-37-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(dimethylamino)-2-pyridinyl]methyl]-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-36-8

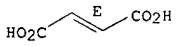
CMF C21 H25 Cl2 F N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

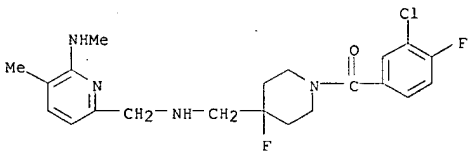
Double bond geometry as shown.



RN 208109-39-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(methylamino)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

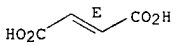
CRN 208109-38-0
CMF C21 H25 Cl F2 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

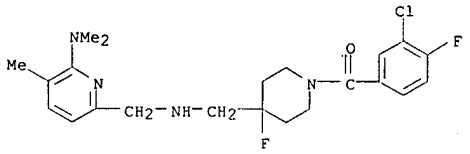
Double bond geometry as shown.



RN 208109-41-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(dimethylamino)-5-methyl-2-pyridinyl]methyl]-4-fluoro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

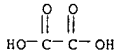
CM 1

CRN 208109-40-4
CMF C22 H27 Cl F2 N4 O



CM 2

CRN 144-62-7
CMF C2 H2 O4

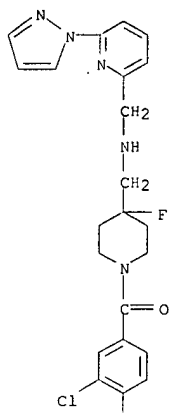


RN 208109-53-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-52-8
CMF C22 H22 Cl2 F N5 O

PAGE 1-A

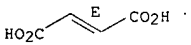


PAGE 2-A

CM 2

CRN 110-17-8
CMF C4 H4 O4

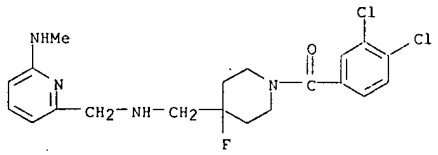
Double bond geometry as shown.



RN 208109-63-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(methylamino)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

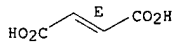
CRN 208109-62-0
CMF C20 H23 Cl2 F N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

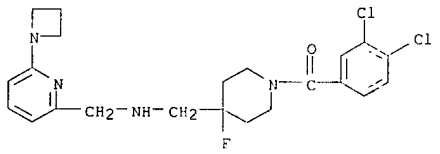
Double bond geometry as shown.



RN 208109-71-1 CAPLUS
CN 4-Piperidinemethanamine, N-[[6-(1-azetidinyl)-2-pyridinyl]methyl]-1-(3,4-dichlorobenzoyl)-4-fluoro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

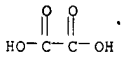
CM 1

CRN 208109-70-0
CMF C22 H25 Cl2 F N4 O



CM 2

CRN 144-62-7
CMF C2 H2 O4

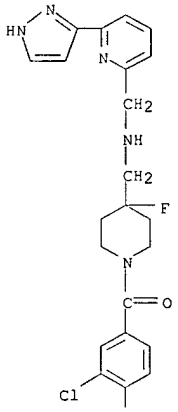


RN 208109-79-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(1H-pyrazol-3-yl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-78-8
CMF C22 H22 Cl2 F N5 O

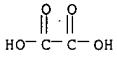
PAGE 1-A



PAGE 2-A

CM 2

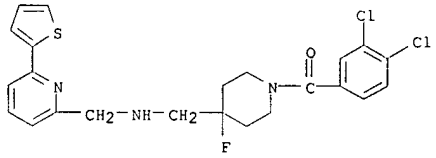
CRN 144-62-7
CMF C2 H2 O4



RN 208109-93-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(2-thienyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

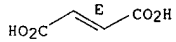
CRN 208109-92-6
CMF C23 H22 Cl2 F N3 O S



CM 2

CRN 110-17-8
CMF C4 H4 O4

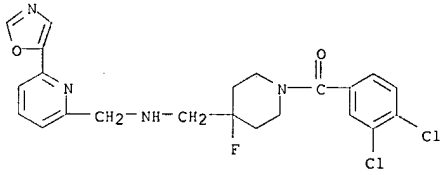
Double bond geometry as shown.



RN 208110-01-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(5-oxazolyl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

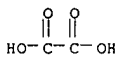
CM 1

CRN 208110-00-3
CMF C22 H21 Cl2 F N4 O2



CM 2

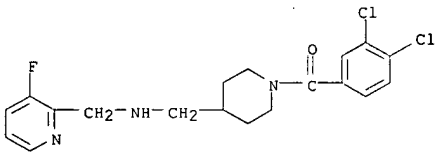
CRN 144-62-7
CMF C2 H2 O4



RN 208110-39-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[(3-fluoro-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

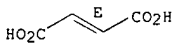
CRN 208110-38-7
CMF C19 H20 Cl2 F N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

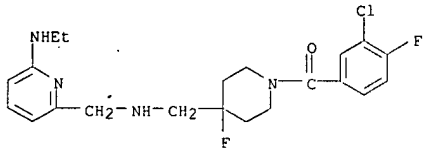
Double bond geometry as shown.



RN 208110-51-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(ethylamino)-2-pyridinyl]methyl]-4-fluoro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

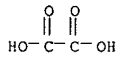
CM 1

CRN 208110-50-3
CMF C21 H25 Cl F2 N4 O



CM 2

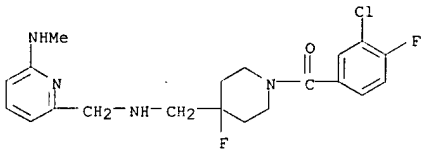
CRN 144-62-7
CMF C2 H2 O4



RN 208110-53-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[6-(methylamino)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

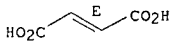
CRN 208110-52-5
CMF C20 H23 Cl F2 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

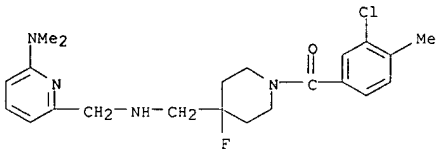
Double bond geometry as shown.



RN 208110-55-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-methylbenzoyl)-N-[[6-(dimethylamino)-2-pyridinyl]methyl]-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

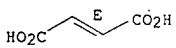
CRN 208110-54-7
CMF C22 H28 Cl F N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

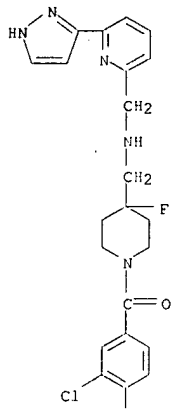
Double bond geometry as shown.



RN 208110-57-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[6-(1H-pyrazol-3-yl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

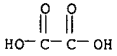
CM 1

CRN 208110-56-9
CMF C22 H22 Cl F2 N5 O



CM 2

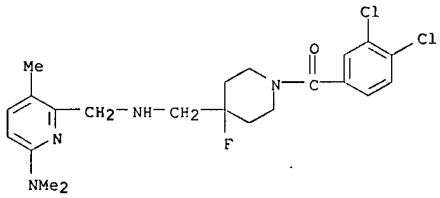
CRN 144-62-7
CMF C2 H2 O4



RN 208110-59-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(dimethylamino)-3-methyl-2-pyridinyl]methyl]-4-fluoro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

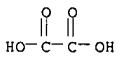
CM 1

CRN 208110-58-1
CMF C22 H27 Cl2 F N4 O



CM 2

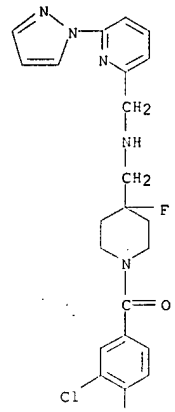
CRN 144-62-7
CMF C2 H2 O4



RN 208110-61-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

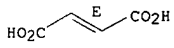
CRN 208110-60-5
CMF C22 H22 Cl F2 N5 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

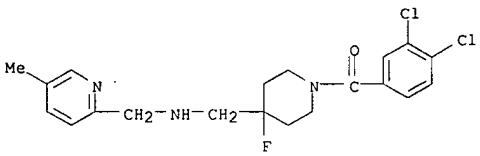
Double bond geometry as shown.



RN 208110-63-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

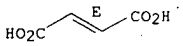
CRN 208110-62-7
CMF C20 H22 Cl2 F N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

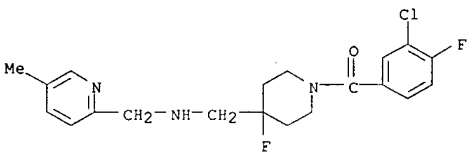
Double bond geometry as shown.



RN 208110-65-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

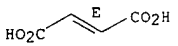
CRN 208110-64-9
CMF C20 H22 Cl F2 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

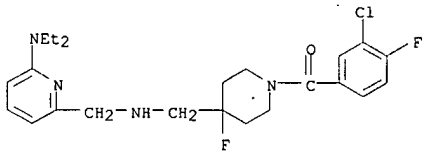
Double bond geometry as shown.



RN 208110-67-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(diethylamino)-2-pyridinyl]methyl]-4-fluoro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

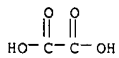
CM 1

CRN 208110-66-1
CMF C23 H29 Cl F2 N4 O



CM 2

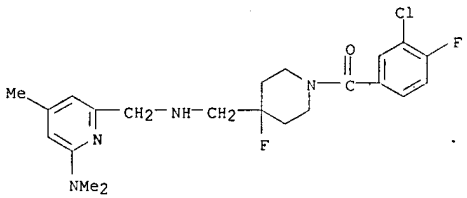
CRN 144-62-7
CMF C2 H2 O4



RN 208110-69-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(dimethylamino)-4-methyl-2-pyridinyl]methyl]-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

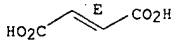
CRN 208110-68-3
CMF C22 H27 Cl F2 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

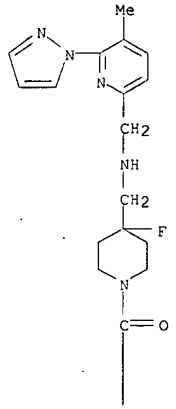


RN 208110-73-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

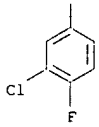
CM 1

CRN 208110-72-9
CMF C23 H24 Cl F2 N5 O

PAGE 1-A



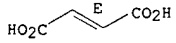
PAGE 2-A



CM 2

CRN 110-17-8
CMF C4 H4 O4

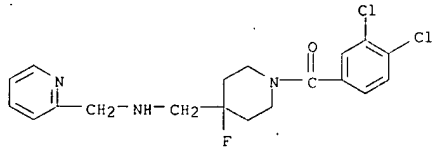
Double bond geometry as shown.



RN 223631-95-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-(2-pyridinylmethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

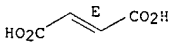
CRN 223631-94-5
CMF C19 H20 Cl2 F N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

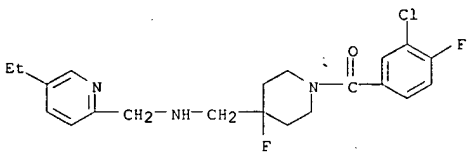


RN 223632-01-7 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[(5-ethyl-2-pyridinyl)methyl]-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

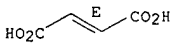
CRN 223632-00-6
CMF C21 H24 Cl F2 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

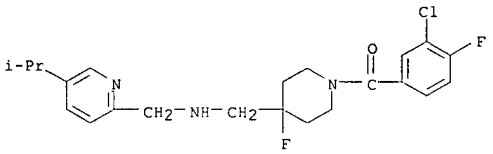
Double bond geometry as shown.



RN 223632-04-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-(1-methylethyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

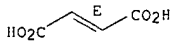
CRN 223632-03-9
CMF C22 H26 Cl F2 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

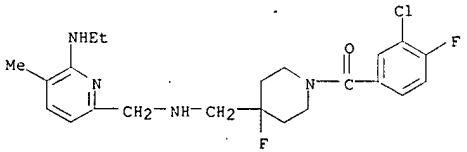
Double bond geometry as shown.



RN 223632-12-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(ethylamino)-5-methyl-2-pyridinyl]methyl]-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

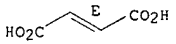
CRN 223632-11-9
CMF C22 H27 Cl F2 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

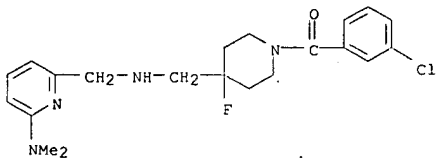
Double bond geometry as shown.



RN 223632-14-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chlorobenzoyl)-N-[[6-(dimethylamino)-2-pyridinyl]methyl]-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

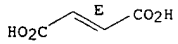
CRN 208110-80-9
CMF C21 H26 Cl F N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

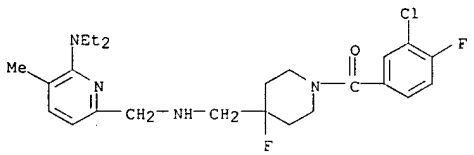
Double bond geometry as shown.



RN 223632-24-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(diethylamino)-5-methyl-2-pyridinyl]methyl]-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

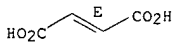
CRN 223632-23-3
CMF C24 H31 Cl F2 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

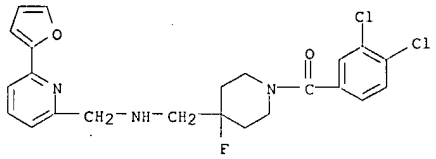
Double bond geometry as shown.



RN 223632-27-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(2-furanyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

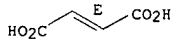
CRN 208109-96-0
CMF C23 H22 Cl2 F N3 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

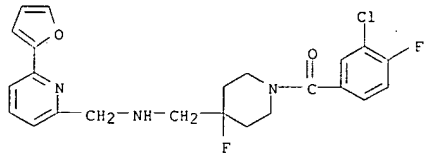
Double bond geometry as shown.



RN 223632-29-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[6-(2-furanyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

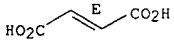
CRN 208109-32-4
CMF C23 H22 Cl F2 N3 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

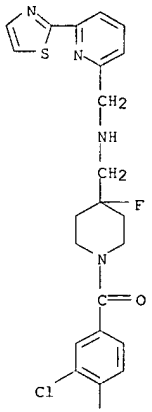


RN 223632-33-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(2-thiazolyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-86-8
CMF C22 H21 Cl2 F N4 O S

PAGE 1-A

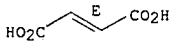


PAGE 2-A

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

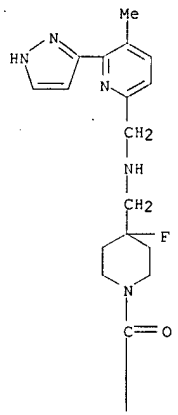


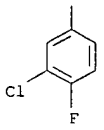
RN 223632-40-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(1H-pyrazol-3-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208110-79-6
CMF C23 H24 Cl F2 N5 O

PAGE 1-A

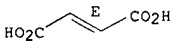




CM 2

CRN 110-17-8
CMF C4 H4 O4

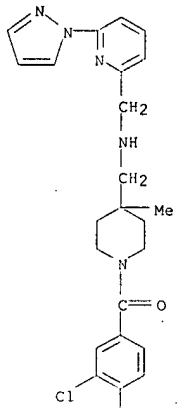
Double bond geometry as shown.



RN 223632-43-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-methyl-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 223632-42-6
CMF C23 H25 Cl2 N5 O

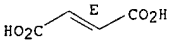


Cl

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

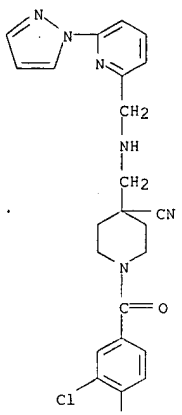


RN 223632-46-0 CAPLUS
CN 4-Piperidinecarbonitrile, 1-(3,4-dichlorobenzoyl)-4-[[[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]amino]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 223632-45-9
CMF C23 H22 Cl2 N6 O

PAGE 1-A

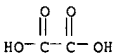


PAGE 2-A

Cl

CM 2

CRN 144-62-7
CMF C2 H2 O4

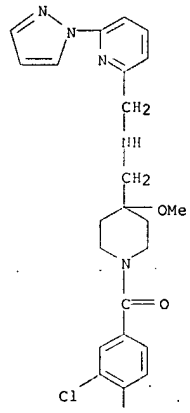


RN 223632-49-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-methoxy-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 223632-48-2
CMF C23 H25 Cl2 N5 O2

PAGE 1-A

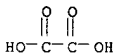


PAGE 2-A

Cl

CM 2

CRN 144-62-7
CMF C2 H2 O4

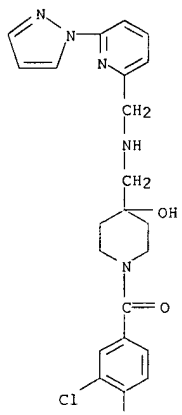


RN 223632-52-8 CAPLUS
CN 4-Piperidinol, 1-(3,4-dichlorobenzoyl)-4-[[[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]amino]methyl]-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 223632-51-7
CMF C22 H23 Cl2 N5 O2

PAGE 1-A

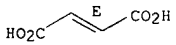


PAGE 2-A

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



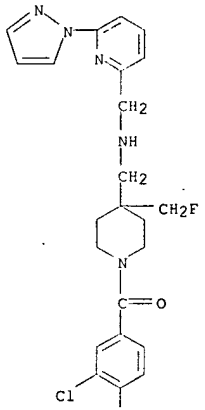
RN 223632-56-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-(fluoromethyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA

INDEX NAME)

CM 1

CRN 223632-55-1
CMF C23 H24 Cl2 F N5 O

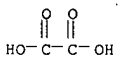
PAGE 1-A



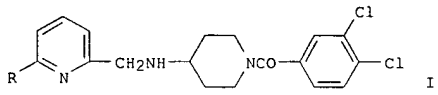
PAGE 2-A

CM 2

CRN 144-62-7
CMF C2 H2 O4



L4 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
1998:716661 Document No. 130:66369 Design and Synthesis of a Series of 6-Substituted 2-Pyridinylmethylamine Derivatives as Novel, High-Affinity, Selective Agonists at 5-HT1A Receptors. Vacher, Bernard; Bonnaud, Bernard; Funes, Philippe; Jubault, Nathalie; Koek, Wouter; Assie, Marie-Bernadette; Cosi, Cristina (Pierre Fabre Research Center, Castres, 81106, Fr.). Journal of Medicinal Chemistry, 41(25), 5070-5083 (English) 1998. CODEN: JMCMAR. ISSN: 0022-2623. Publisher: American Chemical Society.
GI



I

AB A search for novel, selective agonists with high intrinsic activity at the 5-HT1A subtype of serotonin (5-HT) receptors was undertaken. Mechanistic and thermodn. considerations led to the design of 6-substituted 2-pyridinylmethylamine as a potential 5-HT1A pharmacophore. Various adducts derived from the 6-substituted 2-pyridinylmethylamine moiety were tested for their affinity at 5-HT1A, α1-adrenergic, and D2-dopaminergic receptors. Compds. with high affinity for 5-HT1A receptors (pKi ≥8) were examined for agonist properties by measuring their ability to inhibit forskolin-stimulated cAMP production in HA7 cells (i.e., HeLa cells permanently transfected with the h5-HT1A receptor gene and expressing the h5-HT1A receptor protein). Several compds. of the type aryl[4-[(6-substituted 2-pyridinylmethylamino)methyl]piperidin-1-yl]methanone had nanomolar affinity for 5-HT1A binding sites and were more than 500-fold selective with respect to α1 and D2 sites. Importantly, their 5-HT1A agonist properties were demonstrated in HA7 cells, where they behaved as potent inhibitors of cAMP accumulation. In particular, I (R = 1-azetidinyl, 5-oxazolyl) appeared to be more potent than, and at least as efficacious as, the prototypical 5-HT1A agonist (±)-8-OH-DPAT. SAR studies revealed that the pyridine nitrogen atom and the nature and position of the substituents on the pyridine ring were critically involved in the ability of the compds. to recognize and activate 5-HT1A receptors. Structural modifications of the nonpharmacophoric part of the mol. showed, however, that the entire structure was required for affinity at 5-HT1A binding sites.

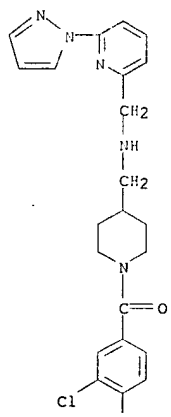
IT 208109-43-7P 208110-34-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(2-pyridinylmethylamine derivs. as high-affinity, selective agonists at 5-HT1A receptors)

RN 208109-43-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-42-6
CMF C22 H23 Cl2 N5 O

PAGE 1-A

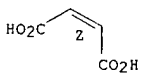


PAGE 2-A

CM 2

CRN 110-16-7
CMF C4 H4 O4

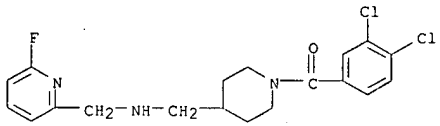
Double bond geometry as shown.



RN 208110-34-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[(6-fluoro-2-pyridinyl)methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

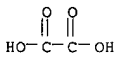
CM 1

CRN 208109-29-9
CMF C19 H20 Cl2 F N3 O



CM 2

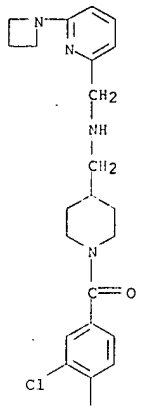
CRN 144-62-7
CMF C2 H2 O4



IT 208109-31-3P 208109-45-9P 208109-49-3P
208109-51-7P 208109-59-5P 208109-61-9P
208109-65-3P 208109-69-7P 208109-73-3P
208109-75-5P 208109-77-7P 208109-83-5P
208109-85-7P 208109-89-1P 208109-91-5P
208109-95-9P 208109-99-3P 208110-07-0P
208110-09-2P 208110-25-2P 208110-33-2P
208110-42-3P 217656-38-7P 217656-47-8P
217656-64-9P 217656-66-1P 217656-68-3P
217656-71-8P 217656-73-0P 217656-76-3P
217656-83-2P 217656-91-2P 217656-93-4P
217656-95-6P 217656-97-8P 217656-99-0P
217657-01-7P 217657-03-9P 217657-05-1P
217657-16-4P 217657-18-6P 217657-20-0P
217657-22-2P 217657-23-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(2-pyridinylmethylamine derivs. as high-affinity, selective agonists at 5-HT1A receptors)
RN 208109-31-3 CAPLUS
CN 4-Piperidinemethanamine, N-[[6-(1-azetidinyl)-2-pyridinyl]methyl]-1-(3,4-dichlorobenzoyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

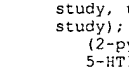
CM 1

CRN 208109-30-2
CMF C22 H26 Cl2 N4 O



CM 2

CRN 144-62-7
CMF C2 H2 O4

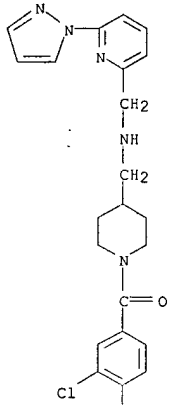


RN 208109-45-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-44-8
CMF C22 H23 Cl F N5 O

PAGE 1-A



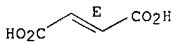
PAGE 2-A

F

CM 2

CRN 110-17-8
CMF C4 H4 O4

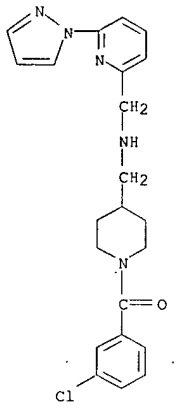
Double bond geometry as shown.



RN 208109-49-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chlorobenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

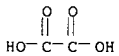
CM 1

CRN 208109-48-2
CMF C22 H24 Cl N5 O



CM 2

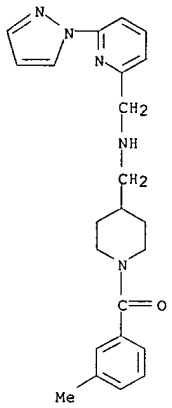
CRN 144-62-7
CMF C2 H2 O4



RN 208109-51-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-methylbenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

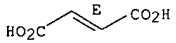
CRN 208109-50-6
CMF C23 H27 N5 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

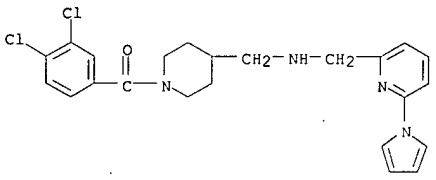
Double bond geometry as shown.



RN 208109-59-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-pyrrol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

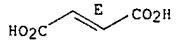
CRN 208109-58-4
CMF C23 H24 Cl2 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

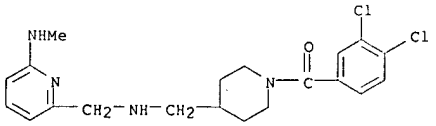
Double bond geometry as shown.



RN 208109-61-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(methylamino)-2-pyridinyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

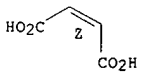
CRN 208109-60-8
CMF C20 H24 Cl2 N4 O



CM 2

CRN 110-16-7
CMF C4 H4 O4

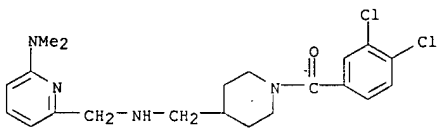
Double bond geometry as shown.



RN 208109-65-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(dimethylamino)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

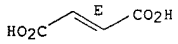
CRN 208109-64-2
CMF C21 H26 Cl2 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

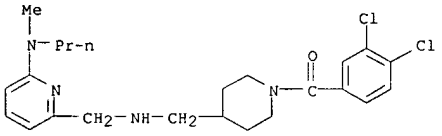
Double bond geometry as shown.



RN 208109-69-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(methylpropylamino)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

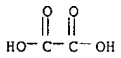
CM 1

CRN 208109-68-6
CMF C23 H30 Cl2 N4 O



CM 2

CRN 144-62-7
CMF C2 H2 O4

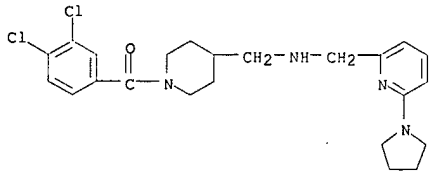


RN 208109-73-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1-pyrrolidinyl)-2-

pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

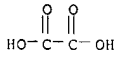
CM 1

CRN 208109-72-2
CMF C23 H28 Cl2 N4 O



CM 2

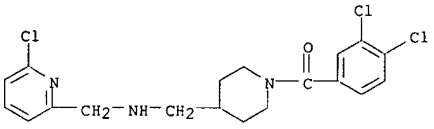
CRN 144-62-7
CMF C2 H2 O4



RN 208109-75-5 CAPLUS
CN 4-Piperidinemethanamine, N-[(6-chloro-2-pyridinyl)methyl]-1-(3,4-dichlorobenzoyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

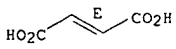
CRN 208109-74-4
CMF C19 H20 Cl3 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

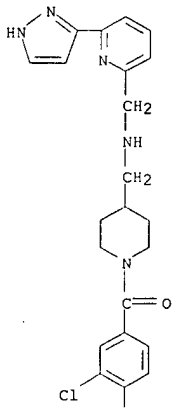


RN 208109-77-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-pyrazol-3-yl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-76-6
CMF C22 H23 Cl2 N5 O

PAGE 1-A

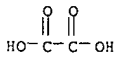


PAGE 2-A

CM 2

CRN 144-62-7

CMF C2 H2 O4

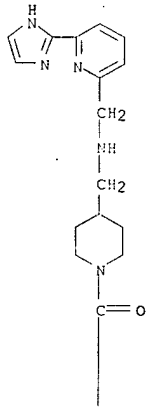


RN 208109-83-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-imidazol-2-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

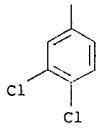
CM 1

CRN 208109-82-4
CMF C22 H23 Cl2 N5 O

PAGE 1-A



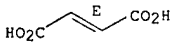
PAGE 2-A



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

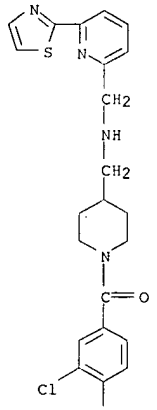


RN 208109-85-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(2-thiazolyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-84-6
CMF C22 H22 Cl2 N4 O S

PAGE 1-A

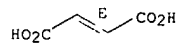


PAGE 2-A

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

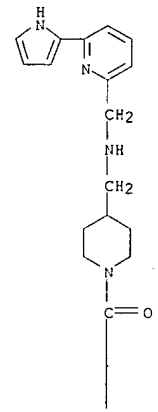


RN 208109-89-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-pyrrol-2-yl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

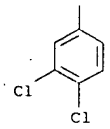
CM 1

CRN 208109-88-0
CMF C23 H24 Cl2 N4 O

PAGE 1-A

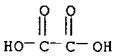


PAGE 2-A



CM 2

CRN 144-62-7
CMF C2 H2 O4

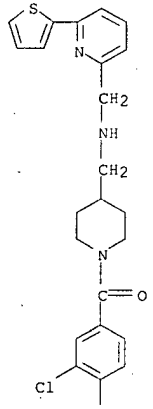


RN 208109-91-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(2-thienyl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-90-4
CMF C23 H23 Cl2 N3 O S

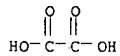
PAGE 1-A



PAGE 2-A

CM 2

CRN 144-62-7
CMF C2 H2 O4

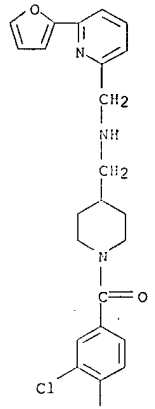


RN 208109-95-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(2-furanyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-94-8
CMF C23 H23 Cl2 N3 O2

PAGE 1-A

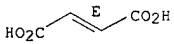


Cl

CM 2

CRN 110-17-8
CMF C4 H4 O4

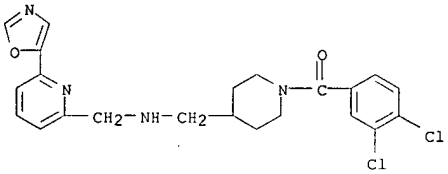
Double bond geometry as shown.



RN 208109-99-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(5-oxazolyl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

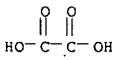
CM 1

CRN 208109-98-2
CMF C22 H22 Cl2 N4 O2



CM 2

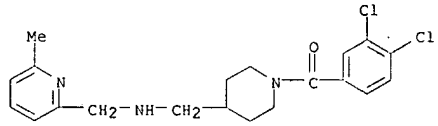
CRN 144-62-7
CMF C2 H2 O4



RN 208110-07-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[(6-methyl-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

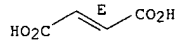
CRN 208110-06-9
CMF C20 H23 Cl2 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

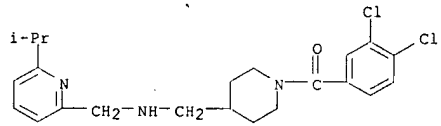
Double bond geometry as shown.



RN 208110-09-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1-methylethyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

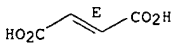
CRN 208110-08-1
CMF C22 H27 Cl2 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

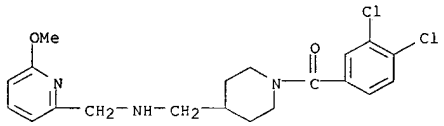
Double bond geometry as shown.



RN 208110-25-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[(6-methoxy-2-pyridinyl)methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

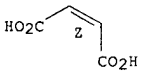
CRN 208110-24-1
CMF C20 H23 Cl2 N3 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4

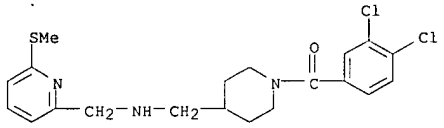
Double bond geometry as shown.



RN 208110-33-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(methylthio)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

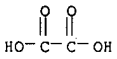
CM 1

CRN 208110-32-1
CMF C20 H23 Cl2 N3 O S



CM 2

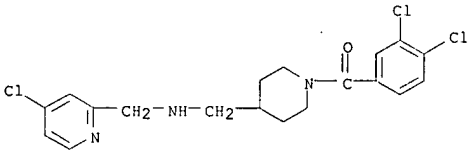
CRN 144-62-7
CMF C2 H2 O4



RN 208110-42-3 CAPLUS
CN 4-Piperidinemethanamine, N-[(4-chloro-2-pyridinyl)methyl]-1-(3,4-dichlorobenzoyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

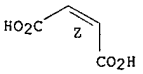
CRN 208110-41-2
CMF C19 H20 Cl3 N3 O



CM 2

CRN 110-16-7
CMF C4 H4 O4

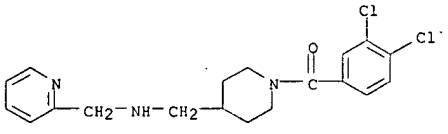
Double bond geometry as shown.



RN 217656-38-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-(2-pyridinylmethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

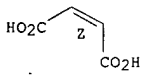
CRN 217656-37-6
CMF C19 H21 Cl2 N3 O



CM 2

CRN 110-16-7
CMF C4 H4 O4

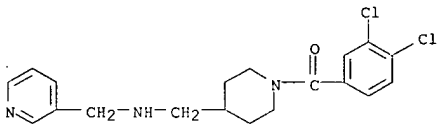
Double bond geometry as shown.



RN 217656-47-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-(3-pyridinylmethyl)-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

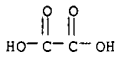
CM 1

CRN 217656-46-7
CMF C19 H21 Cl2 N3 O



CM 2

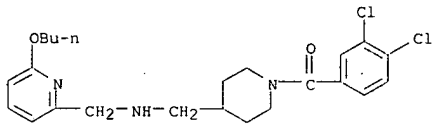
CRN 144-62-7
CMF C2 H2 O4



RN 217656-64-9 CAPLUS
CN 4-Piperidinemethanamine, N-[(6-butoxy-2-pyridinyl)methyl]-1-(3,4-dichlorobenzoyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

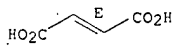
CRN 217656-63-8
CMF C23 H29 Cl2 N3 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

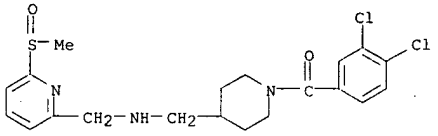
Double bond geometry as shown.



RN 217656-66-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(methylsulfinyl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

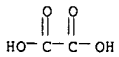
CRN 217656-65-0
CMF C20 H23 Cl2 N3 O2 S



CM 2

CRN 144-62-7

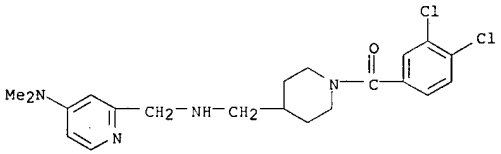
CMF C2 H2 O4



RN 217656-68-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[4-(dimethylamino)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

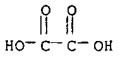
CM 1

CRN 217656-67-2
CMF C21 H26 Cl2 N4 O



CM 2

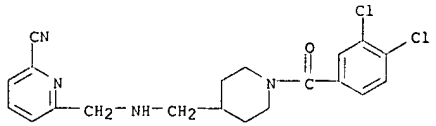
CRN 144-62-7
CMF C2 H2 O4



RN 217656-71-8 CAPLUS
CN 4-Piperidinemethanamine, N-[(6-cyano-2-pyridinyl)methyl]-1-(3,4-dichlorobenzoyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

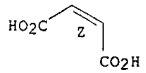
CRN 217656-70-7
CMF C20 H20 Cl2 N4 O



CM 2

CRN 110-16-7
CMF C4 H4 O4

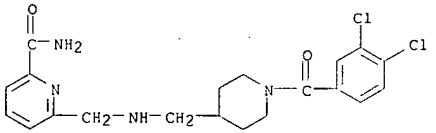
Double bond geometry as shown.



RN 217656-73-0 CAPLUS
CN 2-Pyridinecarboxamide, 6-[[[[1-(3,4-dichlorobenzoyl)-4-piperidinyl]methyl]amino]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

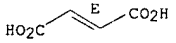
CRN 217656-72-9
CMF C20 H22 Cl2 N4 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

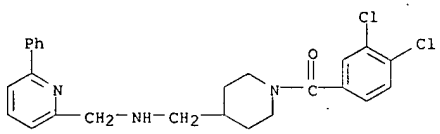
Double bond geometry as shown.



RN 217656-76-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[(6-phenyl-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

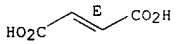
CRN 217656-75-2
CMF C25 H25 Cl2 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

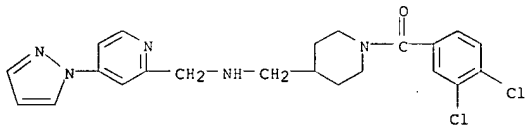
Double bond geometry as shown.



RN 217656-83-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[4-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

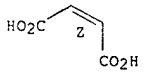
CRN 217656-82-1
CMF C22 H23 Cl2 N5 O



CM 2

CRN 110-16-7
CMF C4 H4 O4

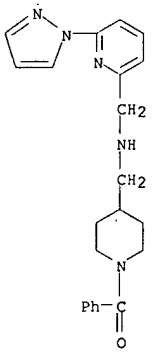
Double bond geometry as shown.



RN 217656-91-2 CAPLUS
CN 4-Piperidinemethanamine, 1-benzoyl-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

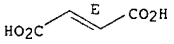
CRN 217656-90-1
CMF C22 H25 N5 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

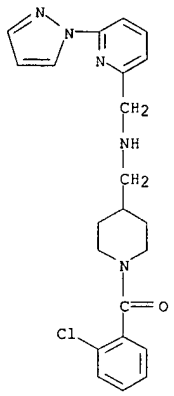
Double bond geometry as shown.



RN 217656-93-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(2-chlorobenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

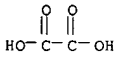
CM 1

CRN 217656-92-3
CMF C22 H24 Cl N5 O



CM 2

CRN 144-62-7
CMF C2 H2 O4

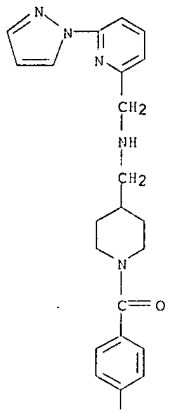


RN 217656-95-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(4-chlorobenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 217656-94-5
CMF C22 H24 Cl N5 O

PAGE 1-A

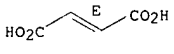


PAGE 2-A

CM 2

CRN 110-17-8
CMF C4 H4 O4

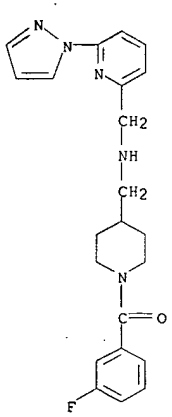
Double bond geometry as shown.



RN 217656-97-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-fluorobenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

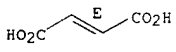
CRN 217656-96-7
CMF C22 H24 F N5 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

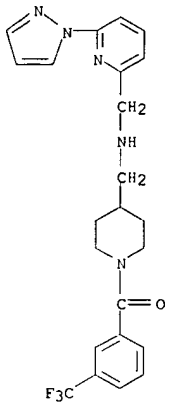
Double bond geometry as shown.



RN 217656-99-0 CAPLUS
CN 4-Piperidinemethanamine, N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-1-[3-(trifluoromethyl)benzoyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

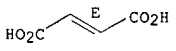
CRN 217656-98-9
CMF C23 H24 F3 N5 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

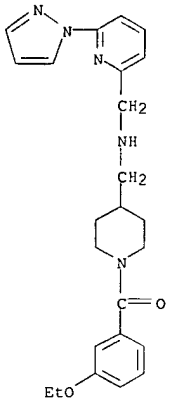
Double bond geometry as shown.



RN 217657-01-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-ethoxybenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

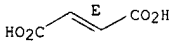
CRN 217657-00-6
CMF C24 H29 N5 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

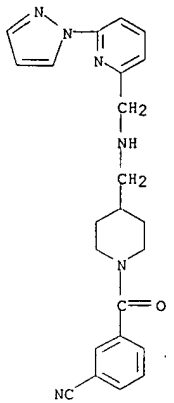
Double bond geometry as shown.



RN 217657-03-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-cyanobenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

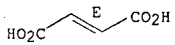
CRN 217657-02-8
CMF C23 H24 N6 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

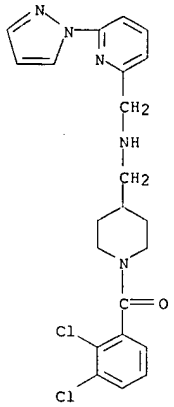
Double bond geometry as shown.



RN 217657-05-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(2,3-dichlorobenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

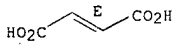
CRN 217657-04-0
CMF C22 H23 Cl2 N5 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

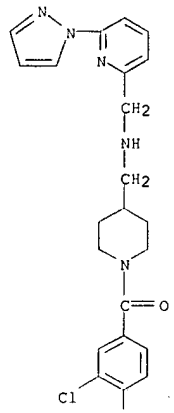
Double bond geometry as shown.



RN 217657-16-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

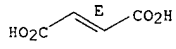
CRN 208109-42-6
CMF C22 H23 Cl2 N5 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

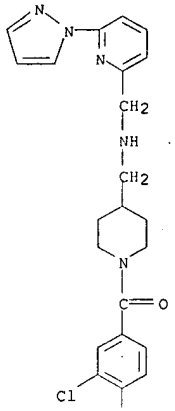


RN 217657-18-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-methylbenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 217657-17-5
CMF C23 H26 Cl N5 O

PAGE 1-A



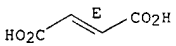
PAGE 2-A

Me

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

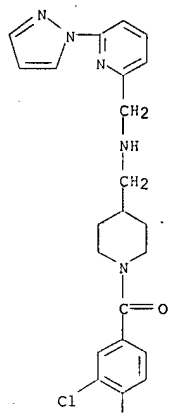


RN 217657-20-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-methoxybenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 217657-19-7
CMF C23 H26 Cl N5 O2

PAGE 1-A



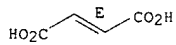
PAGE 2-A

OMe

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

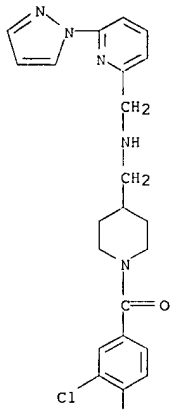


RN 217657-22-2 CAPLUS
CN Benzoic acid, 2-chloro-4-[[4-[[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]amino]methyl]-1-piperidinyl]carbonyl]-, methyl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 217657-21-1
CMF C24 H26 Cl N5 O3

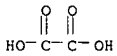
PAGE 1-A



PAGE 2-A

CM 2

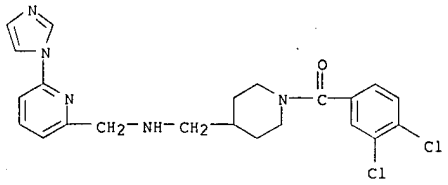
CRN 144-62-7
CMF C2 H2 O4



RN 217657-23-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-imidazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

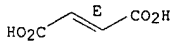
CRN 208109-54-0
CMF C22 H23 Cl2 N5 O



CM 2

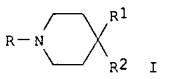
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
1998:352832 Document No. 129:27891 Preparation of N-[(1-benzoyl-4-piperidinyl)methyl]-2-pyridinemethanamines as 5-HT1A receptor antagonists. Vacher, Bernard; Bonnaud, Bernard; Koek, Wouter (Pierre Fabre Medicament, Fr.; Vacher, Bernard; Bonnaud, Bernard; Koek, Wouter). PCT Int. Appl. WO 9822459 A1 19980528, 107 pp. DESIGNATED STATES: W: AU, BR, CA, CN, JP, KR, MX, NZ, US; RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE. (French). CODEN: PIXXD2. APPLICATION: WO 1997-FR2097 19971120. PRIORITY: FR 1996-14217 19961121.

GI

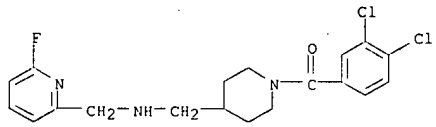


AB Title compds. (I; R1 = CH2NHCH2Z1R4)[II; R = COZR3; R2 = H or F; R3 = Cl or Me; R4 = H, F, (fluoro)alkyl, heteroaryl, etc.; Z = (un)substituted 1,3-phenylene; Z1 = (un)substituted pyridine-1,6-diyl] were prepared Thus, 6-fluoropyridine-2-carboxaldehyde (preparation given) was condensed with piperidine-4-methanamine and the product N-acylated by 3,4-Cl2C6H3COCl to

give, after reduction, II (R = COC6H3Cl2-3,4, R2 = H, R4 = F, Z1 = pyridine-1,6-diyl). Data for biol. activity of I were given.

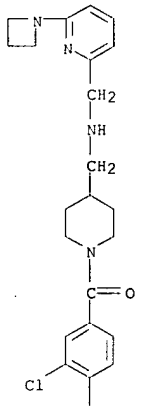
IT 208109-29-9P 208109-30-2P 208109-31-3P
208109-32-4P 208109-33-5P 208109-34-6P
208109-35-7P 208109-36-8P 208109-37-9P
208109-38-0P 208109-39-1P 208109-40-4P
208109-41-5P 208109-42-6P 208109-43-7P
208109-44-8P 208109-45-9P 208109-46-0P
208109-47-1P 208109-48-2P 208109-49-3P
208109-50-6P 208109-51-7P 208109-52-8P
208109-53-9P 208109-54-0P 208109-55-1P
208109-56-2P 208109-57-3P 208109-58-4P
208109-59-5P 208109-60-8P 208109-61-9P
208109-62-0P 208109-63-1P 208109-64-2P
208109-65-3P 208109-66-4P 208109-67-5P
208109-68-6P 208109-69-7P 208109-70-0P
208109-71-1P 208109-73-3P 208109-74-4P
208109-75-5P 208109-76-6P 208109-77-7P
208109-78-8P 208109-79-9P 208109-80-2P
208109-81-3P 208109-82-4P 208109-83-5P
208109-84-6P 208109-85-7P 208109-86-8P
208109-87-9P 208109-88-0P 208109-89-1P
208109-90-4P 208109-91-5P 208109-92-6P
208109-93-7P 208109-94-8P 208109-95-9P
208109-97-1P 208109-98-2P 208109-99-3P
208110-00-3P 208110-01-4P 208110-02-5P
208110-03-6P 208110-04-7P 208110-05-8P
208110-06-9P 208110-07-0P 208110-08-1P
208110-09-2P 208110-10-5P 208110-11-6P
208110-12-7P 208110-13-8P 208110-14-9P
208110-15-0P 208110-16-1P 208110-17-2P
208110-18-3P 208110-19-4P 208110-20-7P
208110-21-8P 208110-22-9P 208110-23-0P
208110-24-1P 208110-25-2P 208110-26-3P
208110-27-4P 208110-28-5P 208110-29-6P
208110-30-9P 208110-31-0P 208110-32-1P
208110-33-2P 208110-34-3P 208110-35-4P
208110-36-5P 208110-39-8P 208110-41-2P
208110-42-3P 208110-44-5P 208110-45-6P
208110-47-8P 208110-48-9P 208110-50-3P
208110-51-4P 208110-52-5P 208110-53-6P
208110-54-7P 208110-55-8P 208110-56-9P
208110-57-0P 208110-58-1P 208110-59-2P
208110-60-5P 208110-61-6P 208110-62-7P
208110-63-8P 208110-64-9P 208110-65-0P
208110-67-2P 208110-68-3P 208110-69-4P
208110-70-7P 208110-71-8P 208110-72-9P
208110-73-0P 208110-75-2P 208110-76-3P
208110-77-4P 208110-78-5P 208110-79-6P
208110-80-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(1-benzoyl-4-piperidinyl)methyl]-2-pyridinemethanamines as 5-HT1A receptor antagonists)

RN 208109-29-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[(6-fluoro-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



RN 208109-30-2 CAPLUS
CN 4-Piperidinemethanamine, N-[[6-(1-azetidinyl)-2-pyridinyl]methyl]-1-(3,4-dichlorobenzoyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



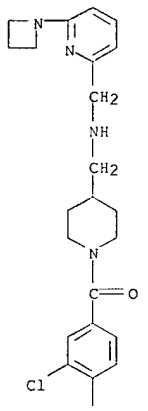
PAGE 2-A

RN 208109-31-3 CAPLUS
CN 4-Piperidinemethanamine, N-[[6-(1-azetidinyl)-2-pyridinyl]methyl]-1-(3,4-dichlorobenzoyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-30-2
CMF C22 H26 Cl2 N4 O

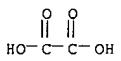
PAGE 1-A



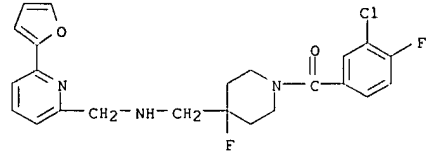
PAGE 2-A

CM 2

CRN 144-62-7
CMF C2 H2 O4



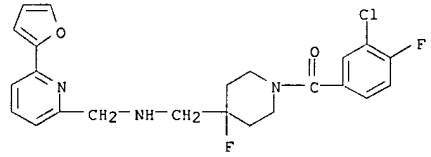
RN 208109-32-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[6-(2-furanyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208109-33-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[6-(2-furanyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

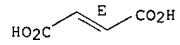
CRN 208109-32-4
CMF C23 H22 Cl F2 N3 O2



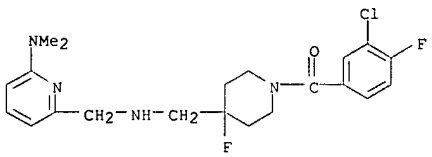
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



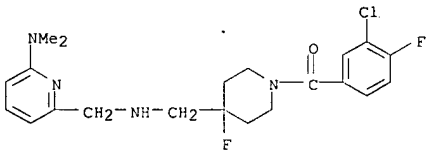
RN 208109-34-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(dimethylamino)-2-pyridinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 208109-35-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(dimethylamino)-2-pyridinyl]methyl]-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

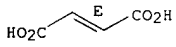
CRN 208109-34-6
CMF C21 H25 Cl F2 N4 O



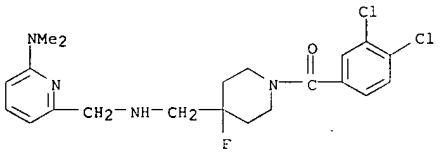
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



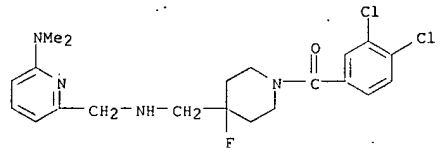
RN 208109-36-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(dimethylamino)-2-pyridinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 208109-37-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(dimethylamino)-2-pyridinyl]methyl]-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

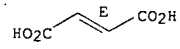
CRN 208109-36-8
CMF C21 H25 Cl2 F N4 O



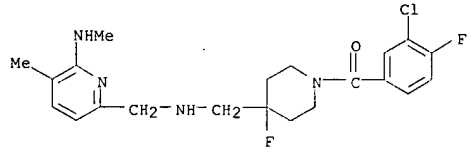
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



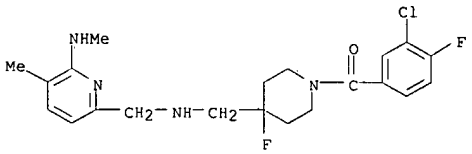
RN 208109-38-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(methylamino)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208109-39-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(methylamino)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

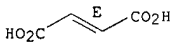
CRN 208109-38-0
CMF C21 H25 Cl F2 N4 O



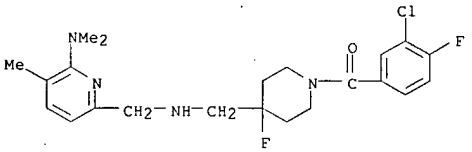
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



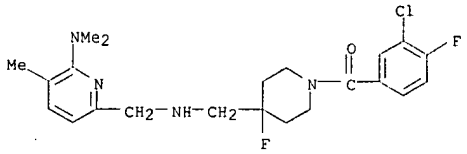
RN 208109-40-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(dimethylamino)-5-methyl-2-pyridinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 208109-41-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(dimethylamino)-5-methyl-2-pyridinyl]methyl]-4-fluoro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

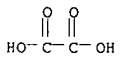
CM 1

CRN 208109-40-4
CMF C22 H27 Cl F2 N4 O



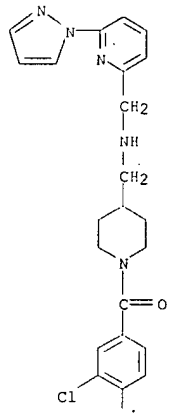
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 208109-42-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



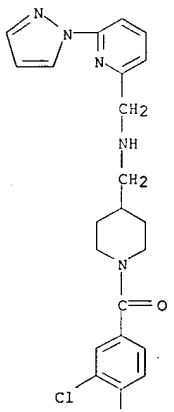
PAGE 2-A

RN 208109-43-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-42-6
CMF C22 H23 Cl2 N5 O

PAGE 1-A

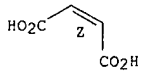


PAGE 2-A

CM 2

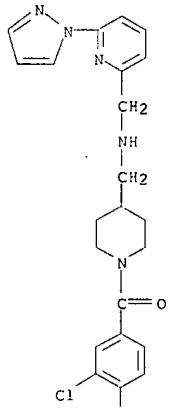
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 208109-44-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

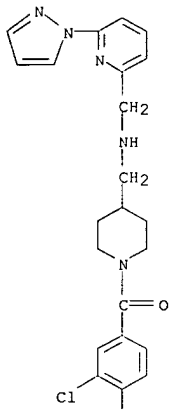
RN 208109-45-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-44-8

CMF C22 H23 Cl F N5 O

PAGE 1-A

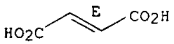


PAGE 2-A

CM 2

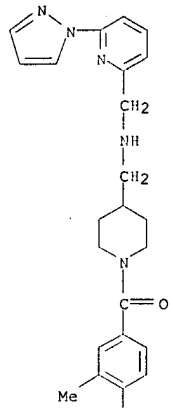
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 208109-46-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(4-chloro-3-methylbenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



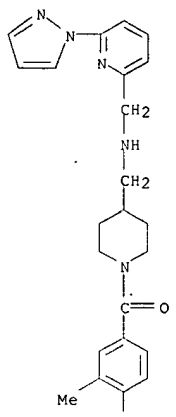
PAGE 2-A

RN 208109-47-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(4-chloro-3-methylbenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-46-0
CMF C23 H26 Cl N5 O

PAGE 1-A

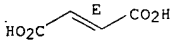


PAGE 2-A

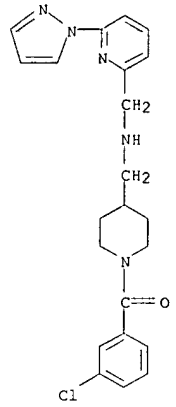
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



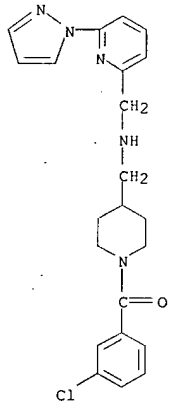
RN 208109-49-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chlorobenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208109-49-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chlorobenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

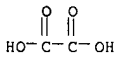
CM 1

CRN 208109-48-2
CMF C22 H24 Cl N5 O

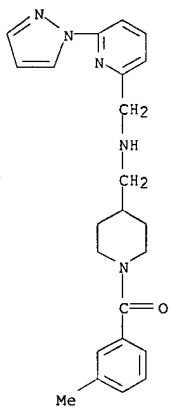


CM 2

CRN 144-62-7
CMF C2 H2 O4



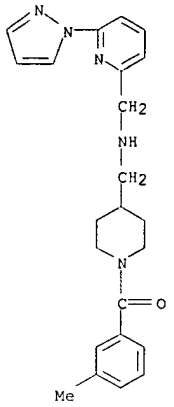
RN 208109-50-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-methylbenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208109-51-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-methylbenzoyl)-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

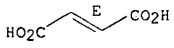
CRN 208109-50-6
CMF C23 H27 N5 O



CM 2

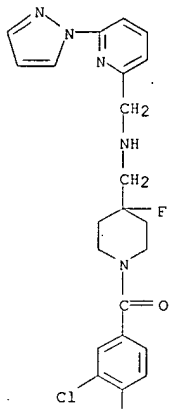
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 208109-52-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



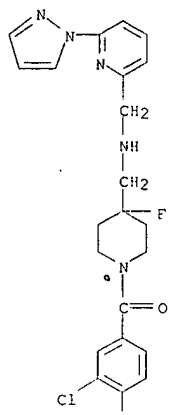
PAGE 2-A

RN 208109-53-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-52-8
CMF C22 H22 Cl2 F N5 O

PAGE 1-A

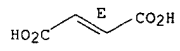


PAGE 2-A

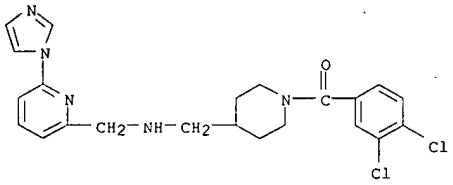
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



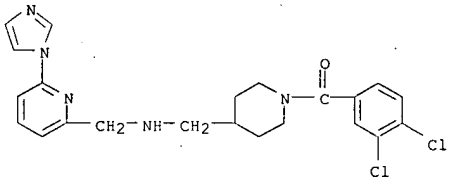
RN 208109-54-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-imidazol-1-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208109-55-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-imidazol-1-yl)-2-pyridinyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

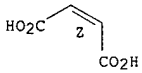
CRN 208109-54-0
CMF C22 H23 Cl2 N5 O



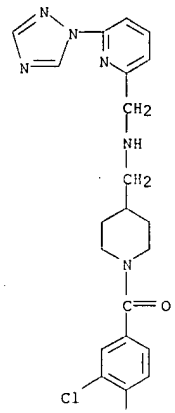
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 208109-56-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-1,2,4-triazol-1-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

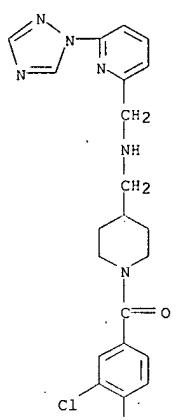


RN 208109-57-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-1,2,4-triazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-56-2
CMF C21 H22 Cl2 N6 O

PAGE 1-A

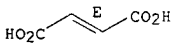


PAGE 2-A

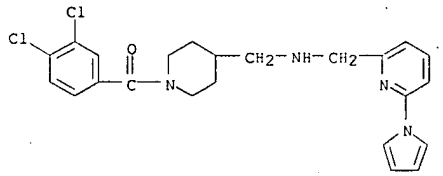
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



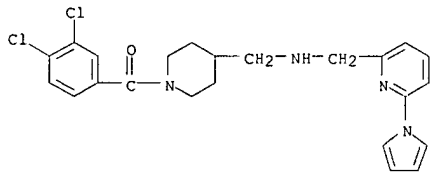
RN 208109-58-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-pyrrol-1-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208109-59-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-pyrrol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

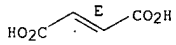
CRN 208109-58-4
CMF C23 H24 Cl2 N4 O



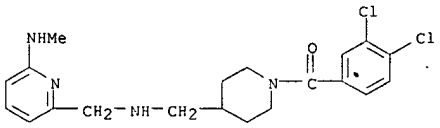
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



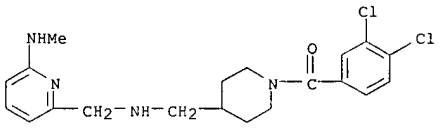
RN 208109-60-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(methylamino)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208109-61-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(methylamino)-2-pyridinyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

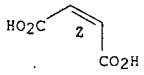
CRN 208109-60-8
CMF C20 H24 Cl2 N4 O



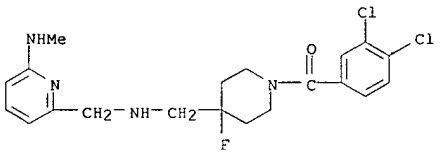
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



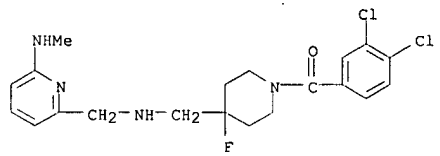
RN 208109-62-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(methylamino)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208109-63-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(methylamino)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

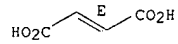
CRN 208109-62-0
CMF C20 H23 Cl2 F N4 O



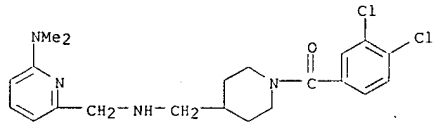
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



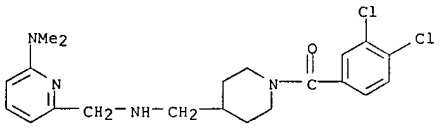
RN 208109-64-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(dimethylamino)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208109-65-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(dimethylamino)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

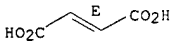
CRN 208109-64-2
CMF C21 H26 Cl2 N4 O



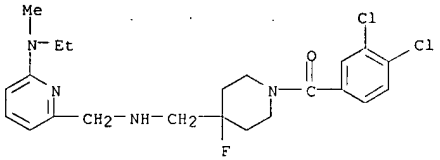
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



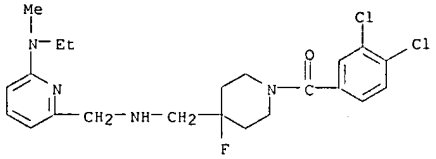
RN 208109-66-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(ethylmethylamino)-2-pyridinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 208109-67-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(ethylmethylamino)-2-pyridinyl]methyl]-4-fluoro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

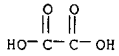
CM 1

CRN 208109-66-4
CMF C22 H27 Cl2 F N4 O

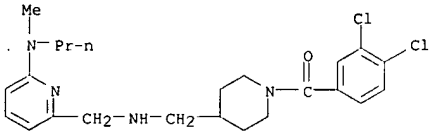


CM 2

CRN 144-62-7
CMF C2 H2 O4



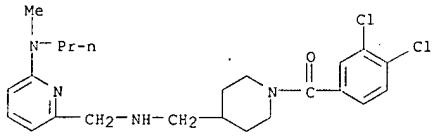
RN 208109-68-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(methylpropylamino)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208109-69-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(methylpropylamino)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

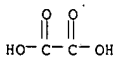
CM 1

CRN 208109-68-6
CMF C23 H30 Cl2 N4 O

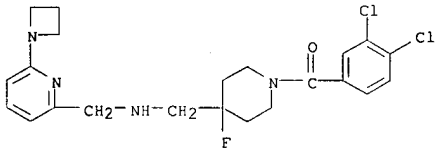


CM 2

CRN 144-62-7
CMF C2 H2 O4



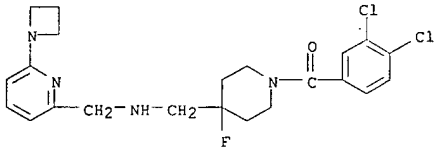
RN 208109-70-0 CAPLUS
CN 4-Piperidinemethanamine, N-[[6-(1-azetidinyl)-2-pyridinyl]methyl]-1-(3,4-dichlorobenzoyl)-4-fluoro- (9CI) (CA INDEX NAME)



RN 208109-71-1 CAPLUS
CN 4-Piperidinemethanamine, N-[[6-(1-azetidinyl)-2-pyridinyl]methyl]-1-(3,4-dichlorobenzoyl)-4-fluoro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

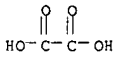
CM 1

CRN 208109-70-0
CMF C22 H25 Cl2 F N4 O



CM 2

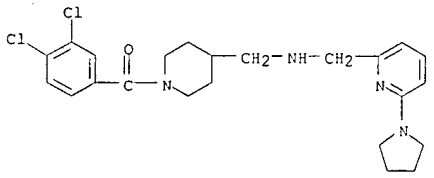
CRN 144-62-7
CMF C2 H2 O4



RN 208109-73-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1-pyrrolidinyl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

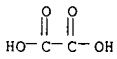
CM 1

CRN 208109-72-2
CMF C23 H28 Cl2 N4 O

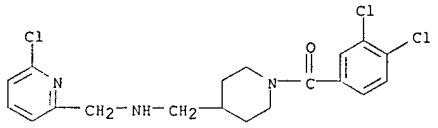


CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 208109-74-4 CAPLUS
CN 4-Piperidinemethanamine, N-[(6-chloro-2-pyridinyl)methyl]-1-(3,4-dichlorobenzoyl)- (9CI) (CA INDEX NAME)

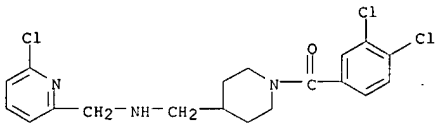


RN 208109-75-5 CAPLUS
CN 4-Piperidinemethanamine, N-[(6-chloro-2-pyridinyl)methyl]-1-(3,4-

dichlorobenzoyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

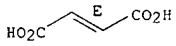
CRN 208109-74-4
CMF C19 H20 Cl3 N3 O



CM 2

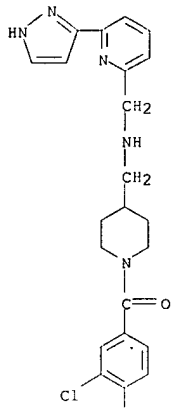
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 208109-76-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-pyrazol-3-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



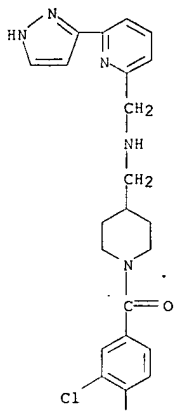
PAGE 2-A

RN 208109-77-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-pyrazol-3-yl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-76-6
CMF C22 H23 Cl2 N5 O

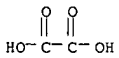
PAGE 1-A



PAGE 2-A

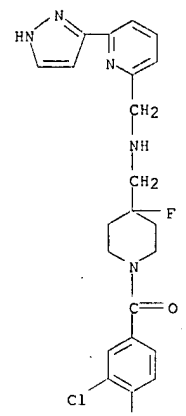
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 208109-78-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(1H-pyrazol-3-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



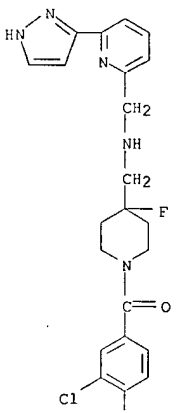
PAGE 2-A

RN 208109-79-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(1H-pyrazol-3-yl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-78-8
CMF C22 H22 Cl2 F N5 O

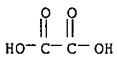
PAGE 1-A



PAGE 2-A

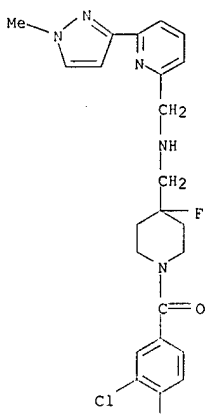
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 208109-80-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(1-methyl-1H-pyrazol-3-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



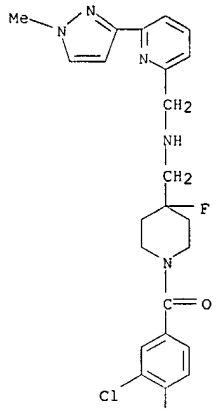
PAGE 2-A

RN 208109-81-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(1-methyl-1H-pyrazol-3-yl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-80-2
CMF C23 H24 Cl2 F N5 O

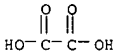
PAGE 1-A



PAGE 2-A

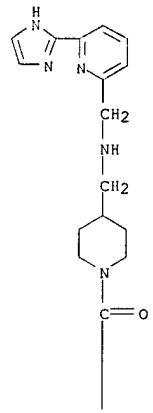
CM 2

CRN 144-62-7
CMF C2 H2 O4

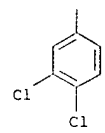


RN 208109-82-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-imidazol-2-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

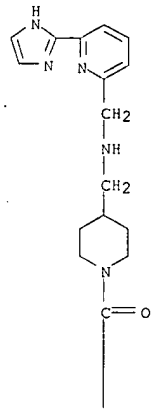


RN 208109-83-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-imidazol-2-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

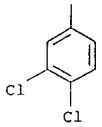
CM 1

CRN 208109-82-4
CMF C22 H23 C12 N5 O

PAGE 1-A



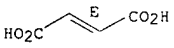
PAGE 2-A



CM 2

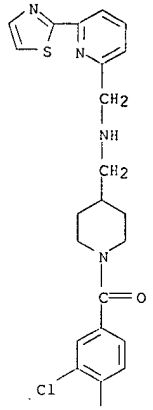
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 208109-84-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(2-thiazolyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



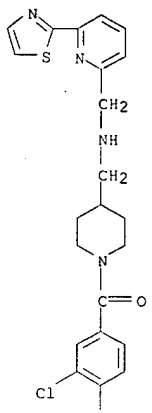
PAGE 2-A

RN 208109-85-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(2-thiazolyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-84-6
CMF C22 H22 Cl2 N4 O S

PAGE 1-A

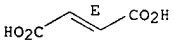


PAGE 2-A

CM 2

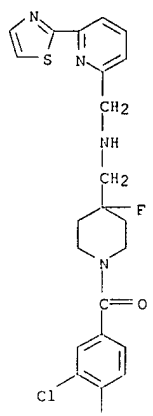
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 208109-86-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(2-thiazolyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



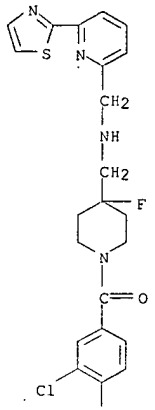
PAGE 2-A

RN 208109-87-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(2-thiazolyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-86-8
CMF C22 H21 Cl2 F N4 O S

PAGE 1-A

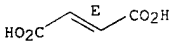


PAGE 2-A

CM 2

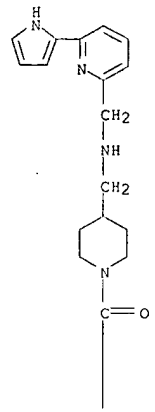
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

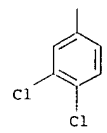


RN 208109-88-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-pyrrol-2-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

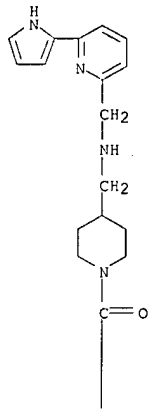


RN 208109-89-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1H-pyrrol-2-yl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

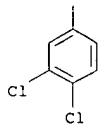
CM 1

CRN 208109-88-0
CMF C23 H24 Cl2 N4 O

PAGE 1-A

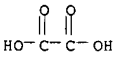


PAGE 2-A



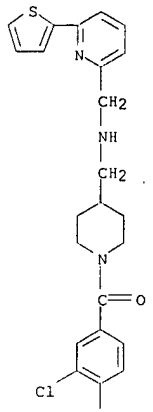
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 208109-90-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(2-thienyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



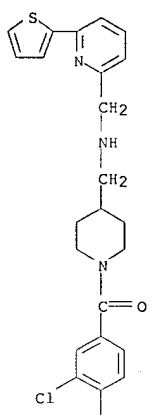
PAGE 2-A

RN 208109-91-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(2-thienyl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-90-4
CMF C23 H23 Cl2 N3 O S

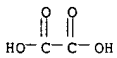
PAGE 1-A



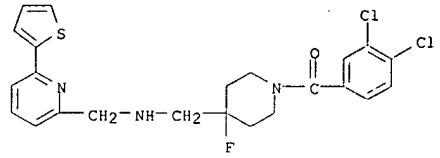
PAGE 2-A

CM 2

CRN 144-62-7
CMF C2 H2 O4



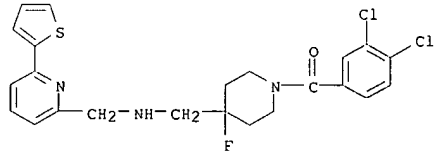
RN 208109-92-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(2-thienyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208109-93-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(2-thienyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

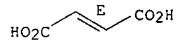
CRN 208109-92-6
CMF C23 H22 Cl2 F N3 O S



CM 2

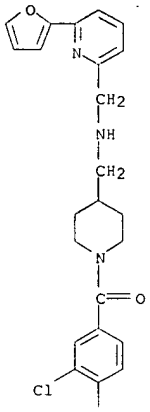
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 208109-94-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(2-furanyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



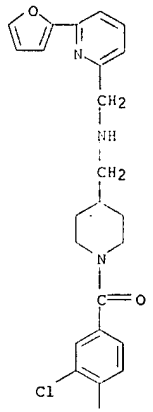
PAGE 2-A

RN 208109-95-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(2-furanyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208109-94-8
CMF C23 H23 Cl2 N3 O2

PAGE 1-A

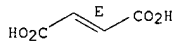


PAGE 2-A

CM 2

CRN 110-17-8
CMF C4 H4 O4

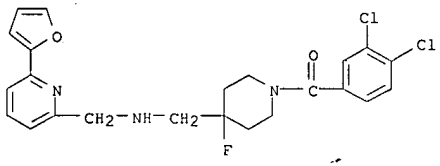
Double bond geometry as shown.



RN 208109-97-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(2-furanyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

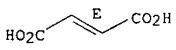
CRN 208109-96-0
CMF C23 H22 Cl2 F N3 O2



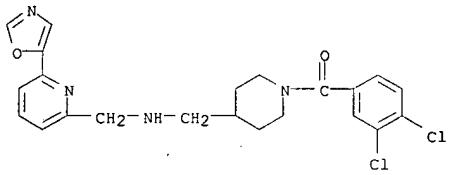
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



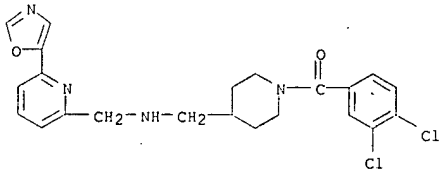
RN 208109-98-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(5-oxazolyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208109-99-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(5-oxazolyl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

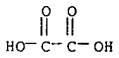
CM 1

CRN 208109-98-2
CMF C22 H22 Cl2 N4 O2

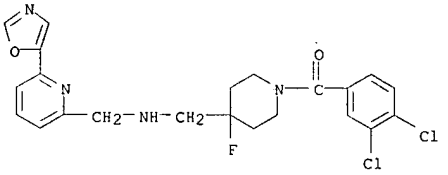


CM 2

CRN 144-62-7
CMF C2 H2 O4



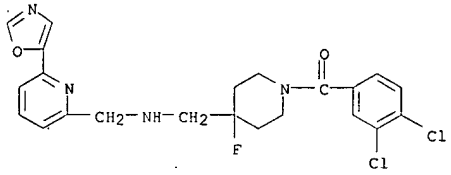
RN 208110-00-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(5-oxazolyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208110-01-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(5-oxazolyl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

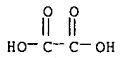
CM 1

CRN 208110-00-3
CMF C22 H21 Cl2 F N4 O2

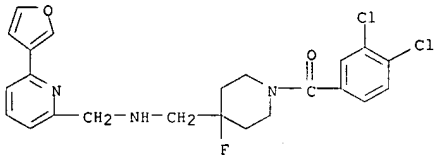


CM 2

CRN 144-62-7
CMF C2 H2 O4



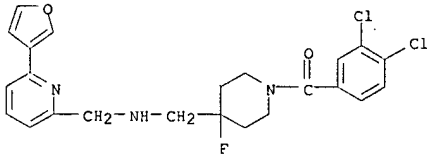
RN 208110-02-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(3-furanyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208110-03-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(3-furanyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

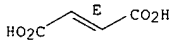
CRN 208110-02-5
CMF C23 H22 Cl2 F N3 O2



CM 2

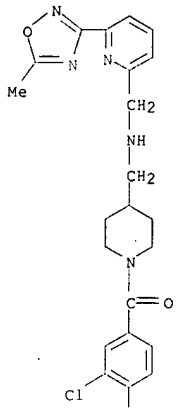
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 208110-04-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(5-methyl-1,2,4-oxadiazol-3-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



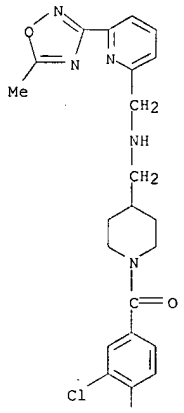
PAGE 2-A

RN 208110-05-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(5-methyl-1,2,4-oxadiazol-3-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208110-04-7
CMF C22 H23 Cl2 N5 O2

PAGE 1-A

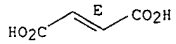


PAGE 2-A

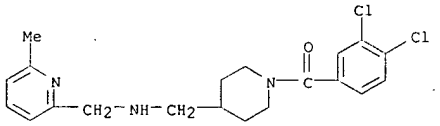
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



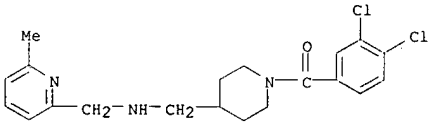
RN 208110-06-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[(6-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



RN 208110-07-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[(6-methyl-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

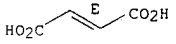
CRN 208110-06-9
CMF C20 H23 Cl2 N3 O



CM 2

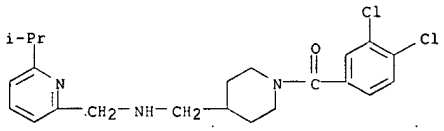
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 208110-08-1 CAPLUS

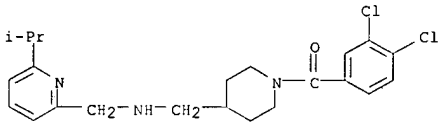
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1-methylethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208110-09-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(1-methylethyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

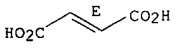
CRN 208110-08-1
CMF C22 H27 Cl2 N3 O



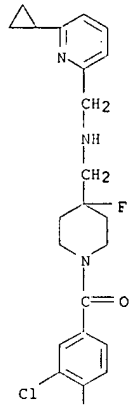
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 208110-10-5 CAPLUS
CN 4-Piperidinemethanamine, N-[(6-cyclopropyl-2-pyridinyl)methyl]-1-(3,4-dichlorobenzoyl)-4-fluoro- (9CI) (CA INDEX NAME)

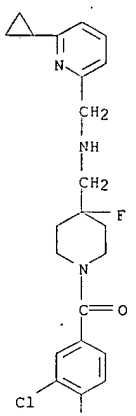


RN 208110-11-6 CAPLUS
CN 4-Piperidinemethanamine, N-[(6-cyclopropyl-2-pyridinyl)methyl]-1-(3,4-dichlorobenzoyl)-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208110-10-5
CMF C22 H24 Cl2 F N3 O

PAGE 1-A

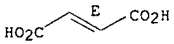


PAGE 2-A

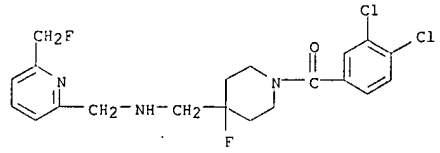
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



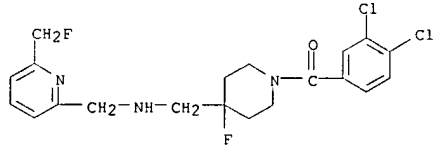
RN 208110-12-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(fluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208110-13-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(fluoromethyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

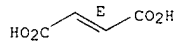
CRN 208110-12-7
CMF C20 H21 Cl2 F2 N3 O



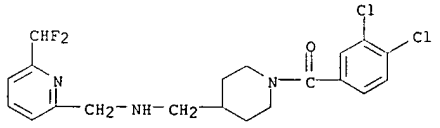
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



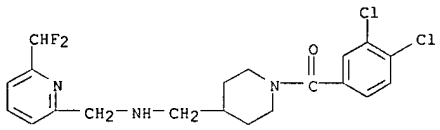
RN 208110-14-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(difluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208110-15-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(difluoromethyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

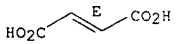
CRN 208110-14-9
CMF C20 H21 Cl2 F2 N3 O



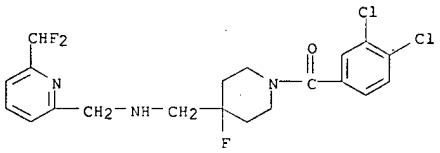
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



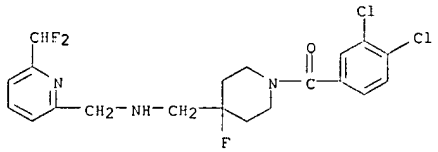
RN 208110-16-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(difluoromethyl)-2-pyridinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 208110-17-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(difluoromethyl)-2-pyridinyl]methyl]-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

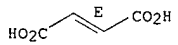
CRN 208110-16-1
CMF C20 H20 Cl2 F3 N3 O



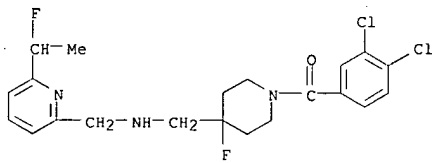
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



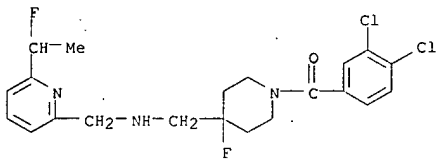
RN 208110-18-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(1-fluoroethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208110-19-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(1-fluoroethyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

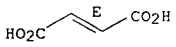
CRN 208110-18-3
CMF C21 H23 Cl2 F2 N3 O



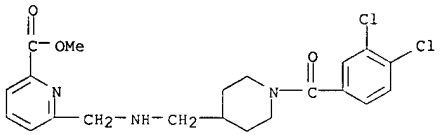
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



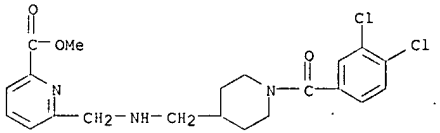
RN 208110-20-7 CAPLUS
CN 2-Pyridinecarboxylic acid, 6-[[[[1-(3,4-dichlorobenzoyl)-4-piperidinyl]methyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 208110-21-8 CAPLUS
CN 2-Pyridinecarboxylic acid, 6-[[[[1-(3,4-dichlorobenzoyl)-4-piperidinyl]methyl]amino]methyl]-, methyl ester, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

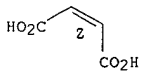
CRN 208110-20-7
CMF C21 H23 Cl2 N3 O3



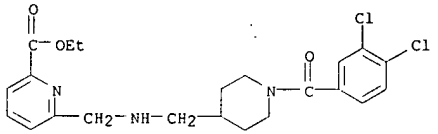
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



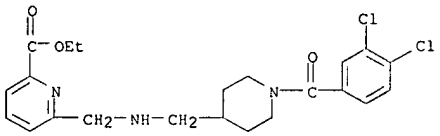
RN 208110-22-9 CAPLUS
CN 2-Pyridinecarboxylic acid, 6-[[[[1-(3,4-dichlorobenzoyl)-4-piperidinyl]methyl]amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 208110-23-0 CAPLUS
CN 2-Pyridinecarboxylic acid, 6-[[[[1-(3,4-dichlorobenzoyl)-4-piperidinyl]methyl]amino]methyl]-, ethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

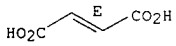
CRN 208110-22-9
CMF C22 H25 Cl2 N3 O3



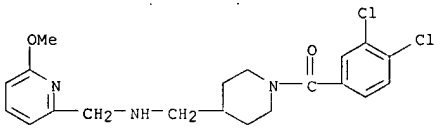
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



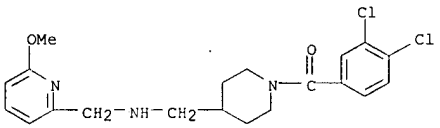
RN 208110-24-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[(6-methoxy-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



RN 208110-25-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[(6-methoxy-2-pyridinyl)methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

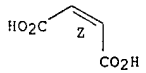
CRN 208110-24-1
CMF C20 H23 Cl2 N3 O2



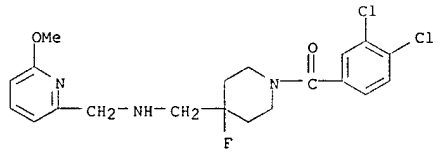
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



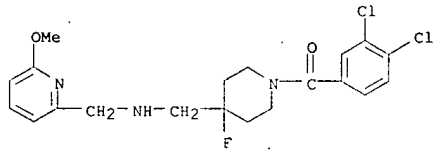
RN 208110-26-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[(6-methoxy-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



RN 208110-27-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[(6-methoxy-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

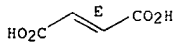
CRN 208110-26-3
CMF C20 H22 Cl2 F N3 O2



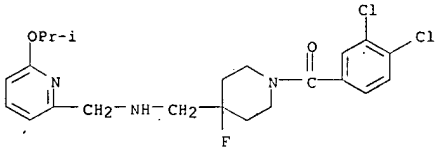
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



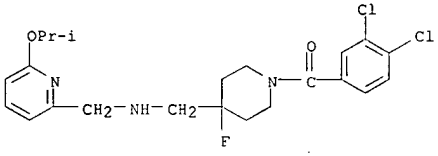
RN 208110-28-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(1-methylethoxy)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208110-29-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[[6-(1-methylethoxy)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

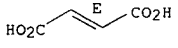
CRN 208110-28-5
CMF C22 H26 Cl2 F N3 O2



CM 2

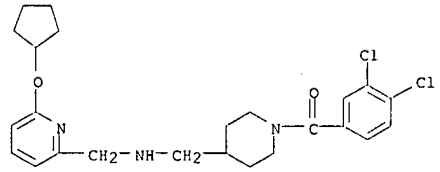
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 208110-30-9 CAPLUS
CN 4-Piperidinemethanamine, N-[[6-(cyclopentyloxy)-2-pyridinyl]methyl]-1-(3,4-

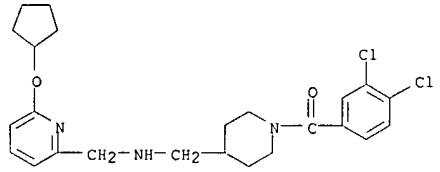
• dichlorobenzoyl)- (9CI) (CA INDEX NAME)



RN 208110-31-0 CAPLUS
CN 4-Piperidinemethanamine, N-[[6-(cyclopentyloxy)-2-pyridinyl]methyl]-1-(3,4-dichlorobenzoyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

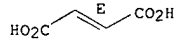
CRN 208110-30-9
CMF C24 H29 Cl2 N3 O2



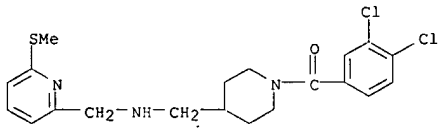
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



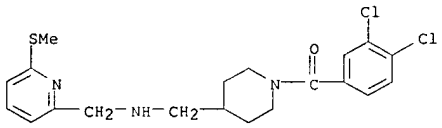
RN 208110-32-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(methylthio)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208110-33-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(methylthio)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

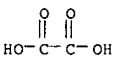
CM 1

CRN 208110-32-1
CMF C20 H23 Cl2 N3 O S



CM 2

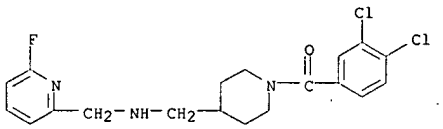
CRN 144-62-7
CMF C2 H2 O4



RN 208110-34-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[(6-fluoro-2-pyridinyl)methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

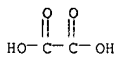
CM 1

CRN 208109-29-9
CMF C19 H20 Cl2 F N3 O

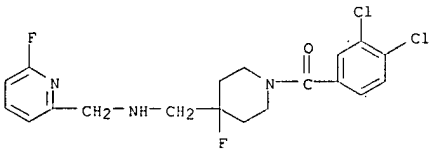


CM 2

CRN 144-62-7
CMF C2 H2 O4



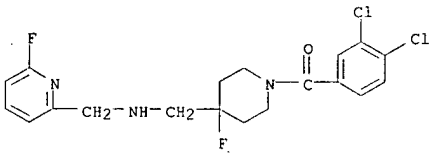
RN 208110-35-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[(6-fluoro-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



RN 208110-36-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[(6-fluoro-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

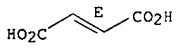
CRN 208110-35-4
CMF C19 H19 Cl2 F2 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

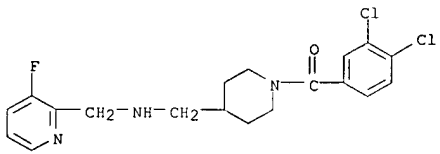
Double bond geometry as shown.



RN 208110-39-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[(3-fluoro-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

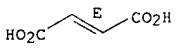
CRN 208110-38-7
CMF C19 H20 Cl2 F N3 O



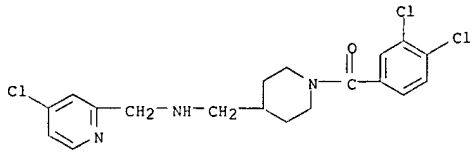
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



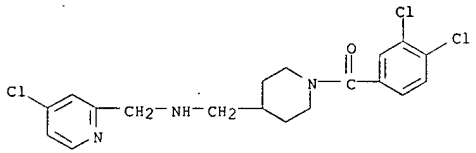
RN 208110-41-2 CAPLUS
CN 4-Piperidinemethanamine, N-[(4-chloro-2-pyridinyl)methyl]-1-(3,4-dichlorobenzoyl)- (9CI) (CA INDEX NAME)



RN 208110-42-3 CAPLUS
CN 4-Piperidinemethanamine, N-[(4-chloro-2-pyridinyl)methyl]-1-(3,4-dichlorobenzoyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

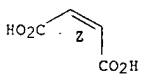
CRN 208110-41-2
CMF C19 H20 Cl3 N3 O



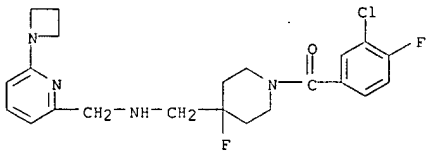
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



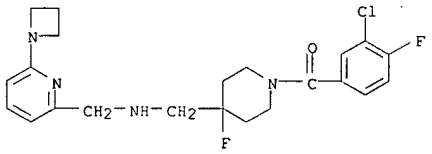
RN 208110-44-5 CAPLUS
CN 4-Piperidinemethanamine, N-[[6-(1-azetidinyl)-2-pyridinyl]methyl]-1-(3-chloro-4-fluorobenzoyl)-4-fluoro- (9CI) (CA INDEX NAME)



RN 208110-45-6 CAPLUS
CN 4-Piperidinemethanamine, N-[[6-(1-azetidinyl)-2-pyridinyl]methyl]-1-(3-chloro-4-fluorobenzoyl)-4-fluoro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

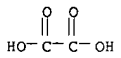
CM 1

CRN 208110-44-5
CMF C22 H25 Cl F2 N4 O

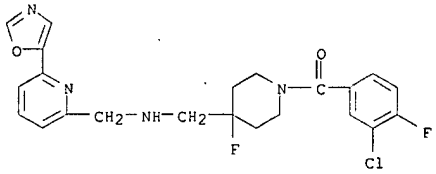


CM 2

CRN 144-62-7
CMF C2 H2 O4



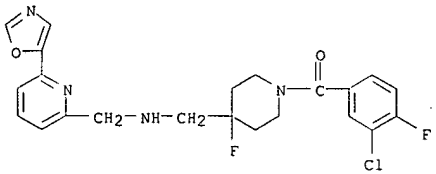
RN 208110-47-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[6-(5-oxazolyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208110-48-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[6-(5-oxazolyl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

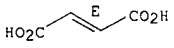
CRN 208110-47-8
CMF C22 H21 Cl F2 N4 O2



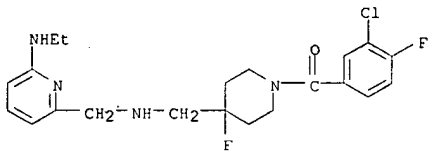
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



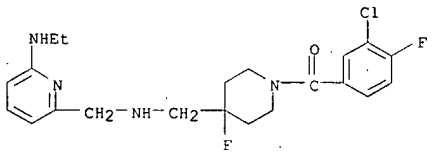
RN 208110-50-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(ethylamino)-2-pyridinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 208110-51-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(ethylamino)-2-pyridinyl]methyl]-4-fluoro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

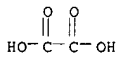
CM 1

CRN 208110-50-3
CMF C21 H25 Cl F2 N4 O

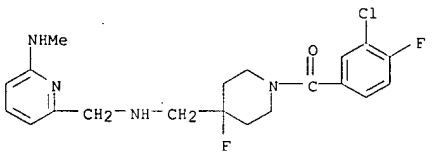


CM 2

CRN 144-62-7
CMF C2 H2 O4



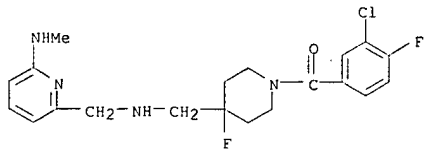
RN 208110-52-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[6-(methylamino)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 208110-53-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[6-(methylamino)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

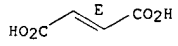
CRN 208110-52-5
CMF C20 H23 Cl F2 N4 O



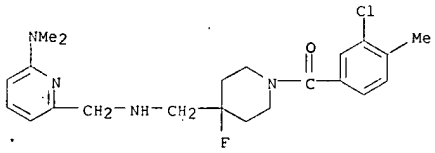
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



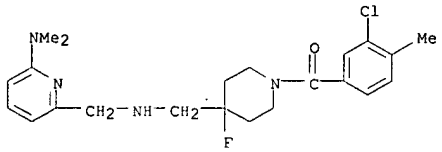
RN 208110-54-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-methylbenzoyl)-N-[[6-(dimethylamino)-2-pyridinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 208110-55-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-methylbenzoyl)-N-[[6-(dimethylamino)-2-pyridinyl]methyl]-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

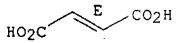
CRN 208110-54-7
CMF C22 H28 Cl F N4 O



CM 2

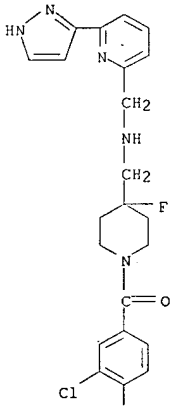
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 208110-56-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[6-(1H-pyrazol-3-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



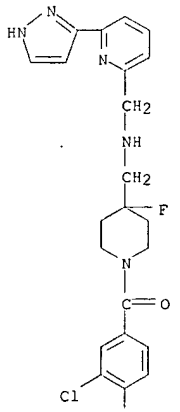
PAGE 2-A

RN 208110-57-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[6-(1H-pyrazol-3-yl)-2-pyridinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208110-56-9
CMF C22 H22 Cl F2 N5 O

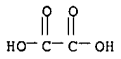
PAGE 1-A



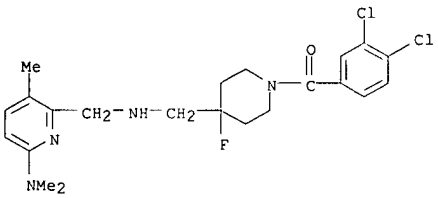
PAGE 2-A

CM 2

CRN 144-62-7
CMF C2 H2 O4



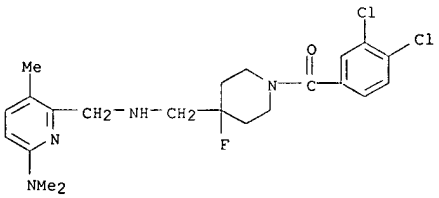
RN 208110-58-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(dimethylamino)-3-methyl-2-pyridinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 208110-59-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-N-[[6-(dimethylamino)-3-methyl-2-pyridinyl]methyl]-4-fluoro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

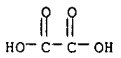
CM 1

CRN 208110-58-1
CMF C22 H27 Cl2 F N4 O



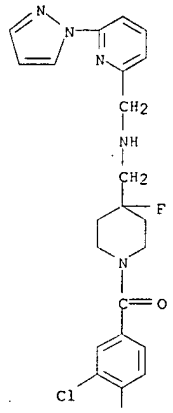
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 208110-60-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



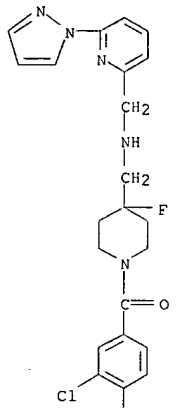
PAGE 2-A

RN 208110-61-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 208110-60-5
CMF C22 H22 Cl F2 N5 O

PAGE 1-A

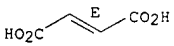


PAGE 2-A

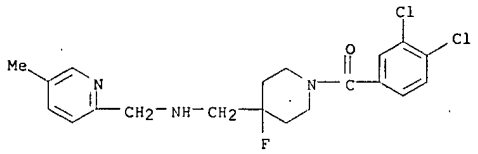
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



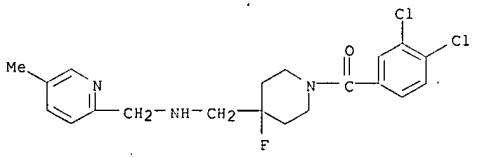
RN 208110-62-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



RN 208110-63-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

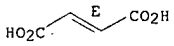
CRN 208110-62-7
CMF C20 H22 Cl2 F N3 O



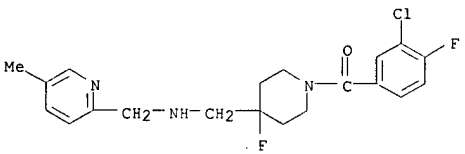
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



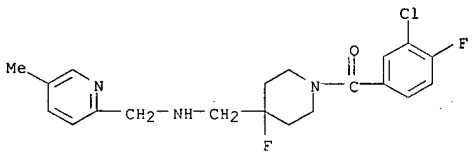
RN 208110-64-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



RN 208110-65-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[(5-methyl-2-pyridinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

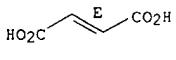
CRN 208110-64-9
CMF C20 H22 Cl F2 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

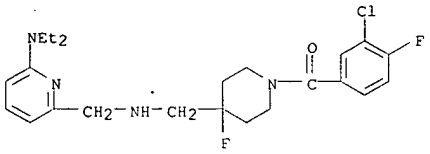
Double bond geometry as shown.



RN 208110-67-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(diethylamino)-2-pyridinyl]methyl]-4-fluoro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

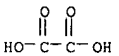
CM 1

CRN 208110-66-1
CMF C23 H29 Cl F2 N4 O

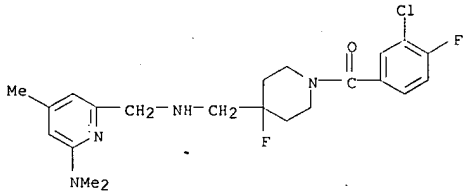


CM 2

CRN 144-62-7
CMF C2 H2 O4



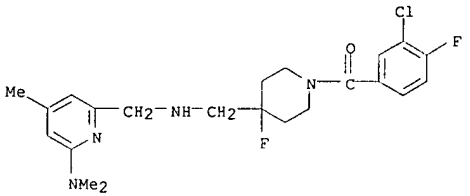
RN 208110-68-3 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(dimethylamino)-4-methyl-2-pyridinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 208110-69-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[[6-(dimethylamino)-4-methyl-2-pyridinyl]methyl]-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

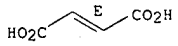
CRN 208110-68-3
CMF C22 H27 Cl F2 N4 O



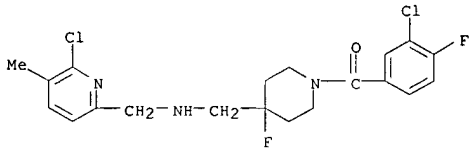
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



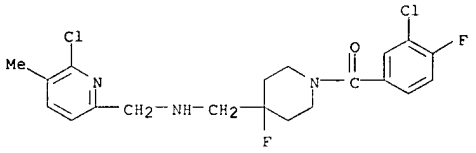
RN 208110-70-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[(6-chloro-5-methyl-2-pyridinyl)methyl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 208110-71-8 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-N-[(6-chloro-5-methyl-2-pyridinyl)methyl]-4-fluoro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

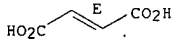
CRN 208110-70-7
CMF C20 H21 Cl2 F2 N3 O



CM 2

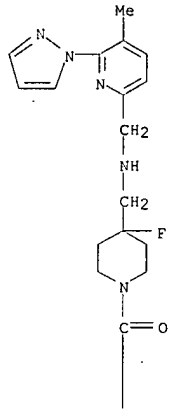
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

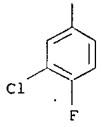


RN 208110-72-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

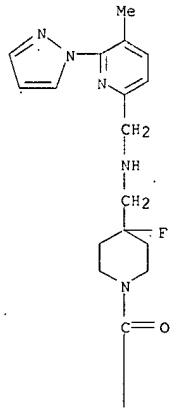


RN 208110-73-0 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(1H-pyrazol-1-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

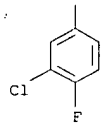
CM 1

CRN 208110-72-9
CMF C23 H24 Cl F2 N5 O

PAGE 1-A



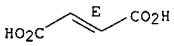
PAGE 2-A



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

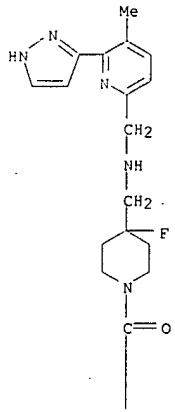


RN 208110-75-2 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chlorobenzoyl)-4-fluoro-N-[[5-methyl-6-(1H-pyrazol-3-yl)-2-pyridinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

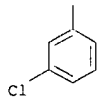
CM 1

CRN 208110-74-1
CMF C23 H25 Cl F N5 O

PAGE 1-A



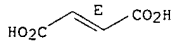
PAGE 2-A



CM 2

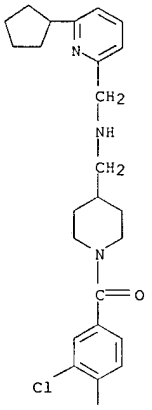
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



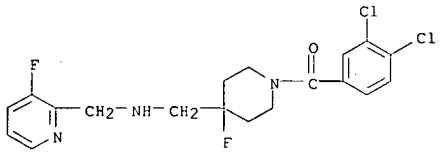
RN 208110-76-3 CAPLUS
CN 4-Piperidinemethanamine, N-[(6-cyclopentyl-2-pyridinyl)methyl]-1-(3,4-dichlorobenzoyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



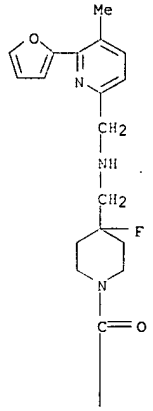
PAGE 2-A

RN 208110-77-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(3,4-dichlorobenzoyl)-4-fluoro-N-[(3-fluoro-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

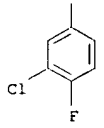


RN 208110-78-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[6-(2-furanyl)-5-methyl-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

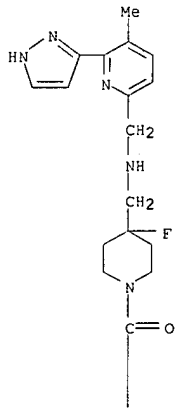


PAGE 2-A

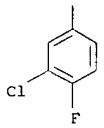


RN 208110-79-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-4-fluorobenzoyl)-4-fluoro-N-[[5-methyl-6-(1H-pyrazol-3-yl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RN 208110-80-9 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chlorobenzoyl)-N-[[6-(dimethylamino)-2-pyridinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)